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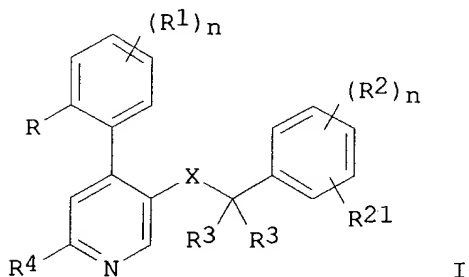
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09/922,066

L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:832668 CAPLUS  
DN 137:337901  
TI Preparation and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia  
IN Buser, Susanne; Ford, Anthony P. D. W.; Hoffmann, Torsten; Lenz, Barbara; Sleight, Andrew John; Vankan, Pierre  
PA F. Hoffmann-La Roche A.-G., Switz.  
SO PCT Int. Appl., 45 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085458	A2	20021031	WO 2002-EP1085	20020202
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	EP 2001-109853	A	20010423		
GI					



AB Use of an NK-1 receptor antagonist for the treatment or prevention of benign prostatic hyperplasia (BPH) is claimed. The preferred NK-1 receptor antagonists are compds. of the general formula [I; R = H, alkyl, alkoxy, halo, CF<sub>3</sub>; R<sub>1</sub> = H, halo; RR<sub>1</sub> = CH:CHCH:CH; R<sub>2</sub>, R<sub>21</sub> = H, halo, CF<sub>3</sub>, alkyl, alkoxy, cyano; R<sub>2</sub>R<sub>21</sub> = CH:CHCH:CH, optionally substituted by 1-2 alkyl, halo, alkoxy; R<sub>3</sub> = H, alkyl; R<sub>3</sub>R<sub>3</sub>C = cycloalkyl; R<sub>4</sub> = H, N(R<sub>5</sub>)<sub>2</sub>, NR<sub>5</sub>(CH<sub>2</sub>)<sub>n</sub>OH, cyclic tertiary amine, etc.; X = CONR<sub>5</sub>, (CH<sub>2</sub>)<sub>p</sub>O, NR<sub>5</sub>(CH<sub>2</sub>)<sub>p</sub>, etc.; R<sub>5</sub> = H, cycloalkyl, Ph, PhCH<sub>2</sub>, alkyl; n = 0-4; p = 1-3]. Preferred compds. are 2-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-(6-morpholin-4-yl-4-o-tolyl-pyridin-3-yl)isobutyramide, 3-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-[6-(4-methyl-piperazin-1-yl)-4-o-tolyl-pyridin-3-yl]isobutyramide, 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1.1.1.6-thiomorpholin-4-yl)-4-o-tolyl-pyridin-3-yl]-N-methylisobutyramide, and 2-(3,5-bis-trifluoromethylphenyl)-N-[6-(1,1-dioxo-

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1.1ambda.6-thiomorpholin-4-yl)-4-(4-fluoro-2-methyl-phenyl)-pyridin-3-yl]-N-methylisobutyramide. Thus,  
2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-(6-thiomorpholin-4-yl-4-o-tolylpyridin-3-yl)isobutyramide (prepn. given)

oxone were stirred 2 days at room temp. to give 2-(3,5-bis-

trifluoromethylphenyl)-N-[6-(1,1-dioxo-1.1ambda.6-thiomorpholin-4-yl)-4-o-tolylpyridin-3-yl]-N-methylisobutyramide. 2-(3,5-Bistrifluoromethylphenyl)-N-methyl-N-methyl-N-(6-morpholin-4-yl-4-o-tolylpyridin-3-yl)isobutyramide at 60 mg/kg/day orally in dogs reduced prostate wt. by 58% after 39 wk.

IT **474026-03-4P 474026-04-5P**

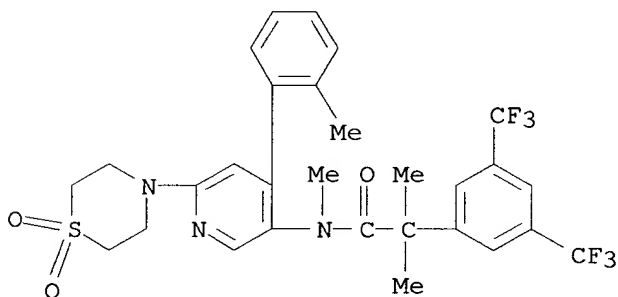
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)

RN 474026-03-4 CAPLUS

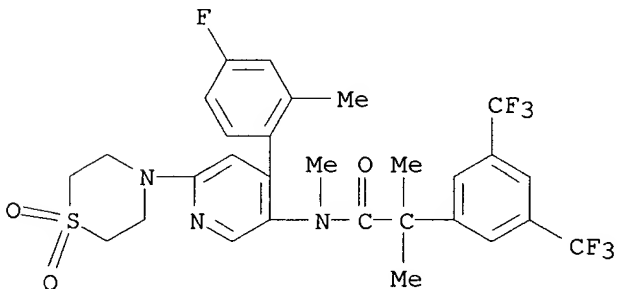
CN Benzeneacetamide,

N-[6-(1,1-dioxido-4-thiomorpholinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474026-04-5 CAPLUS

CN Benzeneacetamide, N-[6-(1,1-dioxido-4-thiomorpholinyl)-4-(4-fluoro-2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



09/922,066

IT 290296-41-2 290296-42-3 290296-43-4  
290296-44-5 290296-45-6 290296-46-7  
290296-47-8 290296-49-0 290296-50-3  
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290296-67-2 290296-68-3 290296-71-8  
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474026-12-5 474026-13-6 474026-14-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(prepn. and use of amides as NK-1 receptor antagonists against benign  
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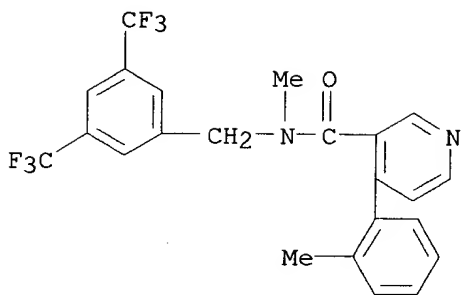
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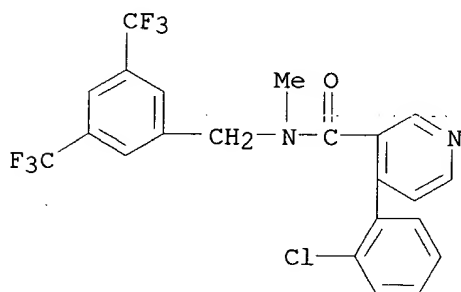


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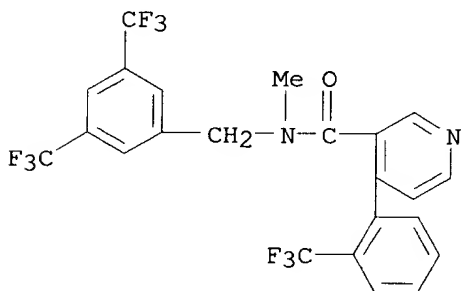
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RN 290296-43-4 CAPLUS

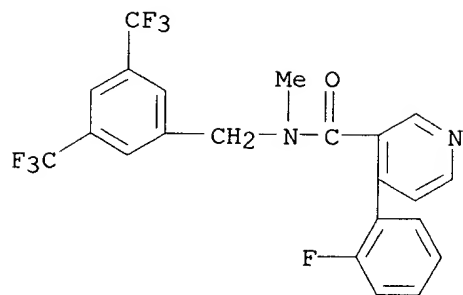
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
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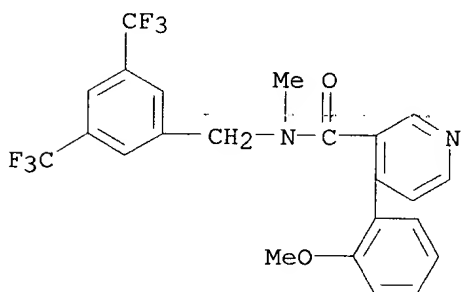
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09/922,066



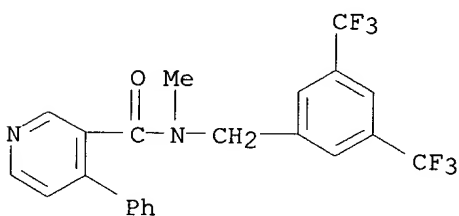
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CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



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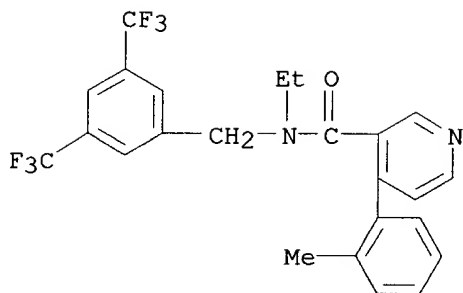
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N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-phenyl- (9CI) (CA INDEX NAME)



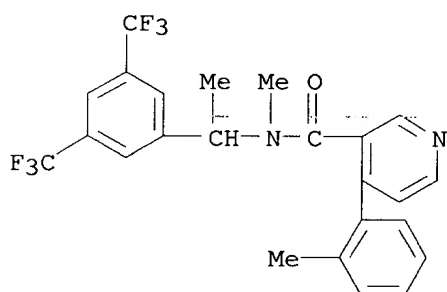
RN 290296-47-8 CAPLUS

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4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

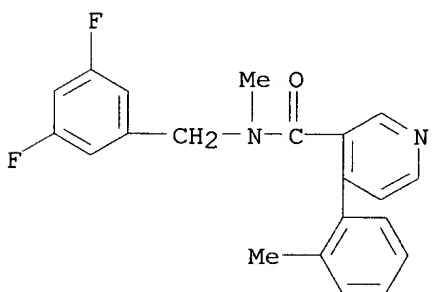
09/922,066



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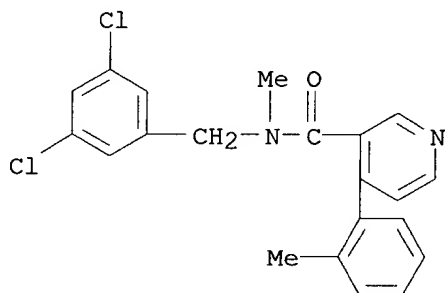


RN 290296-50-3 CAPLUS  
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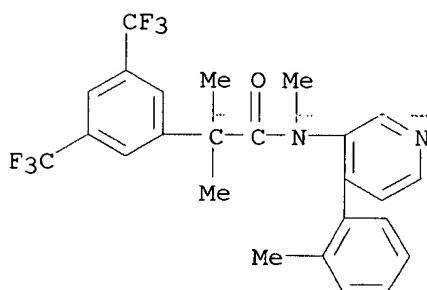
RN 290296-51-4 CAPLUS  
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09/922,066



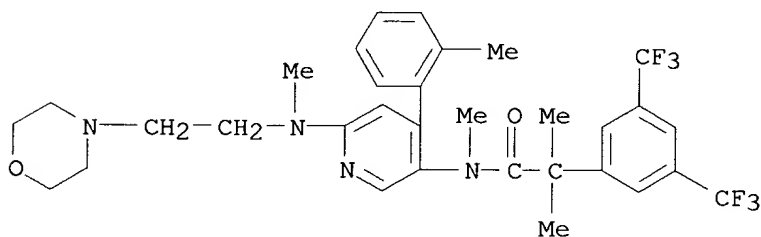
RN 290296-59-2 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-66-1 CAPLUS

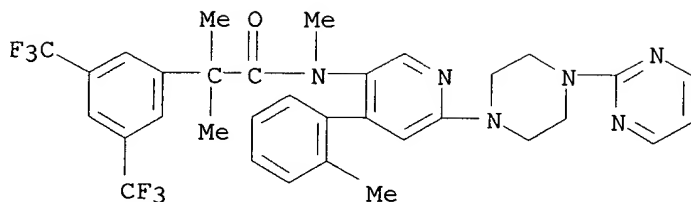
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[6-[methyl[2-(4-morpholinyl)ethyl]amino]-4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-67-2 CAPLUS

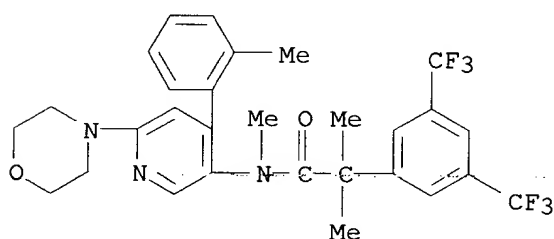
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[4-(2-pyrimidinyl)-1-piperazinyl]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



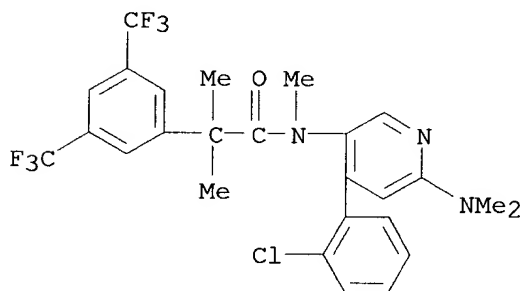
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CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



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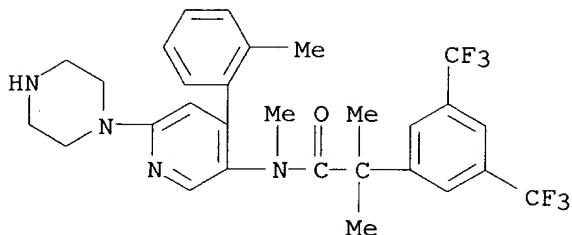
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(dimethylamino)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



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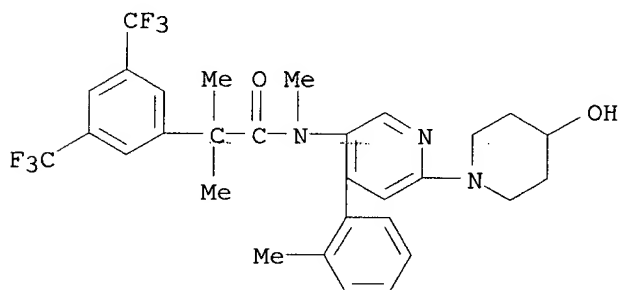
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



RN 290296-73-0 CAPLUS

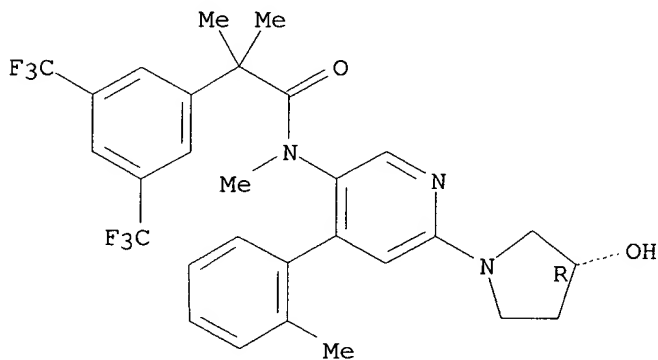
CN Benzeneacetamide, N-[6-(4-hydroxy-1-piperidiny)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 290296-74-1 CAPLUS

CN Benzeneacetamide,  
N-[6-[(3R)-3-hydroxy-1-pyrrolidinyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

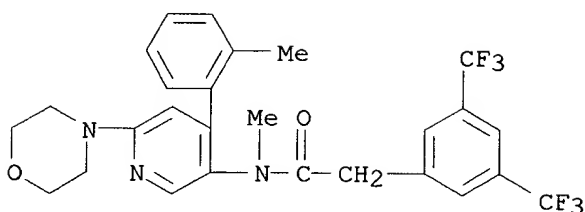
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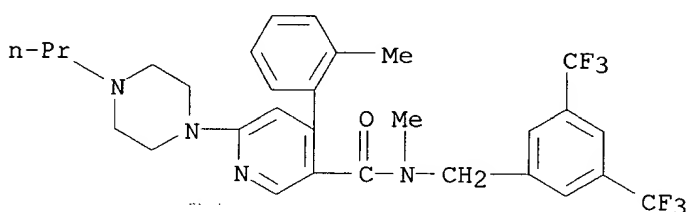
RN 290296-75-2 CAPLUS

CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

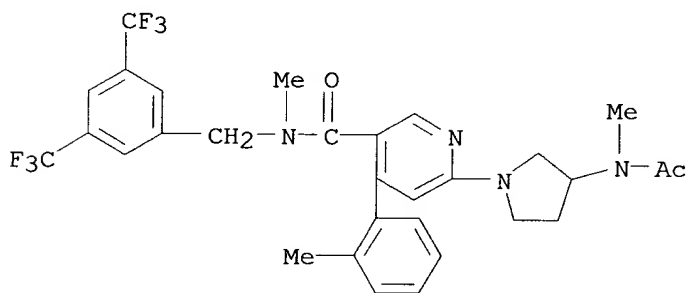
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RN 290296-86-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-propyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

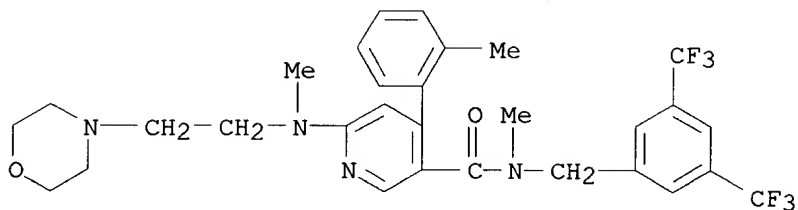


RN 290296-87-6 CAPLUS  
CN 3-Pyridinecarboxamide, 6-[3-(acetylmethylamino)-1-pyrrolidinyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)  
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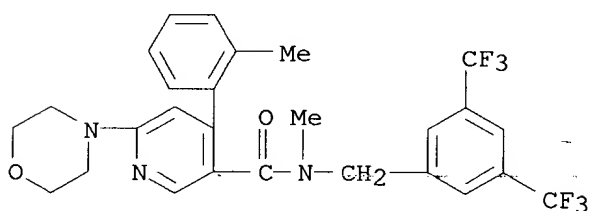


RN 290296-88-7 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-[methyl[2-(4-morpholinyl)ethyl]amino]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

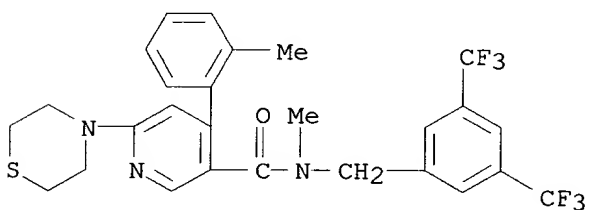
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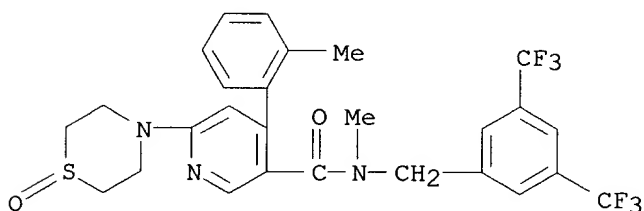
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CN 3-Pyridinecarboxamide,  
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4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 290296-90-1 CAPLUS  
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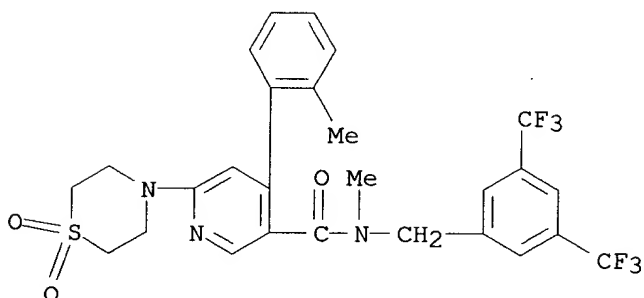
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N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(1-oxido-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



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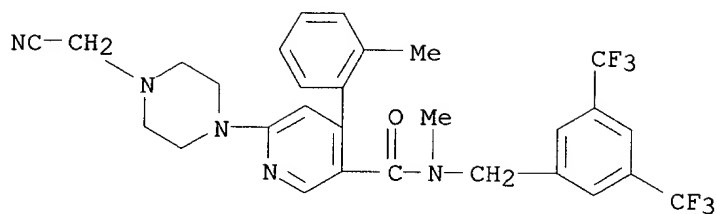


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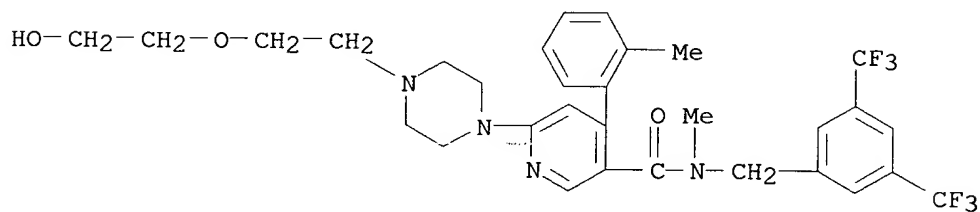
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INDEX  
NAME)

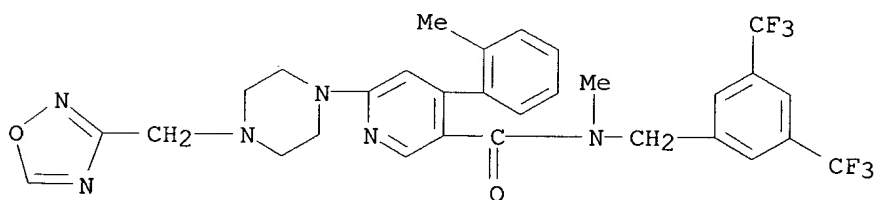
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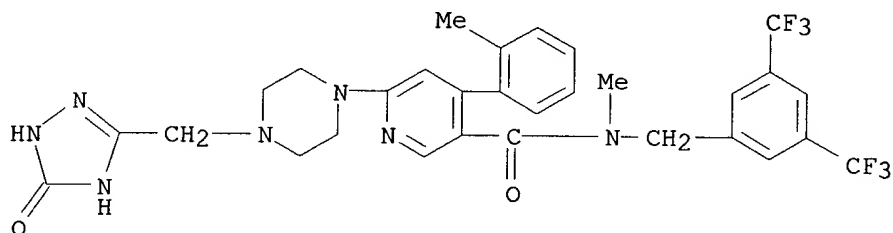


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N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-[4-(1,2,4-oxadiazol-3-ylmethyl)-1-piperazinyl]- (9CI)  
(CA INDEX NAME)



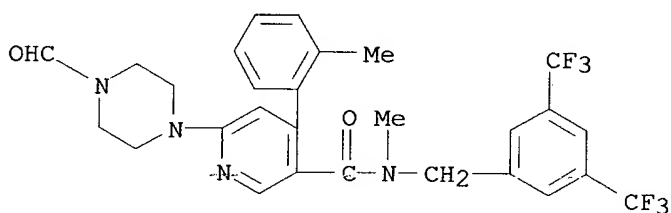
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CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



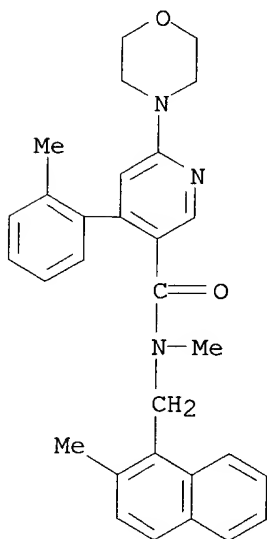
RN 290297-00-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-formyl-1-piperazinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290297-01-7 CAPLUS

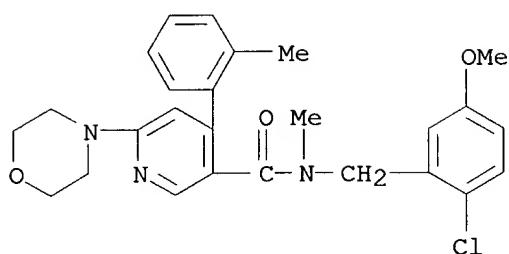
CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 290297-06-2 CAPLUS

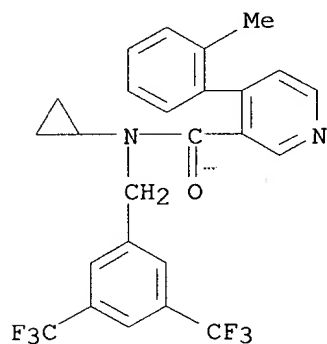
CN 3-Pyridinecarboxamide, N-[(2-chloro-5-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

09/922,066



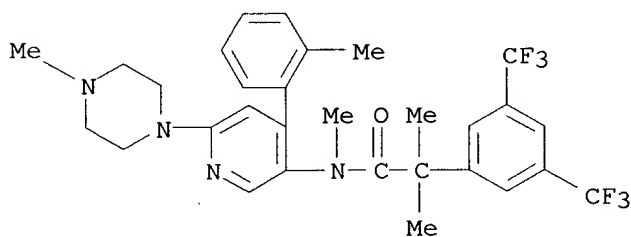
RN 290297-18-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-cyclopropyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290297-26-6 CAPLUS

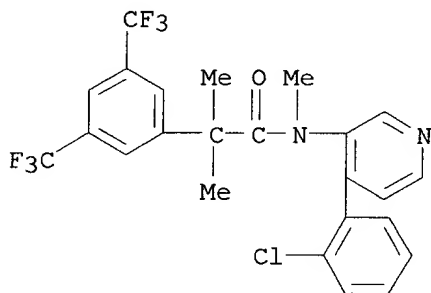
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



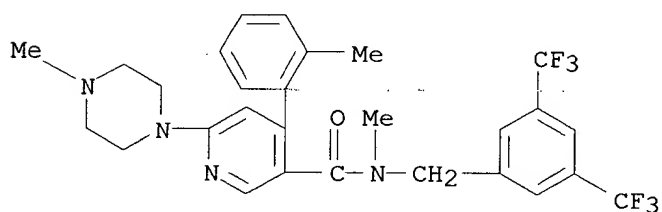
RN 290297-30-2 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

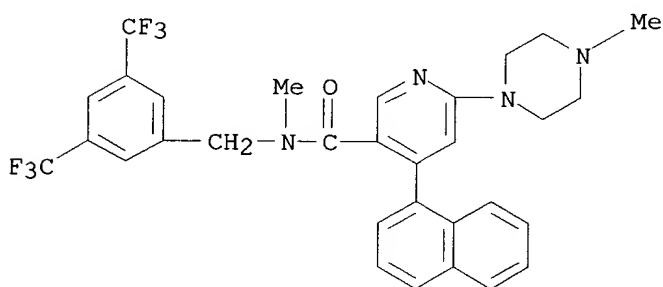
09/922,066



RN 290297-57-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

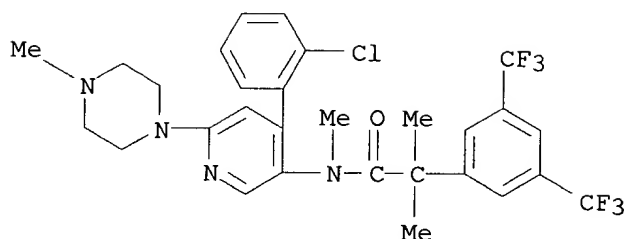


RN 290297-59-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-(4-methyl-1-piperazinyl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



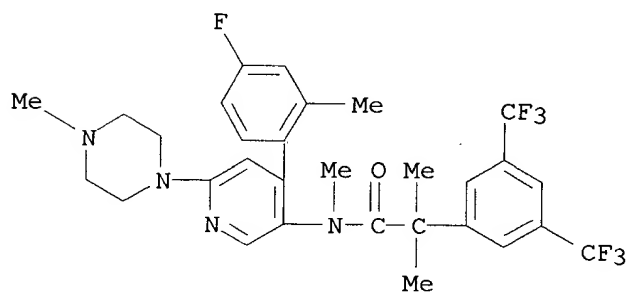
RN 290297-61-9 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-methyl-1-piperazinyl)-3-  
pyridinyl]-N-methyl-2-(3,5-bis(trifluoromethyl)phenyl)- (9CI)  
(CA INDEX NAME)

09/922,066



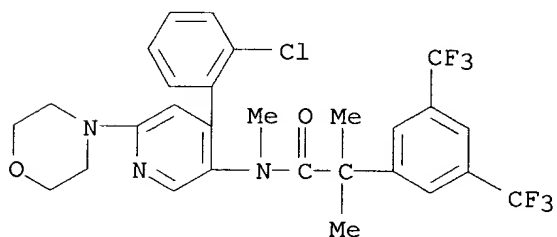
RN 290297-62-0 CAPLUS

CN Benzeneacetamide, N-[4-(4-fluoro-2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-65-3 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

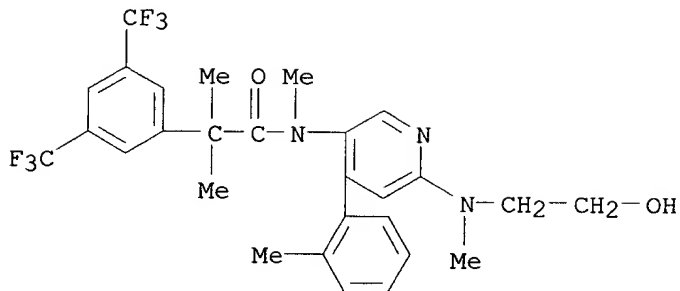


RN 290298-21-4 CAPLUS

CN Benzeneacetamide,

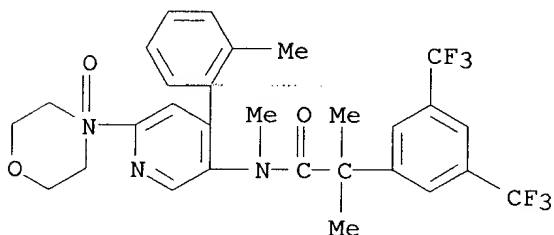
N-[6-[(2-hydroxyethyl)methylamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



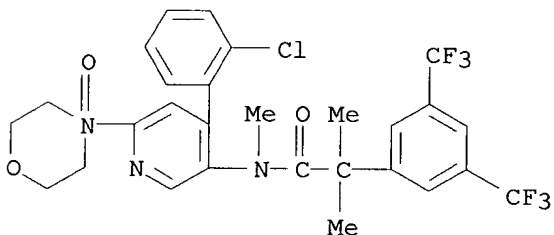
RN 391674-73-0 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 391674-74-1 CAPLUS

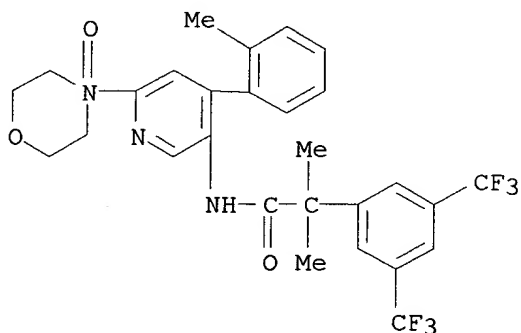
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



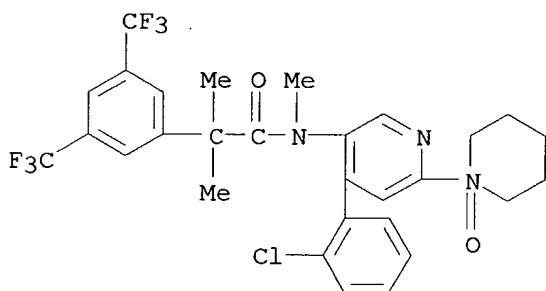
RN 391674-75-2 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

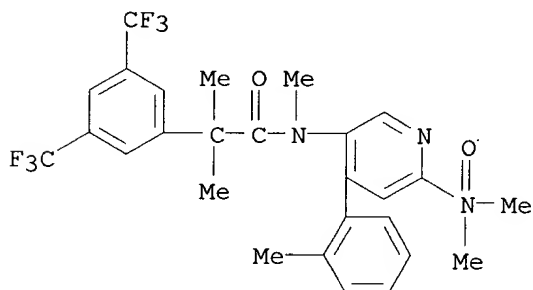
09/922,066



RN 391674-76-3 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(1-oxido-1-piperidinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



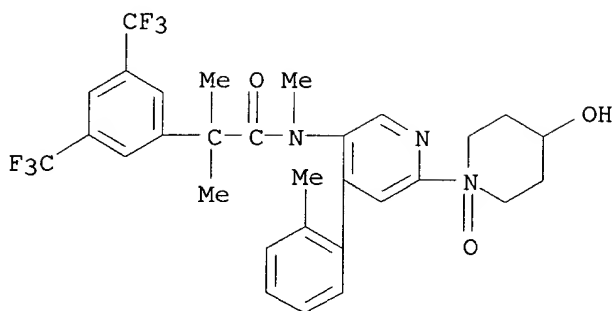
RN 391674-77-4 CAPLUS  
CN Benzeneacetamide, N-[6-(dimethyloxidoamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 391674-79-6 CAPLUS  
CN Benzeneacetamide, N-[6-(4-hydroxy-1-oxido-1-piperidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

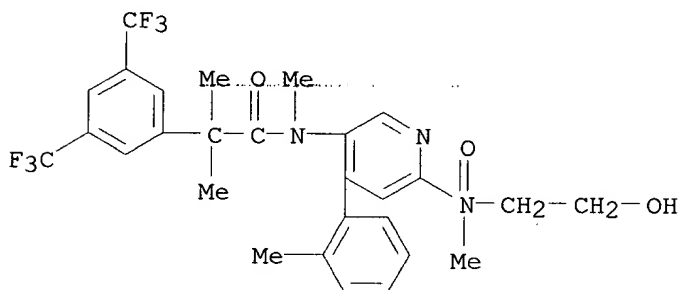


09/922,066



RN 391674-80-9 CAPLUS

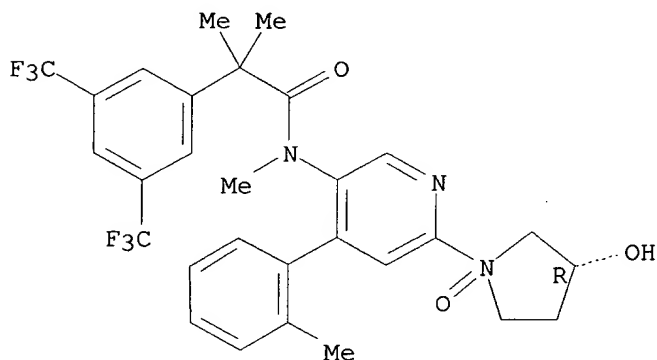
CN Benzeneacetamide, N-[6-[(2-hydroxyethyl)methyloxidoamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 391674-81-0 CAPLUS

CN Benzeneacetamide, N-[6-[(3R)-3-hydroxy-1-oxido-1-pyrrolidinyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

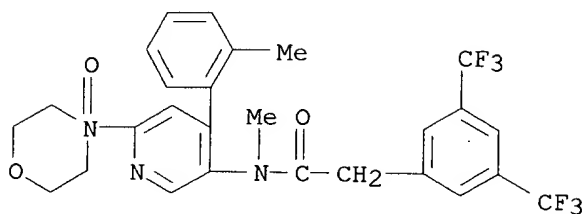
Absolute stereochemistry.



RN 391674-82-1 CAPLUS

CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

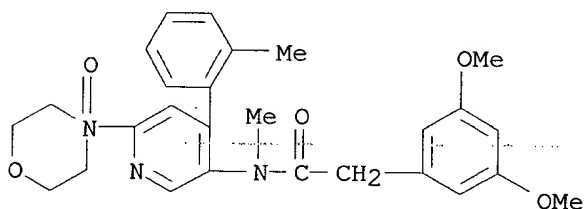
09/922,066



RN 391674-83-2 CAPLUS

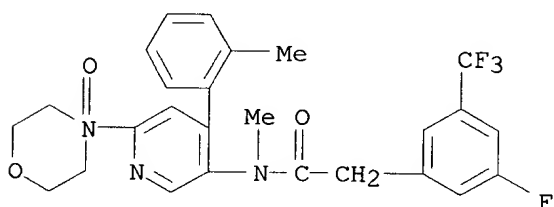
CN Benzeneacetamide,

3,5-dimethoxy-N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 391674-84-3 CAPLUS

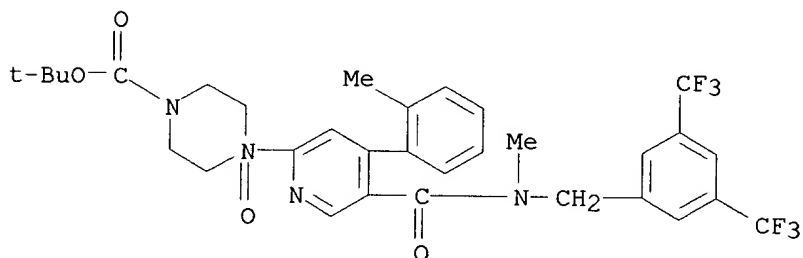
CN Benzeneacetamide, 3-fluoro-N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 391674-85-4 CAPLUS

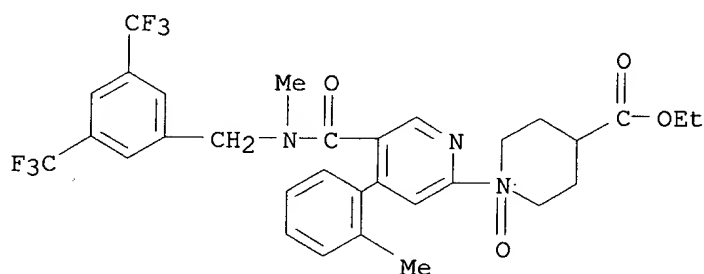
CN 1-Piperazinecarboxylic acid,

4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, 1,1-dimethylethyl ester, 4-oxide (9CI) (CA INDEX NAME)

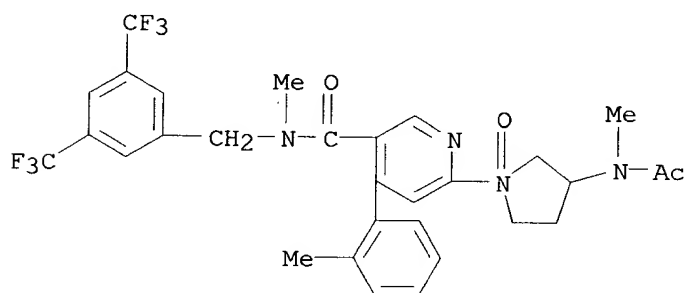


09/922,066

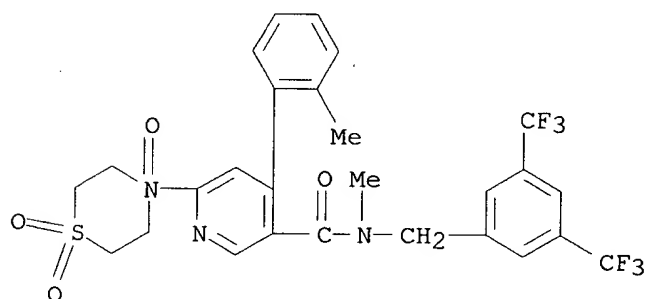
RN 391674-86-5 CAPLUS  
CN 4-Piperidinecarboxylic acid,  
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, ethyl ester,  
1-oxide (9CI) (CA INDEX NAME)



RN 391674-87-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
6-[3-(acetylmethylamino)-1-oxido-1-pyrrolidinyl]-N-  
[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-  
(9CI) (CA INDEX NAME)



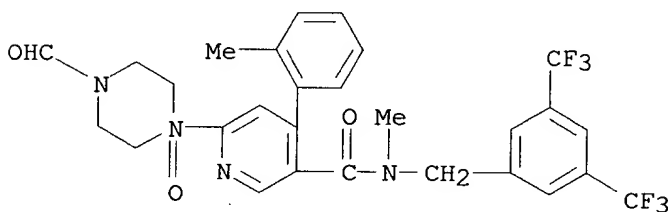
RN 391674-89-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(1,1,4-trioxido-4-thiomorpholinyl)- (9CI) (CA INDEX  
NAME)



09/922,066

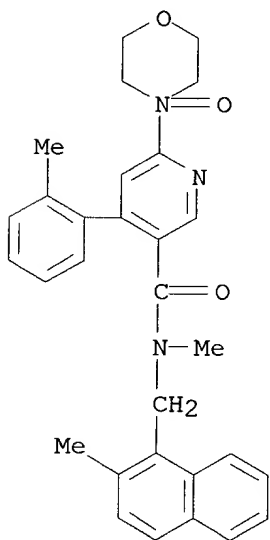
RN 391674-90-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-formyl-1-oxido-1-piperazinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 391674-91-2 CAPLUS

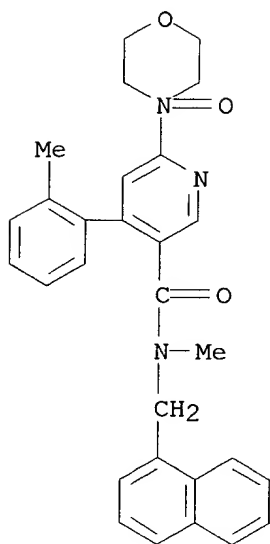
CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



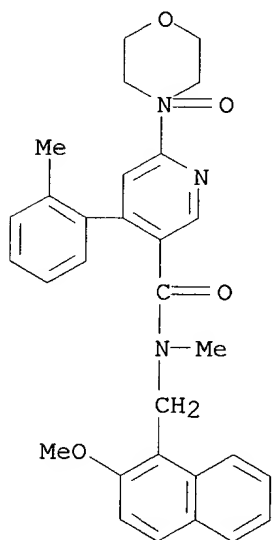
RN 391674-92-3 CAPLUS

CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-N-(1-naphthalenylmethyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

09/922,066

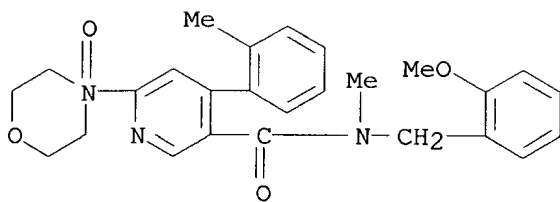


RN 391674-93-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(2-methoxy-1-naphthalenyl)methyl]-N-methyl-4-(2-  
methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

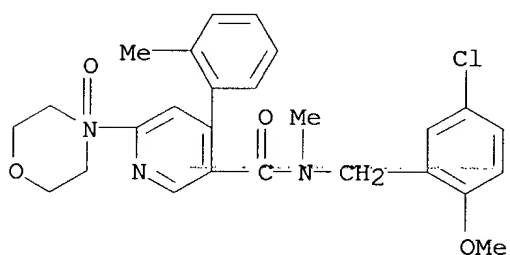


RN 391674-94-5 CAPLUS  
CN 3-Pyridinecarboxamide, N-[(2-methoxyphenyl)methyl]-N-methyl-4-(2-  
methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

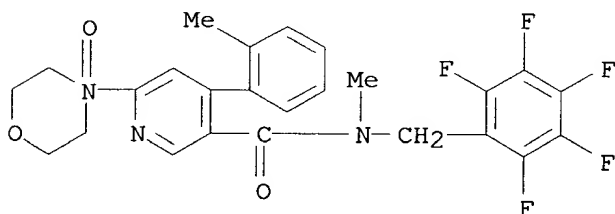
09/922,066



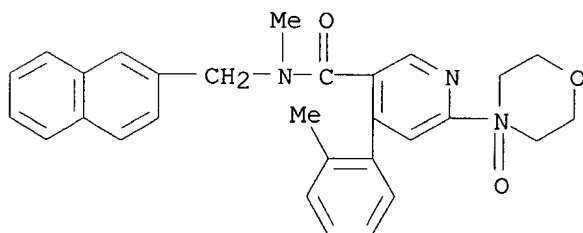
RN 391674-95-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(5-chloro-2-methoxyphenyl)methyl]-N-methyl-4-(2-  
methoxyphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 391674-97-8 CAPLUS  
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-  
morpholinyl)-N-[(pentafluorophenyl)methyl]- (9CI) (CA INDEX NAME)

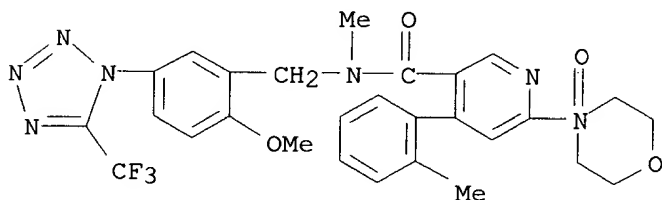


RN 391674-98-9 CAPLUS  
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-N-(2-  
naphthalenylmethyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

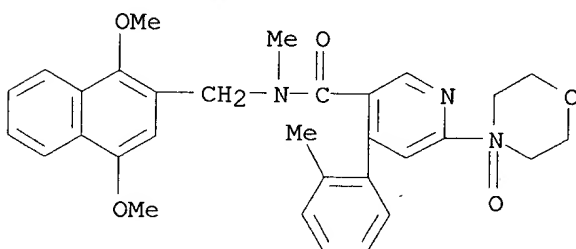


09/922,066

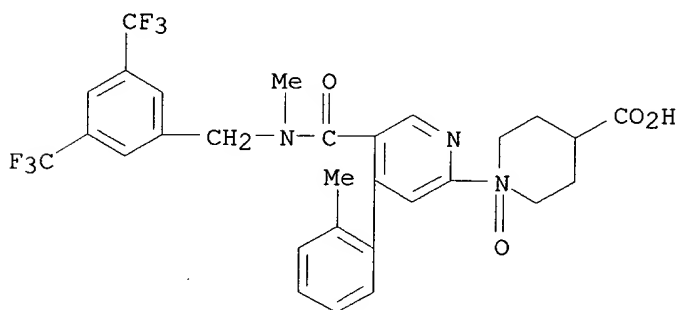
RN 391674-99-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-  
(9CI) (CA INDEX NAME)



RN 391675-00-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(1,4-dimethoxy-2-naphthalenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-  
(9CI) (CA INDEX NAME)

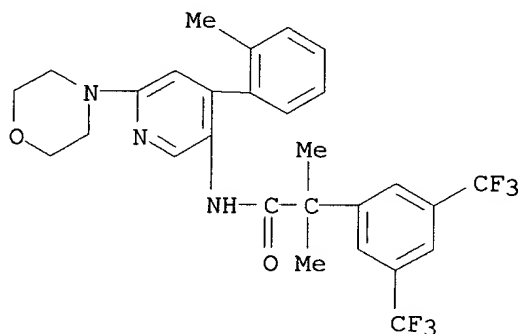


RN 391675-01-7 CAPLUS  
CN 4-Piperidinecarboxylic acid,  
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, 1-oxide (9CI)  
(CA INDEX NAME)

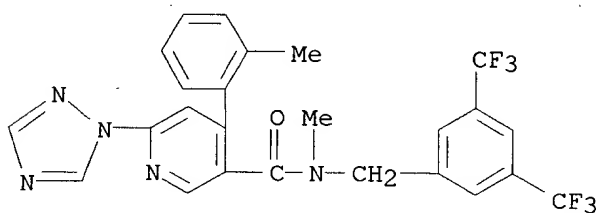


RN 391675-02-8 CAPLUS  
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-  
(9CI) (CA INDEX NAME)

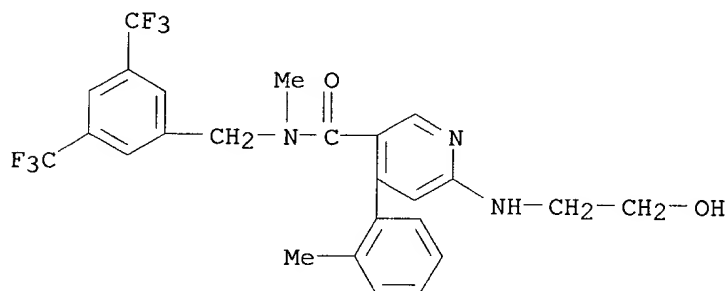
09/922,066



RN 393508-70-8 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
 4-(2-methylphenyl)-6-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



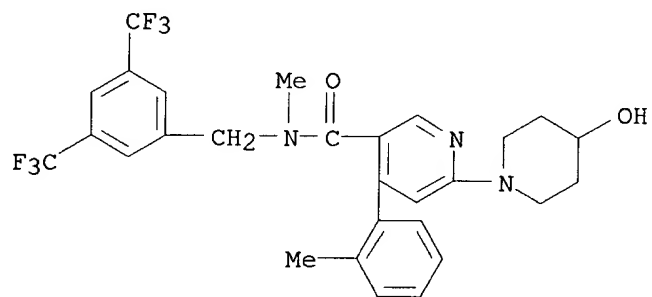
RN 393508-71-9 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[(2-hydroxyethyl)amino]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



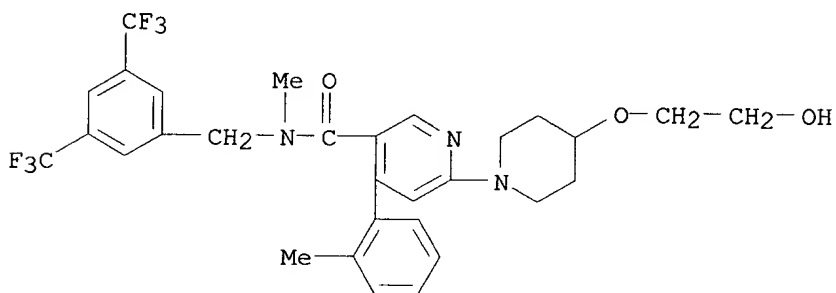
RN 393508-72-0 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-hydroxy-1-piperidinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



09/922,066

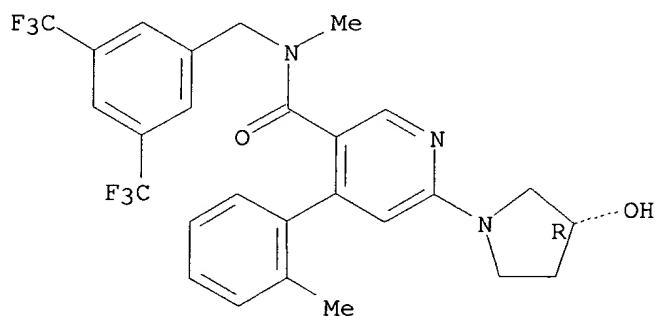


RN 393508-73-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(2-  
hydroxyethoxy)-1-piperidinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA  
INDEX NAME)



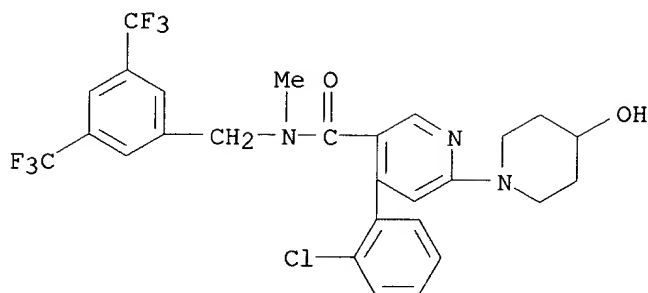
RN 393508-74-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[(3R)-  
3-hydroxy-1-pyrrolidinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

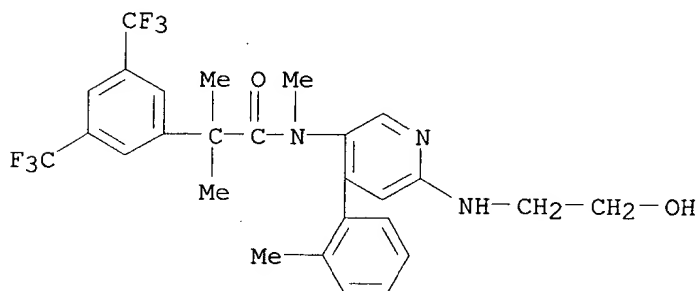


RN 393508-75-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-  
chlorophenyl)-6-[4-(1-hydroxy-2-methylpyrrolidin-1-yl)-1-piperidinyl]-N-methyl- (9CI) (CA INDEX  
NAME)

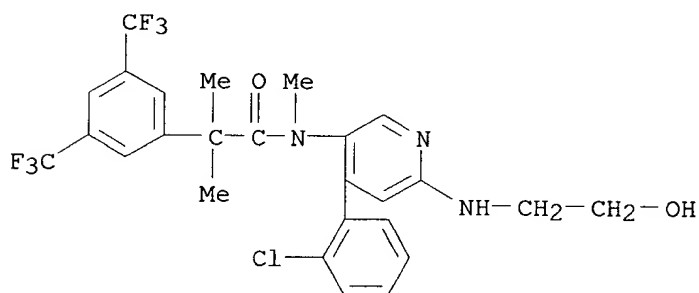
09/922,066



RN 393508-76-4 CAPLUS  
CN Benzeneacetamide, N-[6-[(2-hydroxyethyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

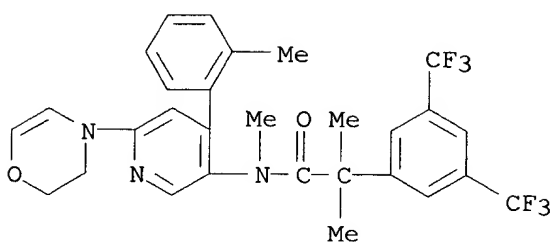


RN 393508-77-5 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-[(2-hydroxyethyl)amino]-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



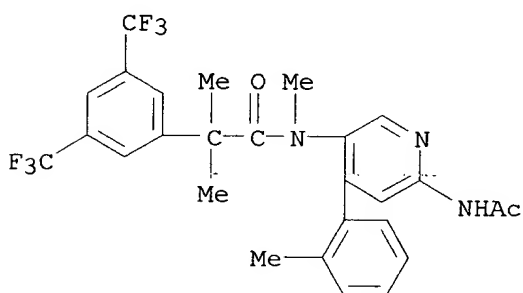
RN 393508-78-6 CAPLUS  
CN Benzeneacetamide,  
N-[6-(2,3-dihydro-4H-1,4-oxazin-4-yl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

09/922,066



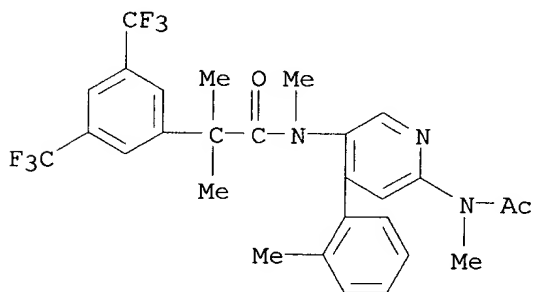
RN 393508-79-7 CAPLUS

CN Benzeneacetamide, N-[6-(acetylamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 393508-80-0 CAPLUS

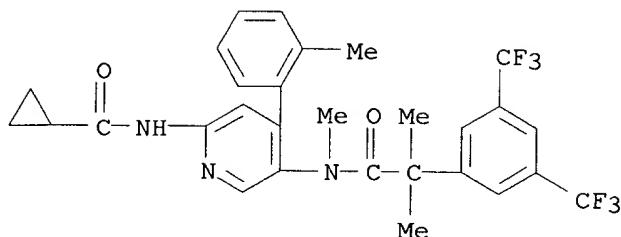
CN Benzeneacetamide, N-[6-(acetylmethylamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 393508-81-1 CAPLUS

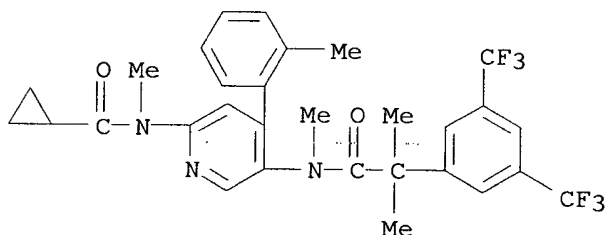
CN Benzeneacetamide, N-[6-[(cyclopropylcarbonyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



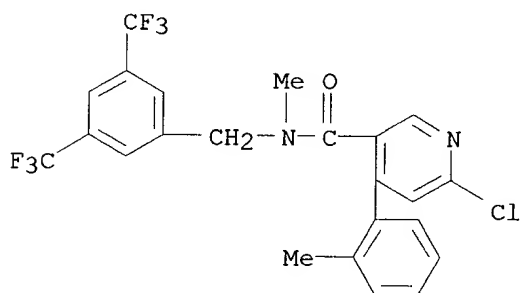
RN 393508-82-2 CAPLUS

CN Benzeneacetamide, N-[6-[(cyclopropylcarbonyl)methylamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 393508-84-4 CAPLUS

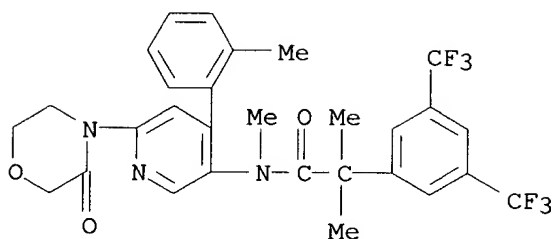
CN 3-Pyridinecarboxamide,  
N-[3,5-bis(trifluoromethyl)phenyl]methyl]-6-chloro-  
N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 393508-91-3 CAPLUS

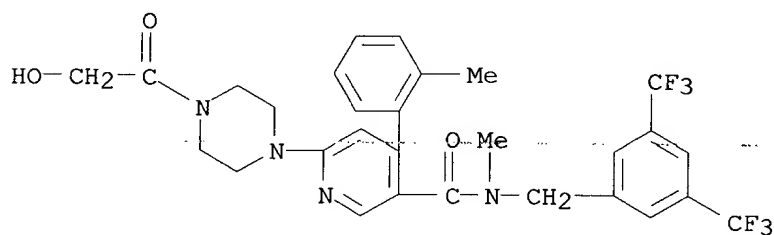
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(3-oxo-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



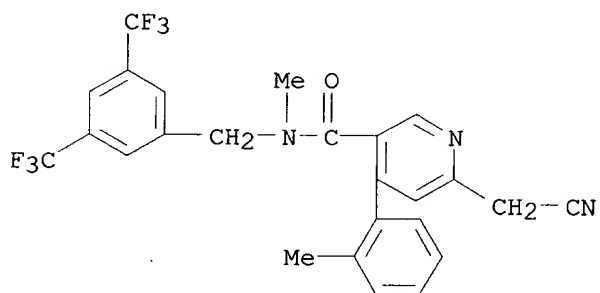
RN 401891-32-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(hydroxyacetyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401891-33-6 CAPLUS

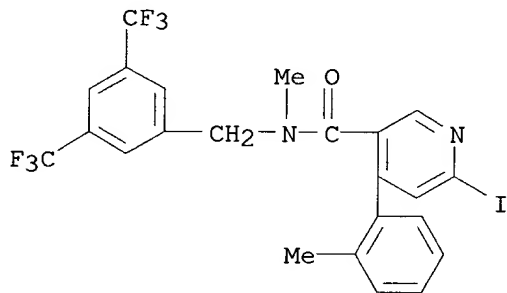
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(cyanomethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



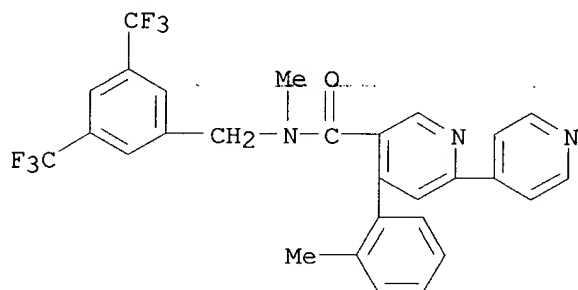
RN 401891-34-7 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-iodo-N-  
methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

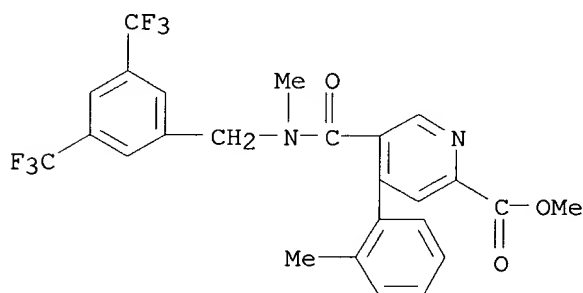
09/922,066



RN 401891-35-8 CAPLUS  
CN [2,4'-Bipyridine]-5-carboxamide,  
N-[[[3,5-bis(trifluoromethyl)phenyl]methyl]  
]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

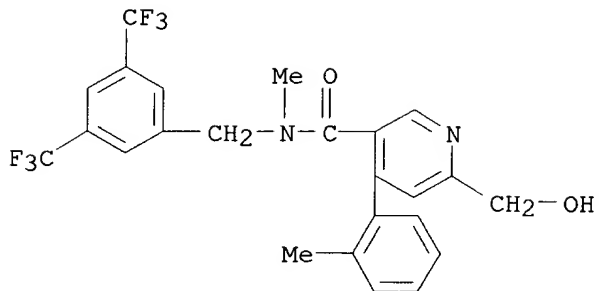


RN 401891-36-9 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]met  
hylamino]carbonyl]-4-(2-methylphenyl)-, methyl ester (9CI) (CA INDEX  
NAME)



RN 401891-37-0 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-  
(hydroxymethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

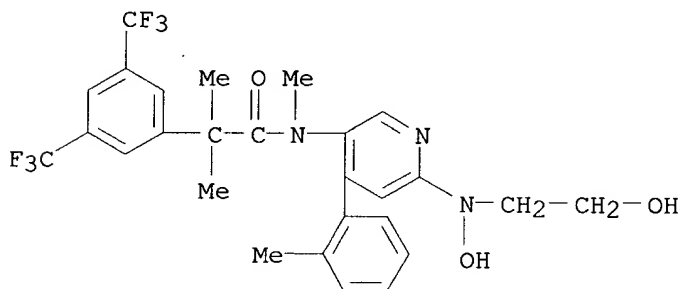
09/922,066



RN 401891-38-1 CAPLUS

CN Benzeneacetamide,

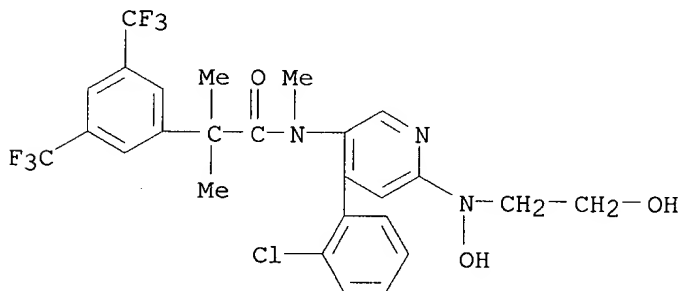
N-[6-[hydroxy(2-hydroxyethyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401891-39-2 CAPLUS

CN Benzeneacetamide,

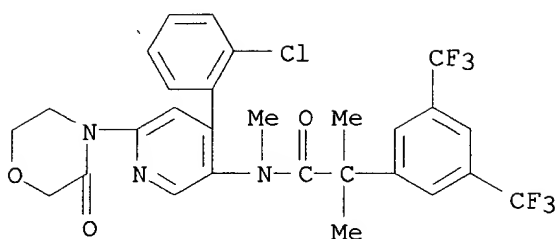
N-[4-(2-chlorophenyl)-6-[hydroxy(2-hydroxyethyl)amino]-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401891-40-5 CAPLUS

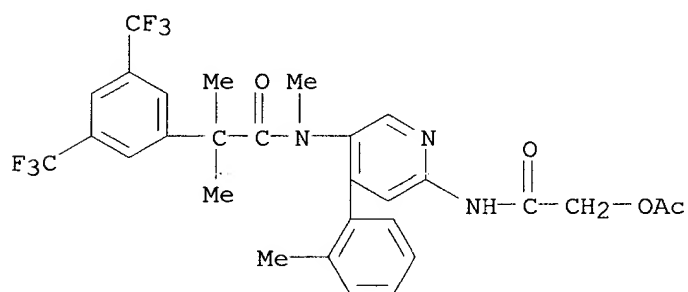
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(3-oxo-4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

09/922,066



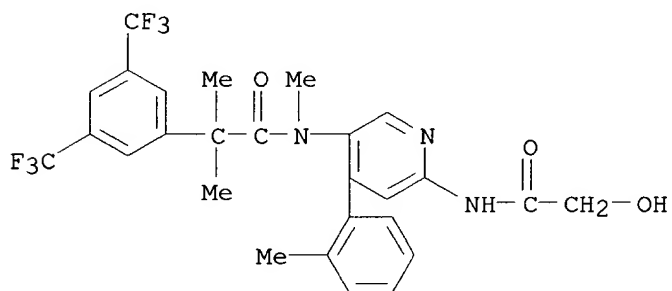
RN 401891-41-6 CAPLUS

CN Benzeneacetamide, N-[6-[[ (acetyloxy) acetyl] amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401891-42-7 CAPLUS

CN Benzeneacetamide, N-[6-[(hydroxyacetyl) amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

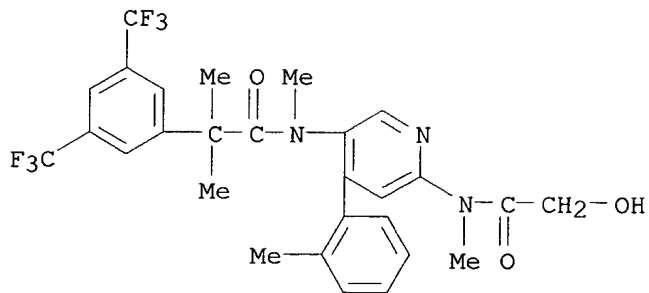


RN 401891-43-8 CAPLUS

CN Benzeneacetamide, N-[6-[(hydroxyacetyl) methylamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

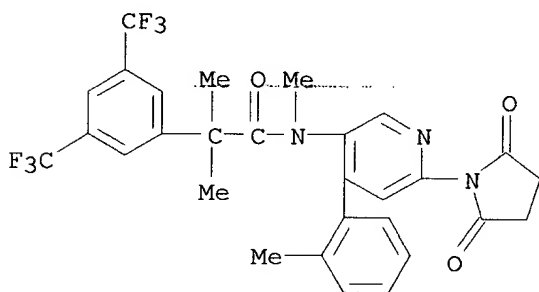


09/922,066



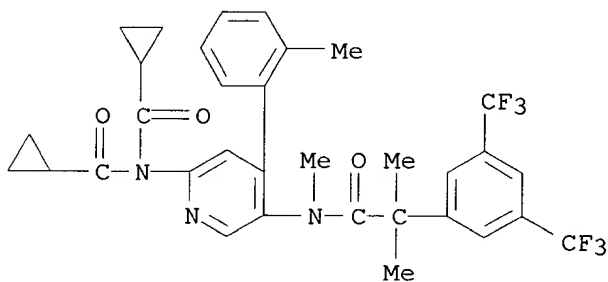
RN 401891-44-9 CAPLUS

CN Benzeneacetamide, N-[6-(2,5-dioxo-1-pyrrolidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401891-45-0 CAPLUS

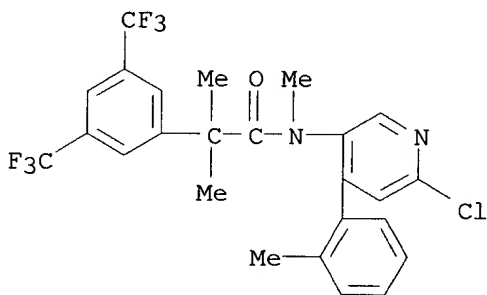
CN Benzeneacetamide,  
N-[6-bis(cyclopropylcarbonyl)amino]-4-(2-methylphenyl)-  
3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401891-55-2 CAPLUS

CN Benzeneacetamide, N-[6-chloro-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

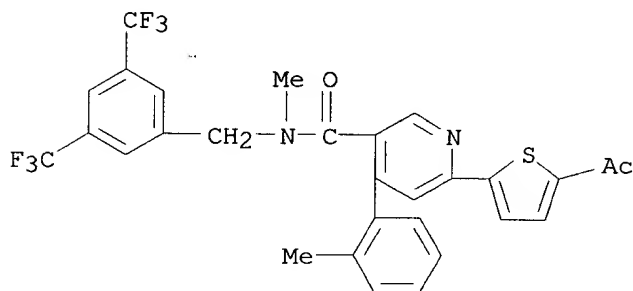
09/922,066



RN 401891-63-2 CAPLUS

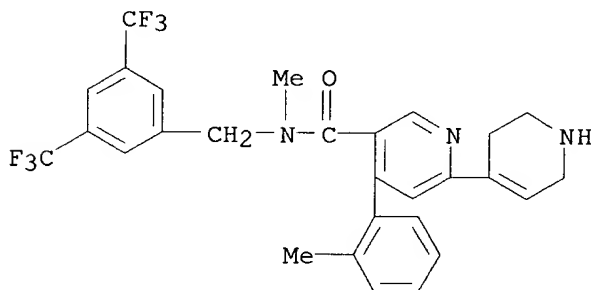
CN 3-Pyridinecarboxamide, 6-(5-acetyl-2-thienyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)

(CA INDEX NAME)



RN 401891-67-6 CAPLUS

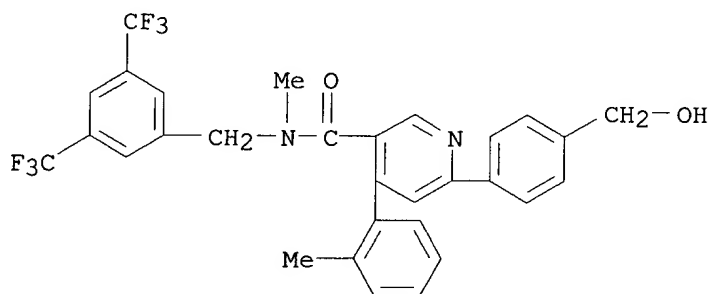
CN [2,4'-Bipyridine]-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1',2',3',6'-tetrahydro-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



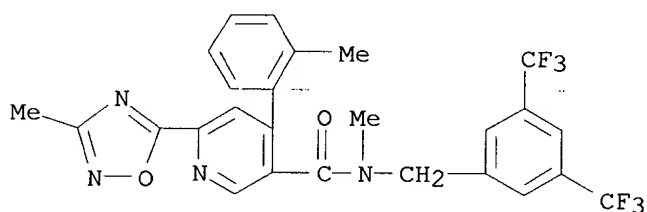
RN 401891-70-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(hydroxymethyl)phenyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

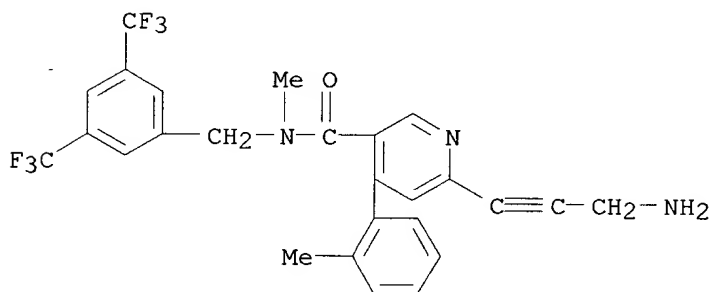
09/922,066



RN 401891-87-0 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
 6-(3-methyl-1,2,4-oxadiazol-5-yl)-4-(2-methylphenyl)- (9CI) (CA INDEX  
 NAME)

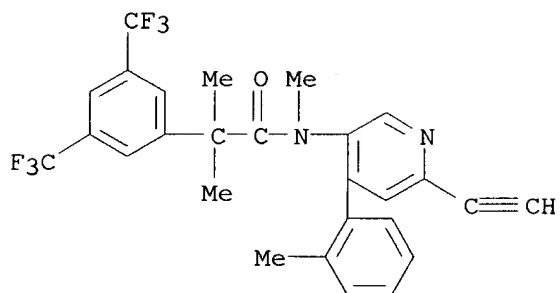


RN 401891-90-5 CAPLUS  
 CN 3-Pyridinecarboxamide, 6-(3-amino-1-propynyl)-N-[[3,5-  
 bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)  
 (CA INDEX NAME)



RN 401891-91-6 CAPLUS  
 CN Benzeneacetamide, N-[6-ethynyl-4-(2-methylphenyl)-3-pyridinyl]-  
 N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
 NAME)

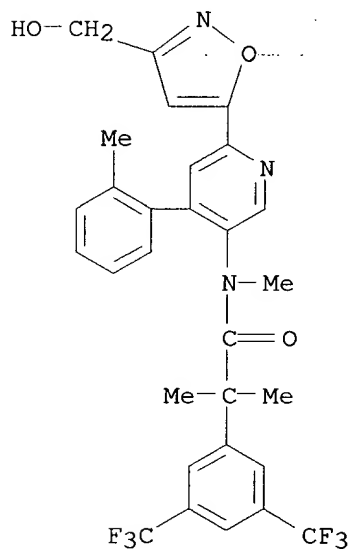
09/922,066



RN 401891-94-9 CAPLUS

CN Benzeneacetamide,

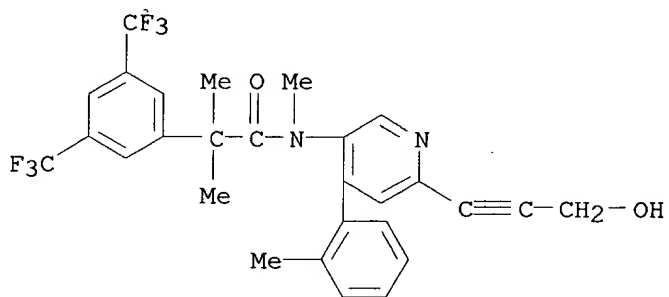
N-[6-[3-(hydroxymethyl)-5-isoxazolyl]-4-(2-methylphenyl)-  
3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401892-00-0 CAPLUS

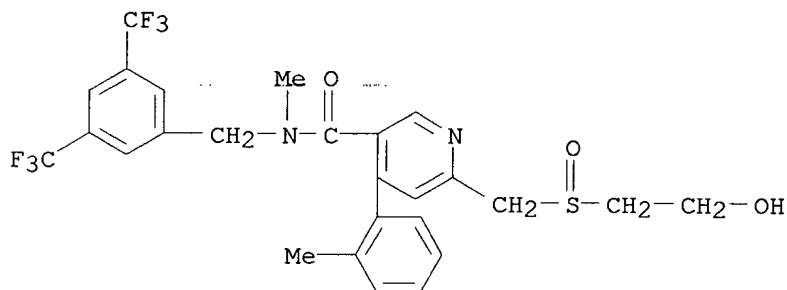
CN Benzeneacetamide, N-[6-(3-hydroxy-1-propynyl)-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

09/922,066



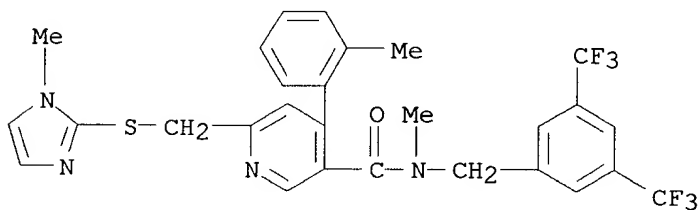
RN 401892-10-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[[2-hydroxyethyl]sulfinyl]methyl-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401892-12-4 CAPLUS

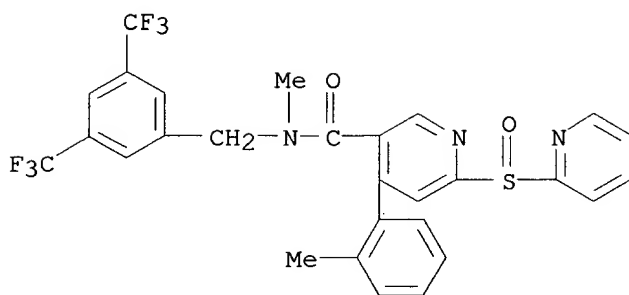
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-[[1-methyl-1H-imidazol-2-yl]thio]methyl]-4-(2-methylphenyl)- (9CI)  
(CA INDEX NAME)



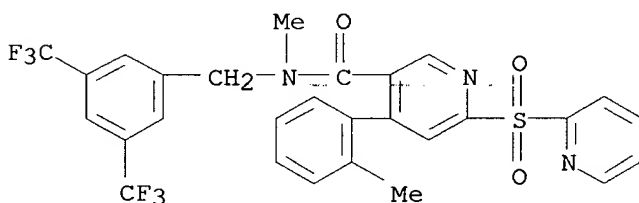
RN 401892-24-8 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(2-pyridinylsulfinyl)- (9CI) (CA INDEX NAME)

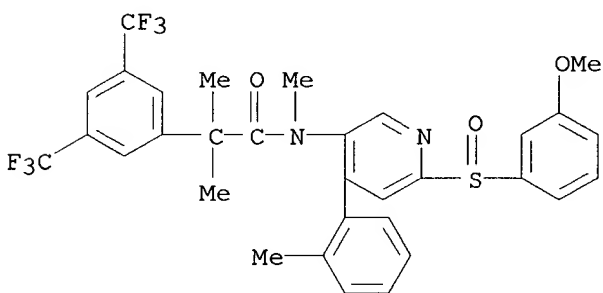
09/922,066



RN 401892-25-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(2-pyridinylsulfonyl)- (9CI) (CA INDEX NAME)

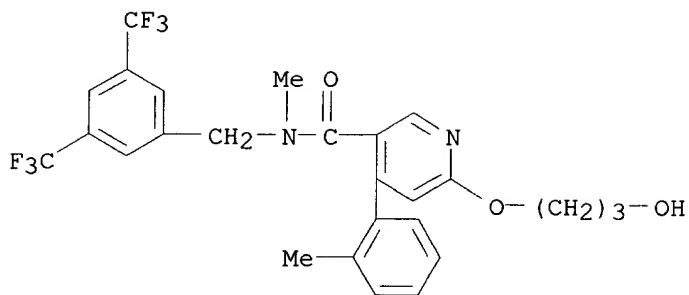


RN 401892-29-3 CAPLUS  
CN Benzeneacetamide, N-[6-[(3-methoxyphenyl)sulfinyl]-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

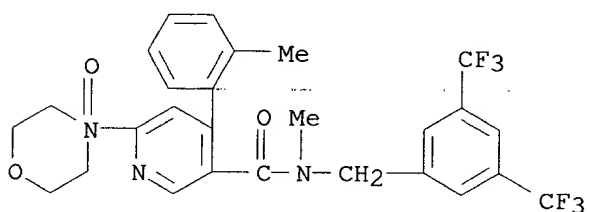


RN 401892-38-4 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3-  
hydroxypropoxy)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

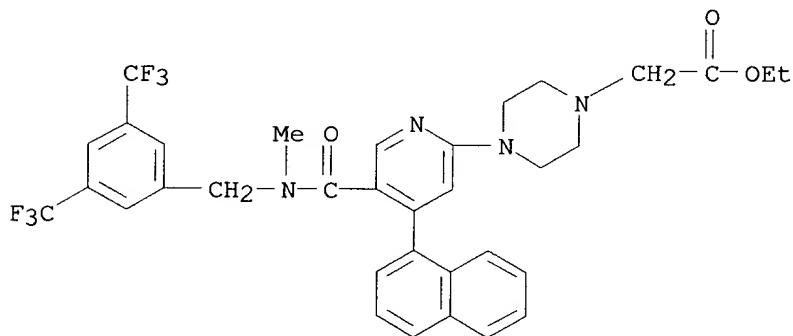
09/922,066



RN 401892-63-5 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
 4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

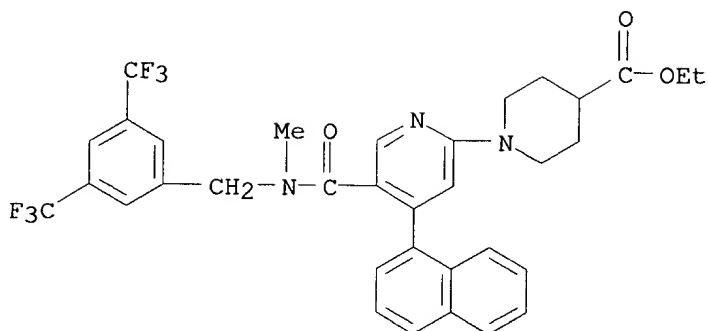


RN 474026-05-6 CAPLUS  
 CN 1-Piperazineacetic acid,  
 4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]me  
 thylamino]carbonyl]-4-(1-naphthalenyl)-2-pyridinyl]-, ethyl ester (9CI)  
 (CA INDEX NAME)



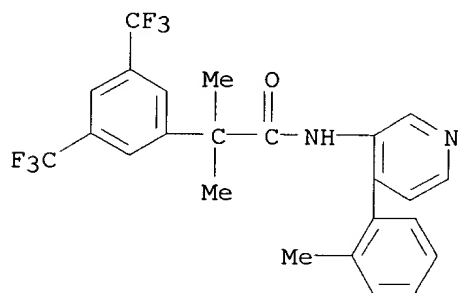
RN 474026-06-7 CAPLUS  
 CN 4-Piperidinecarboxylic acid,  
 1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methy  
 l]methylamino]carbonyl]-4-(1-naphthalenyl)-2-pyridinyl]-, ethyl ester  
 (9CI) (CA INDEX NAME)

09/922,066



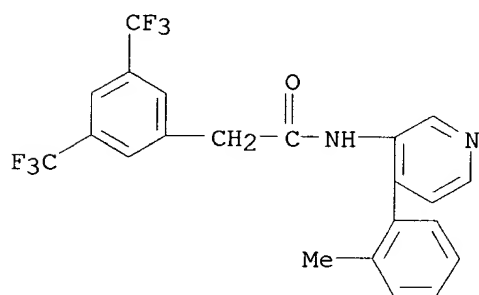
RN 474026-07-8 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474026-08-9 CAPLUS

CN Benzeneacetamide, N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

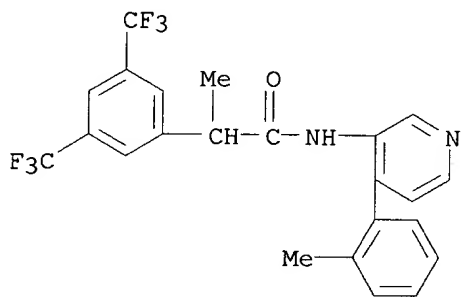


RN 474026-09-0 CAPLUS

CN Benzeneacetamide, .alpha.-methyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



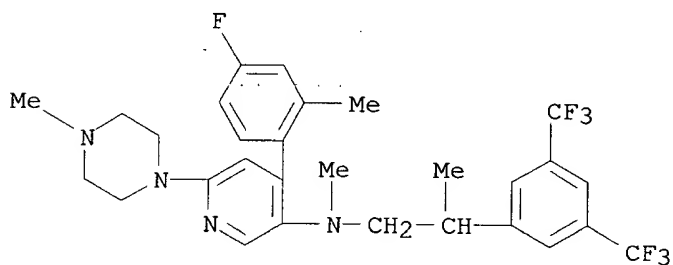
09/922,066



RN 474026-10-3 CAPLUS

CN 3-Pyridinamine,

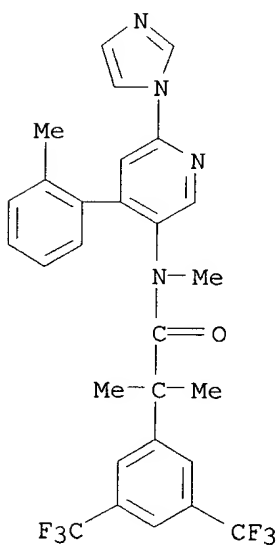
N-[2-[3,5-bis(trifluoromethyl)phenyl]propyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 474026-11-4 CAPLUS

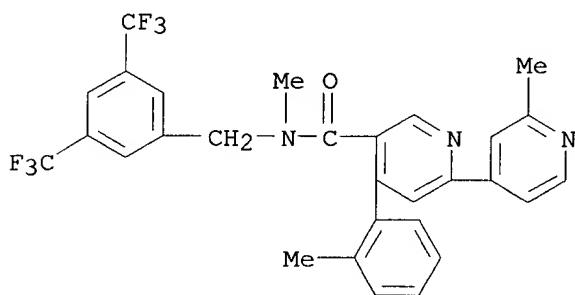
CN Benzeneacetamide,

N-[6-(1H-imidazol-1-yl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

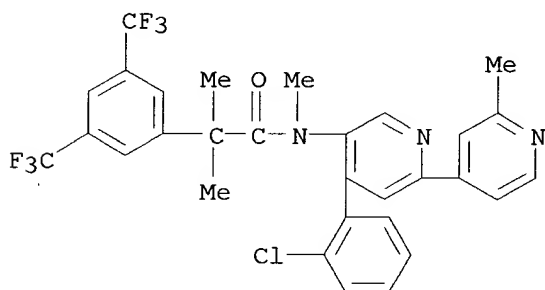


09/922,066

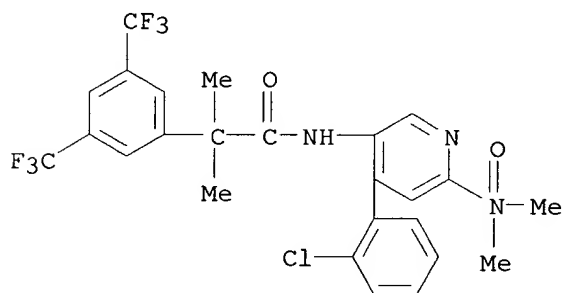
RN 474026-12-5 CAPLUS  
CN [2,4'-Bipyridine]-5-carboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl  
]-N,2'-dimethyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 474026-13-6 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-2'-methyl[2,4'-bipyridin]-5-yl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)



RN 474026-14-7 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(dimethyloxidoamino)-3-  
pyridinyl]-.alpha.,.alpha.-dimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA  
INDEX NAME)



IT **474026-21-6P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

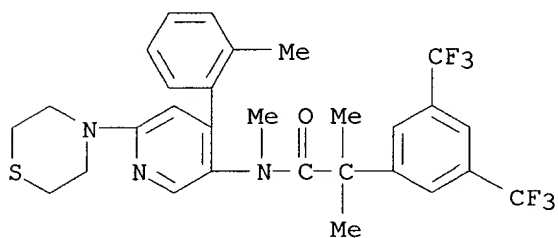
09/922,066

(Reactant or reagent)

(prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)

RN 474026-21-6 CAPLUS

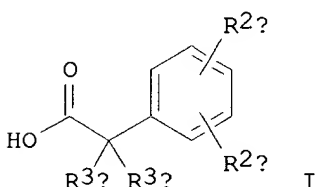
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-thiomorpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



09/922,066

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:777873 CAPLUS  
DN 137:294768  
TI Acid-catalyzed carbonylation process for the manufacture of phenylacetic acid derivatives  
IN Hoffmann-Emery, Fabienne; Scalone, Michelangelo; Spurr, Paul  
PA F. Hoffmann-La Roche A.-G., Switz.  
SO PCT Int. Appl., 21 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002079134	A1	20021010	WO 2002-EP1271	20020207
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2002156313	A1	20021024	US 2002-41123	20020108
PRAI	EP 2001-103284	A	20010213		
	EP 2001-127405	A	20011123		
OS	MARPAT 137:294768				
GI					



AB A process for the prepn. of phenylacetic acid derivs. I [R2a-2b = H, halo, alkoxy, CN, COOH, alkoxy carbonyl, alkyl; R3a-3b = H, alkyl, cycloalkyl or taken together (CH2)n; n = 2,3,5] was disclosed. The process involves reacting an aryl Grignard deriv. with a compd. a carbonyl deriv. followed by carbonylating the resulting carbinol in the presence of a strong acid. For instance, acetone was added to the Grignard reagent derived from 3,5-bis(trifluoromethyl)bromobenzene (Et2O, 16-22.degree.) and the resulting carbinol (14.13 g) in CH2Cl2 pumped into a soln. of CH2Cl2/CF3SO3H/H2O/CO at 30 bar at 20.degree.. Aq. work-up produced 14.98 g of 2-(3,5-bis(trifluoromethyl)phenyl)-2-methylpropionic acid with 99.0% purity. The carboxylic acid was converted to the acid chloride and then to a therapeutically active morpholine deriv. in another example. The current process produces .alpha.,.alpha.-dialkylated carboxylic acid derivs. with fewer byproducts than prior art methods.

09/922,066

IT **290296-68-3P**, 2-(3,5-Bis(trifluoromethyl)phenyl)-N-[4-[2-methylphenyl]-6-(morpholin-4-yl)pyridin-3-yl]-N-methylisobutyramide

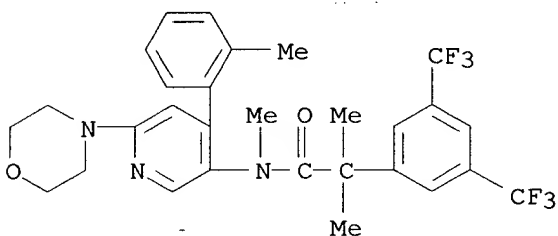
**290297-65-3P**, 2-(3,5-Bis(trifluoromethyl)phenyl)-N-[4-[2-chlorophenyl]-6-(morpholin-4-yl)pyridin-3-yl]-N-methylisobutyramide

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(acid-catalyzed carbonylation of carbinols to phenylacetic acid derivs.)

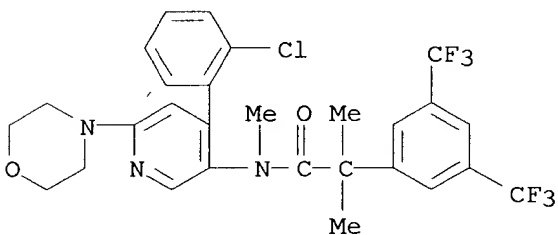
RN 290296-68-3 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-65-3 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

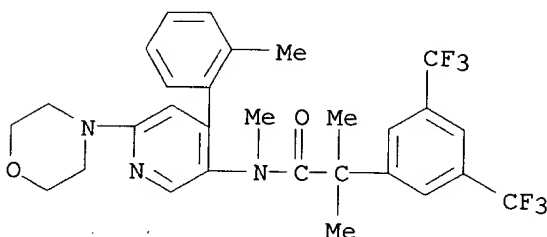
L4 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:465794 CAPLUS  
DN 137:37665  
TI Self-emulsifying lipid matrix (SELM) for oral pharmaceuticals  
IN Kuentz, Martin; Roethlisberger, Dieter  
PA F. Hoffman-La Roche A.-G., Switz.  
SO PCT Int. Appl., 15 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002047663	A1	20020620	WO 2001-EP14437	20011208
	W:				
					AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
	RW:				GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
	AU 2002016085	A5	20020624	AU 2002-16085	20011208
	US 2002114837	A1	20020822	US 2001-15925	20011210
PRAI	EP 2000-127414	A	20001214		
	WO 2001-EP14437	W	20011208		
AB	A pharmaceutical compn. for oral administration of an active compd. showing a bioavailability of 20% or less comprises (by wt.) 0.01-15% of an active compd. molecularly dissolved in the compn., 30-80% of an edible lipid matrix, and 1-20% of an edible emulsifier, the ratio between the dose wt. of the active compd. and its soly. in the compn. being equal to or greater than 0.6 mL. The high percentage of fat (30-80%) enables to considerably increase the amt. of the drug molecularly dispersed in the dosage form, thus allowing to significantly reduce the no. of unit doses which must be taken daily by patients. For example, 8 g Cremophor RH 40 were dispersed in 70.08 g of cocoa butter, previously warmed to 70-80.degree.. The temp. of the resulting mixt. was then reduced to about 50-60.degree. and 1.4 g of 2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-(6-morpholin-4-yl-4-o-tolylpyridin-3-yl) isobutyramide (I) were dissolved together with 0.02 g vanillin. The temp. of the resulting mixt. was further reduced to 40.degree. and 0.5 g aspartame were added. Finally, 20 g of milk powder were added at about 35.degree. (upper limit of the melting interval of cocoa butter). The resulting homogeneous mixt. was then dosed in molds whereby SELM tablets of 5 g each (corresponding to a vol. of about 5 mL) were obtained showing a ratio between the dose wt. of the active compd. and its soly. in the compn. of at least 4.67 mL. The use of SELM compn. enabled an increase of the bioavailability of I up to 22% in beagle dogs.				
IT	290296-68-3 290297-26-6 290297-30-2 341023-51-6 437703-52-1				
	RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(self-emulsifying lipid matrix for oral drug delivery systems)				

09/922,066

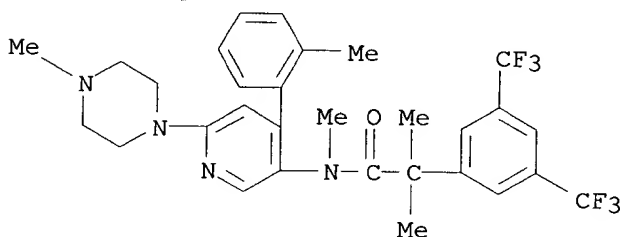
RN 290296-68-3 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



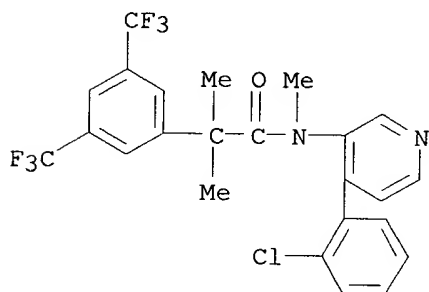
RN 290297-26-6 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-30-2 CAPLUS

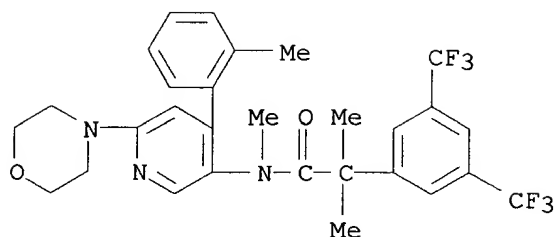
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 341023-51-6 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

09/922,066

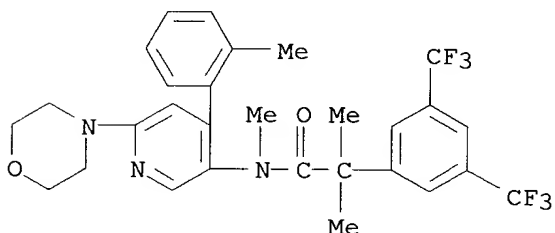


● HCl

RN 437703-52-1 CAPLUS  
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, sulfate (1:1) (9CI)  
(CA INDEX NAME)

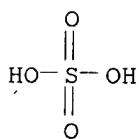
CM 1

CRN 290296-68-3  
CMF C29 H29 F6 N3 O2



CM 2

CRN 7664-93-9  
CMF H2 O4 S



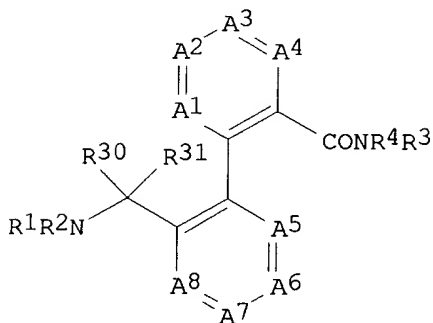
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



09/922,066

L4 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:449654 CAPLUS  
DN 137:20388  
TI Preparation of ortho-substituted nitrogen containing bisaryl compounds as potassium channel blockers  
IN Peukert, Stefan; Brendel, Joachim; Hemmerle, Horst; Kleemann, Heinz-Werner  
PA Aventis Pharma Deutschland G.m.b.H., Germany  
SO PCT Int. Appl., 69 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046162	A1	20020613	WO 2001-EP13680	20011124
	W:				
				AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
	DE 10060807	A1	20020620	DE 2000-10060807	20001207
	AU 2002021892	A5	20020618	AU 2002-21892	20011124
PRAI	DE 2000-10060807	A	20001207		
	WO 2001-EP13680	W	20011124		
OS	MARPAT 137:20388				
GI					



I

AB Title compds. [I; A1-A8 = N, CH, CR5; whereby >1 of A1-A8 = N and >4 of A1-A8 = CH; R1 = CO2R9, SO2R10, COR11, C(O)NR12R13, C(S)NR12R13; R9-R12 = CxH2xR14; x = 0-4; R14 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR15, SO2Me, (substituted) Ph, naphthyl, etc.; R15 = alkyl, cycloalkyl, (substituted) Ph; R13 = H, alkyl, CF3; R2 = H, alkyl, CF3; R3 = CyH2yR16, etc.; y = 0-4; R16 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR17, SO2Me, (substituted) Ph, naphthyl, etc.; R17 = H, alkyl, cycloalkyl, (substituted) Ph, pyridyl; R4 = H, alkyl, CF3; or R3R4 = (O-, S-, NH-, N(methyl)-, N(benzyl)-interrupted) C4-5 alkylene; R5 = F, Cl, Br, I, CF3,

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NO<sub>2</sub>, cyano, CO<sub>2</sub>Me, COMe, amino, OH, alkyl, alkoxy, etc.; R<sub>30</sub>, R<sub>31</sub> = H, alkyl; or R<sub>30</sub>R<sub>31</sub> = C<sub>2</sub> alkylene], were prepd. The most prepd. compds. were

phenylpyridines and phenylpyrazines. Thus, a mixt. of 1-[3-(2-aminomethylphenyl)pyridin-2-yl]-N-(cyclopropylmethyl)amide, diisopropylethylamine, (R)-3-phenylbutyric acid, and tetramethylfluoroamidinium hexafluorophosphate (TFFH) was stirred overnight to give 77%

1-(3-[2-(R)-[(3-phenylbutyrylamino)methyl]phenyl]pyridin-2-yl)-N-(cyclopropylamino)amide. The latter inhibited Kv1.5 human channel with IC<sub>50</sub> = 0.4 .mu.M.

IT **434320-22-6P 434320-23-7P 434320-24-8P**

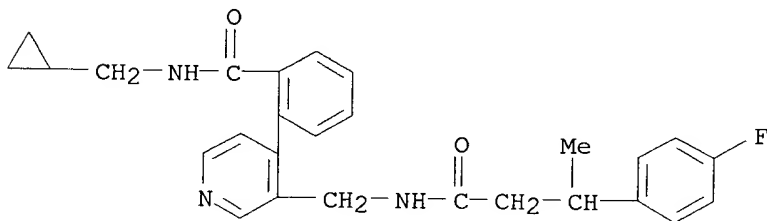
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of ortho-substituted nitrogen contg. bisaryl compds. as potassium channel blockers)

RN 434320-22-6 CAPLUS

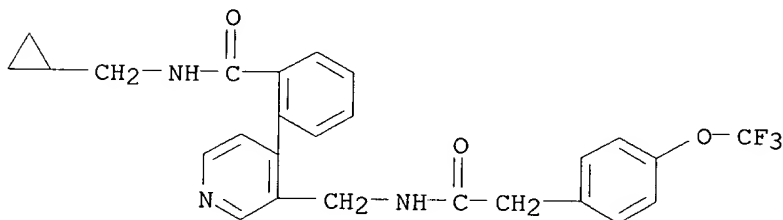
CN Benzenepropanamide,

N-[[4-[2-[(cyclopropylmethyl)amino]carbonyl]phenyl]-3-pyridinyl]methyl]-4-fluoro-.beta.-methyl- (9CI) (CA INDEX NAME)



RN 434320-23-7 CAPLUS

CN Benzeneacetamide, N-[[4-[2-[(cyclopropylmethyl)amino]carbonyl]phenyl]-3-pyridinyl]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

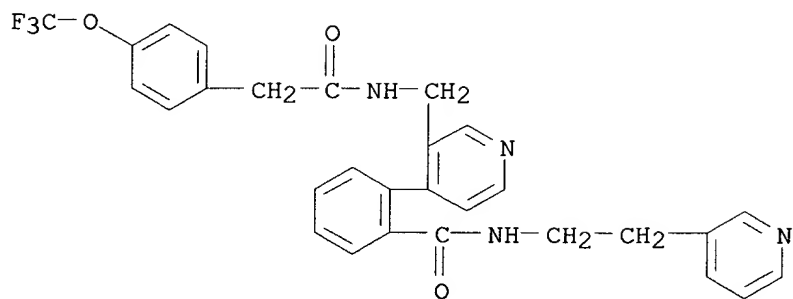


RN 434320-24-8 CAPLUS

CN Benzeneacetamide,

N-[[4-[2-[[2-(3-pyridinyl)ethyl]amino]carbonyl]phenyl]-3-pyridinyl]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

09/922,066

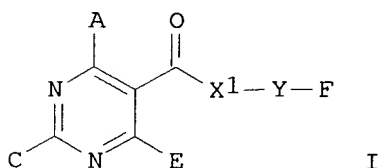


RE.CNT 2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:220565 CAPLUS  
DN 136:247604  
TI Preparation of pyrimidine and pyridine derivatives as N-type calcium  
channel inhibitors and analgesics  
IN Ohno, Seiji; Otani, Kayo; Niwa, Seiji; Iwayama, Satoshi; Takahara, Akira;  
Koganei, Hajime; Ono, Yukitsugu; Fujita, Shinichi; Takeda, Tomoko;  
Hagihara, Masako; Okajima, Akiko  
PA Ajinomoto Co., Inc., Japan  
SO PCT Int. Appl., 207 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022588	A1	20020321	WO 2001-JP7841	20010910
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001084504	A5	20020326	AU 2001-84504	20010910
PRAI	JP 2000-280438	A	20000914		
	JP 2001-126832	A	20010425		
	WO 2001-JP7841	W	20010910		
OS	MARPAT 136:247604				
GI					



AB The title compds., e.g. I [A = 1-naphthyl, pyridin-3-yl, etc.; C = alkyl, etc.; E = alkyl, etc.; F = thiophen-3-yl, etc.; X1 = NR14, etc.; R14 = H, etc.; Y = (un)satd. hydrocarbon moiety, etc.], are prepd. I are useful as

therapeutic agents for pain and for various diseases in which N-type calcium channels participate. In rats dosed orally with 4-(2,4-dimethylphenyl)-6-methyl-2-(methylthio)-N-(3-phenylpropyl)-5-pyrimidinecarboxamide at 3 mg/kg, the flinching behavior frequency was 39.+-.11 times/60 min vs. 116.+-.8 times/60 min in controls.

IT **404569-38-6P 404569-40-0P 404569-42-2P 404569-53-5P**

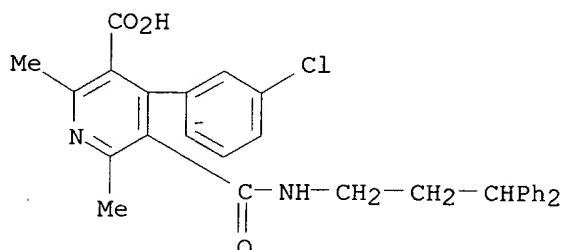
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

09/922,066

(prepn. of pyrimidine and pyridine derivs. as N-type calcium channel inhibitors and analgesics)

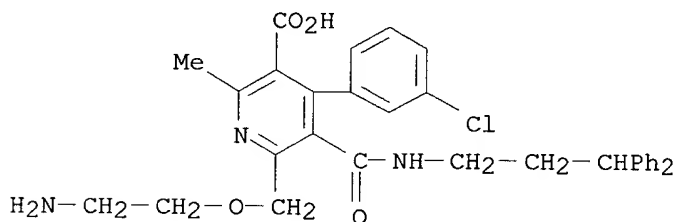
RN 404569-38-6 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-(3-chlorophenyl)-5-[[ (3,3-diphenylpropyl) amino] carbonyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



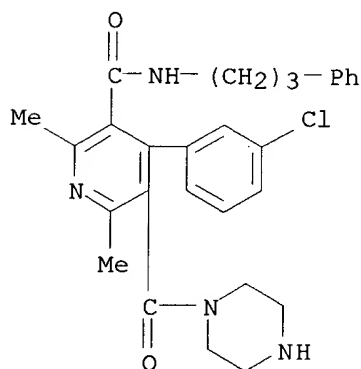
RN 404569-40-0 CAPLUS

CN 3-Pyridinecarboxylic acid,  
6-[(2-aminoethoxy)methyl]-4-(3-chlorophenyl)-5-  
[[ (3,3-diphenylpropyl) amino] carbonyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 404569-42-2 CAPLUS

CN 3-Pyridinecarboxamide,  
4-(3-chlorophenyl)-2,6-dimethyl-N-(3-phenylpropyl)-  
5-(1-piperazinylcarbonyl)- (9CI) (CA INDEX NAME)

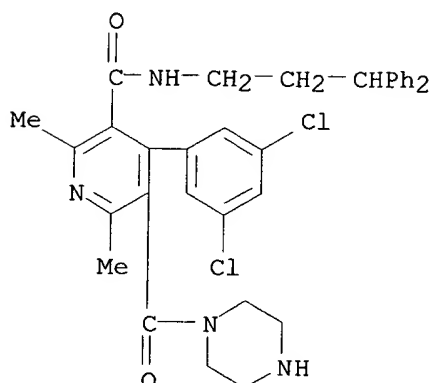


RN 404569-53-5 CAPLUS

CN 3-Pyridinecarboxamide, 4-(3,5-dichlorophenyl)-N-(3,3-diphenylpropyl)-2,6-

09/922,066

dimethyl-5-(1-piperazinylcarbonyl)- (9CI) (CA INDEX NAME)



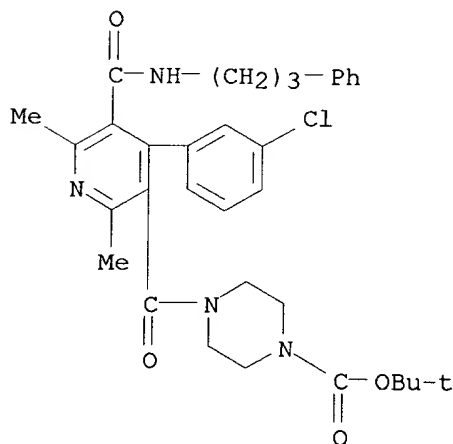
IT 404569-83-1P 404569-90-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrimidine and pyridine derivs. as N-type calcium channel inhibitors and analgesics)

RN 404569-83-1 CAPLUS

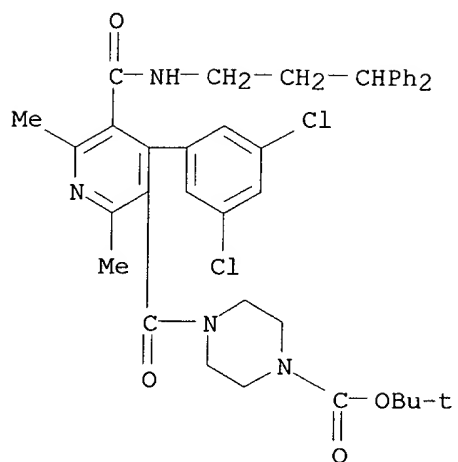
CN 1-Piperazinecarboxylic acid, 4-[[4-(3-chlorophenyl)-2,6-dimethyl-5-[(3-phenylpropyl)amino]carbonyl]-3-pyridinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 404569-90-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[4-(3,5-dichlorophenyl)-5-[(3,3-diphenylpropyl)amino]carbonyl]-2,6-dimethyl-3-pyridinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

09/922,066



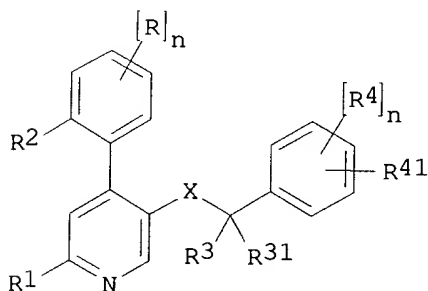
RE.CNT 5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

App's

L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2002 ACS  
 AN 2002:157739 CAPLUS  
 DN 136:216651  
 TI Preparation of 4-phenylpyridines as neurokinin-1 receptor antagonists  
 IN Godel, Thierry; Hoffmann, Torsten; Schnider, Patrick; Stadler, Heinz  
 PA F. Hoffmann-La Roche A.-G., Switz.  
 SO PCT Int. Appl., 108 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002016324	A1	20020228	WO 2001-EP8686	20010727
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002012118	A5	20020304	AU 2002-12118	20010727
	US 2002040040	A1	20020404	US 2001-922066	20010803
PRAI	EP 2000-117003	A	20000808		
	WO 2001-EP8686	W	20010727		
OS	MARPAT 136:216651				
GI					



I

AB The title compds. [I; R = H, halo; R1 = (C.tplbond.C)mR11, (CR'=CR'')mR11 (wherein R11 = halo, CN, aryl, etc.; R', R'' = H, OH, alkyl, etc.); R2 = H, alkyl, alkoxy, halo, CF3; R3, R31 = H, alkyl or form together with the C atom to which they are attached a cycloalkyl group; R4, R41 = H, halo, CF3, alkyl, alkoxy; R and R2 or R4 and R41 may be together CH=CHCH=CH, optionally substituted by one or two substituents selected from alkyl, halo or alkoxy; X = CONR8, (CH2)pO, (CH2)pNR8, NR8CO, NR8(CH2)p (wherein R8 = H, alkyl); n = 1-2; m = 0-4; p = 1-2] which are antagonists of the Neurokinin 1 (NK-1, substance P) receptor, and therefore useful in the treatment of diseases, related to this receptor, were prepd. and formulated. E.g., a multi-step synthesis of I [R = H; R1 = N(OH)CH2CH2OH;



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R2 = Me; R3, R31 = Me; R4 = 3-CF<sub>3</sub>; R41 = 5-CF<sub>3</sub>; X = NMeCO] which showed pK<sub>i</sub> of 9.29 in human NK1 receptor assay, was given.

IT 393508-84-4P 401891-34-7P 401891-36-9P  
401891-37-0P 401891-41-6P 401891-47-2P  
401891-48-3P 401891-49-4P 401891-53-0P  
401891-54-1P 401891-55-2P 401891-56-3P  
401891-58-5P 401891-59-6P 401891-60-9P  
401891-63-2P 401891-66-5P 401891-67-6P  
401891-69-8P 401891-78-9P 401891-80-3P  
401891-86-9P 401891-88-1P 401891-91-6P  
401891-97-2P 401891-98-3P 401892-01-1P  
401892-02-2P 401892-09-9P 401892-12-4P  
401892-18-0P 401892-23-7P 401892-28-2P  
401892-36-2P 401892-40-8P

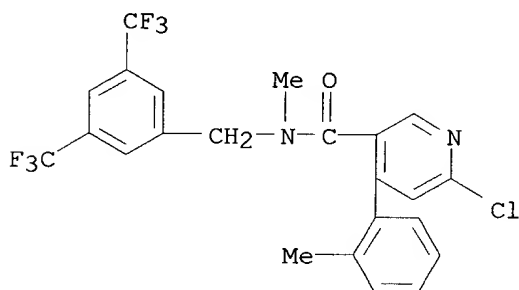
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 4-phenylpyridines as neurokinin-1 receptor antagonists)

RN 393508-84-4 CAPLUS

CN 3-Pyridinecarboxamide,

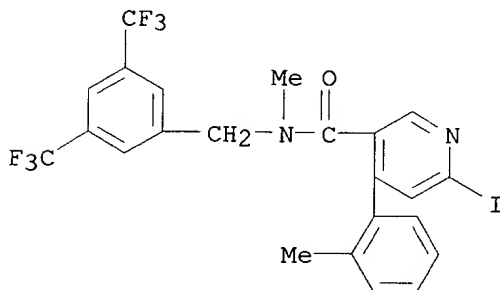
N-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-chloro-  
N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401891-34-7 CAPLUS

CN 3-Pyridinecarboxamide,

N-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-iodo-N-  
methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



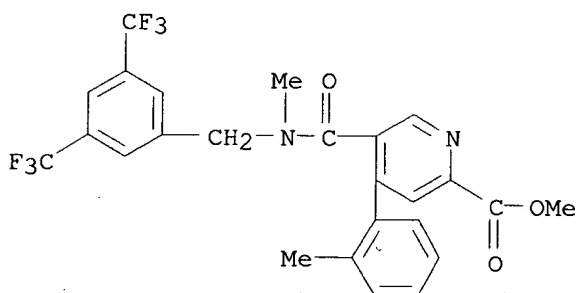
RN 401891-36-9 CAPLUS

CN 2-Pyridinecarboxylic acid,

5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]met

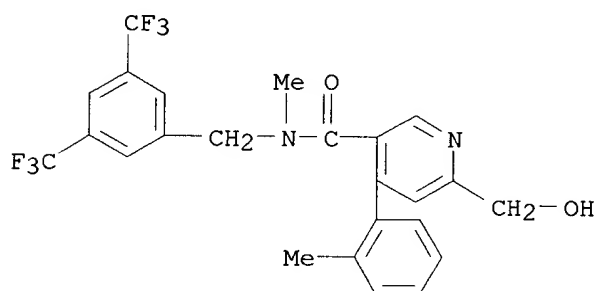
09/922,066

ylamino]carbonyl]-4-(2-methylphenyl)-, methyl ester (9CI) (CA INDEX NAME)



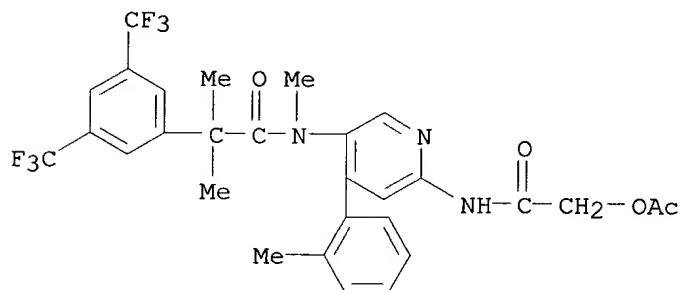
RN 401891-37-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(hydroxymethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401891-41-6 CAPLUS

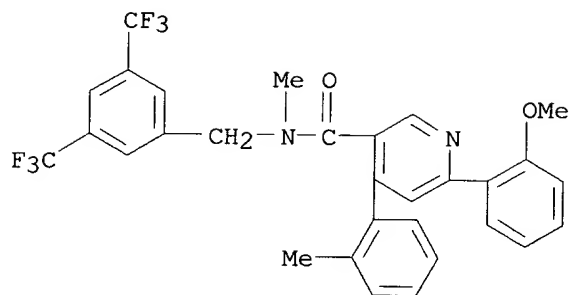
CN Benzeneacetamide, N-[6-[[[(acetyloxy)acetyl]amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401891-47-2 CAPLUS

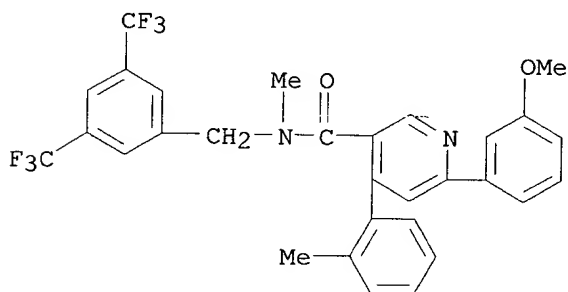
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(2-methoxyphenyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



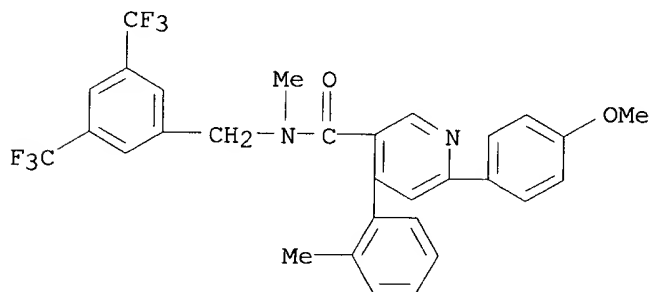
RN 401891-48-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3-methoxyphenyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401891-49-4 CAPLUS

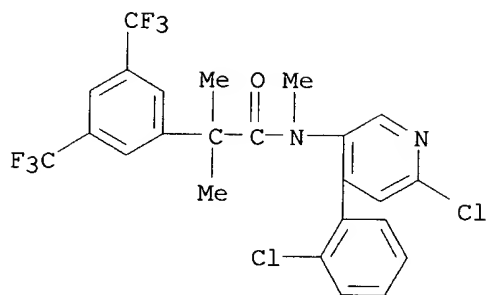
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-methoxyphenyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



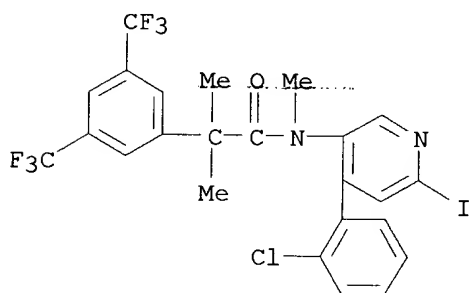
RN 401891-53-0 CAPLUS

CN Benzeneacetamide, N-[6-chloro-4-(2-chlorophenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

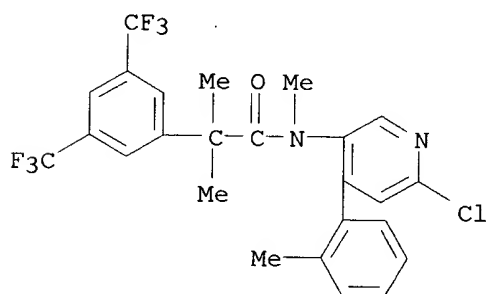
09/922,066



RN 401891-54-1 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-iodo-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

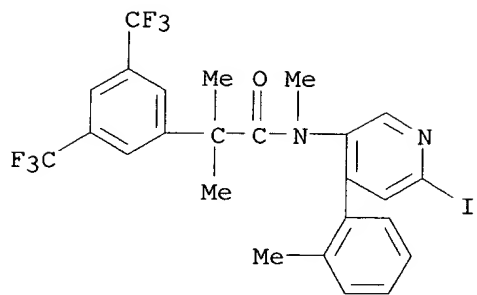


RN 401891-55-2 CAPLUS  
CN Benzeneacetamide, N-[6-chloro-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

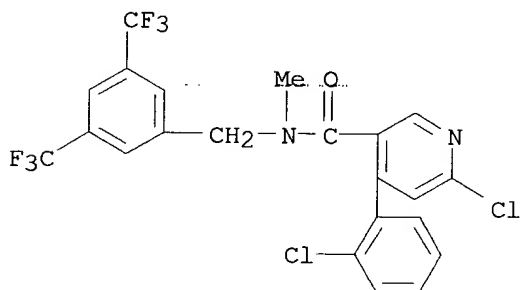


RN 401891-56-3 CAPLUS  
CN Benzeneacetamide, N-[6-iodo-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

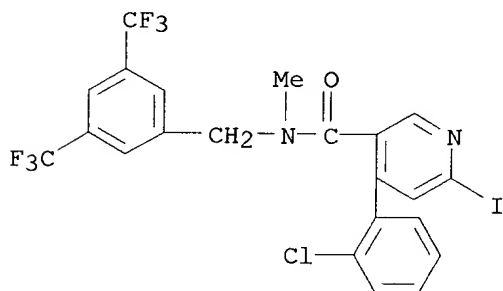
09/922,066



RN 401891-58-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-chloro-  
4-(2-chlorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

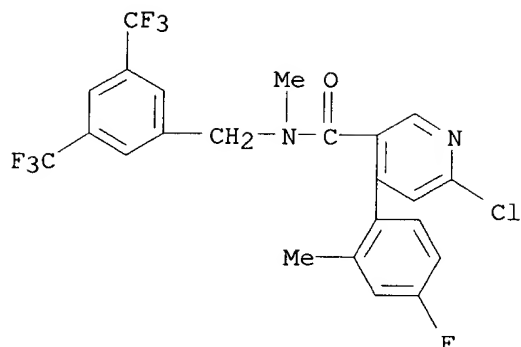


RN 401891-59-6 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-  
chlorophenyl)-6-iodo-N-methyl- (9CI) (CA INDEX NAME)

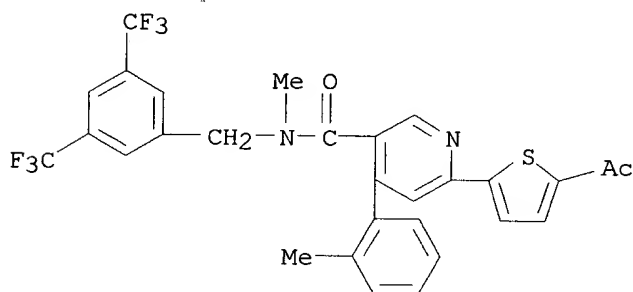


RN 401891-60-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-chloro-  
4-(4-fluoro-2-methylphenyl)-N-methyl- (9CI) (CA INDEX NAME)

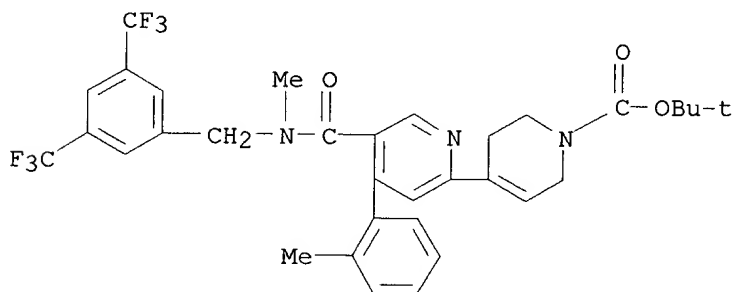
09/922,066



RN 401891-63-2 CAPLUS  
CN 3-Pyridinecarboxamide, 6-(5-acetyl-2-thienyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)  
(CA INDEX NAME)



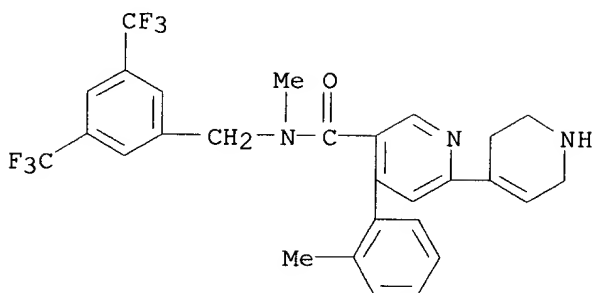
RN 401891-66-5 CAPLUS  
CN [2,4'-Bipyridine]-1'(2'H)-carboxylic acid, 5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-3',6'-dihydro-4-(2-methylphenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 401891-67-6 CAPLUS  
CN [2,4'-Bipyridine]-5-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1',2',3',6'-tetrahydro-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

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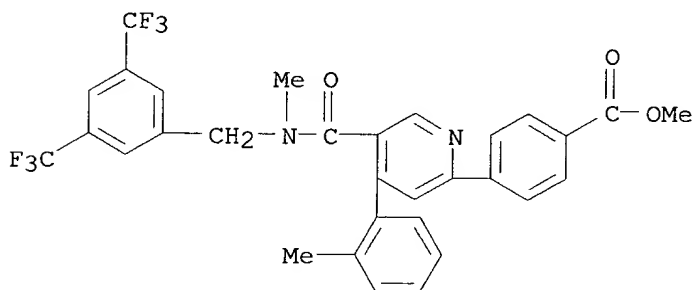
NAME)



RN 401891-69-8 CAPLUS

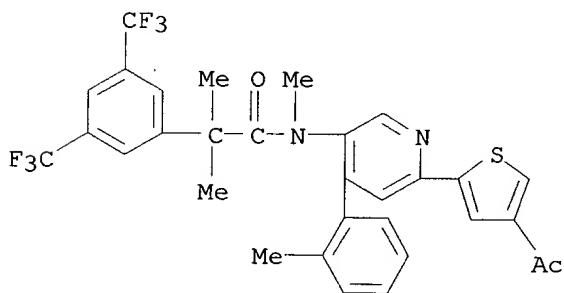
CN Benzoic acid,

4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]c  
arboxyl]-4-(2-methylphenyl)-2-pyridinyl-, methyl ester (9CI) (CA INDEX  
NAME)



RN 401891-78-9 CAPLUS

CN Benzeneacetamide, N-[6-(4-acetyl-2-thienyl)-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

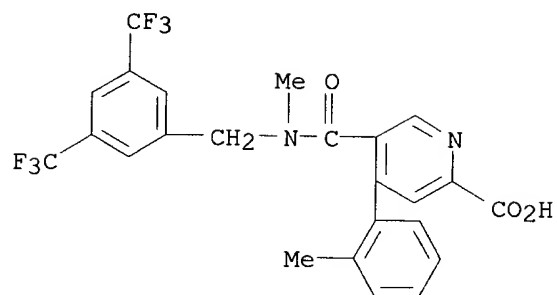


RN 401891-80-3 CAPLUS

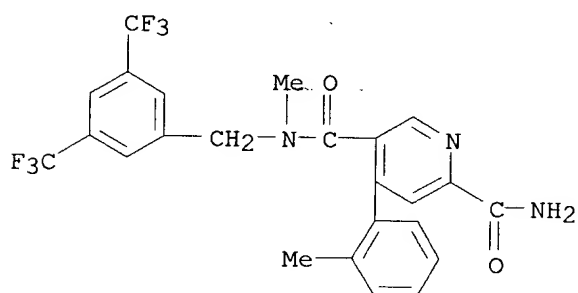
CN 2-Pyridinecarboxylic acid,

5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]met  
hylamino]carbonyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

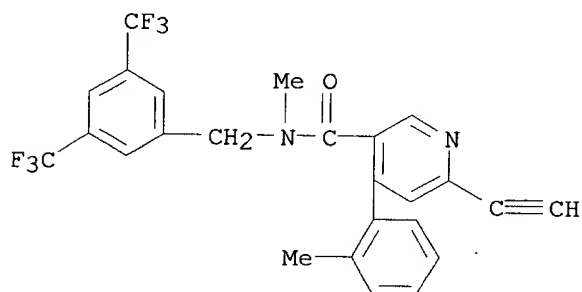
09/922,066



RN 401891-86-9 CAPLUS  
CN 2,5-Pyridinedicarboxamide,  
N5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N5-  
methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



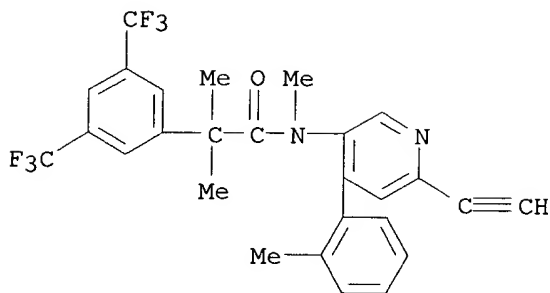
RN 401891-88-1 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-  
ethynyl-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401891-91-6 CAPLUS  
CN Benzeneacetamide, N-[6-ethynyl-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

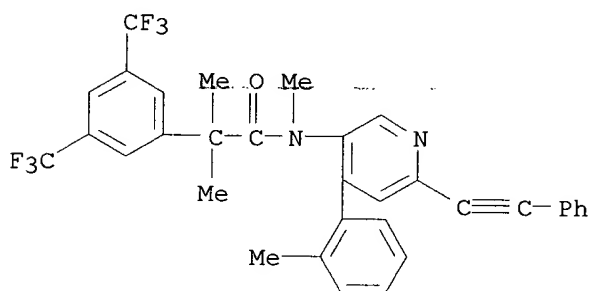


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RN 401891-97-2 CAPLUS

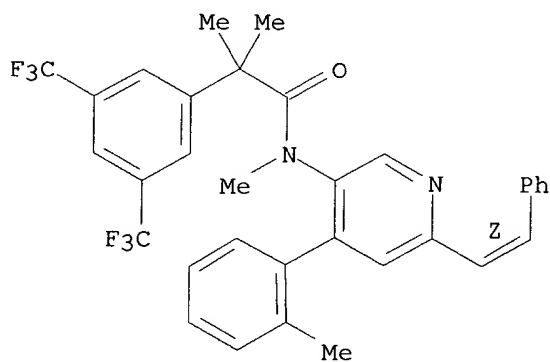
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(phenylethynyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401891-98-3 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[(1Z)-2-phenylethenyl]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

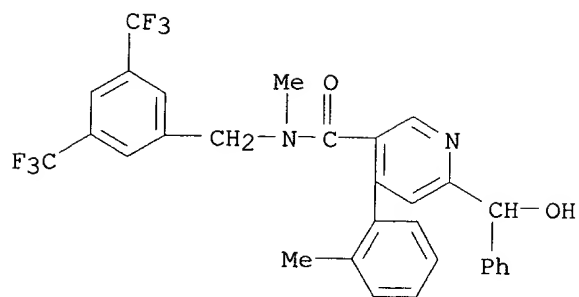
Double bond geometry as shown.



RN 401892-01-1 CAPLUS

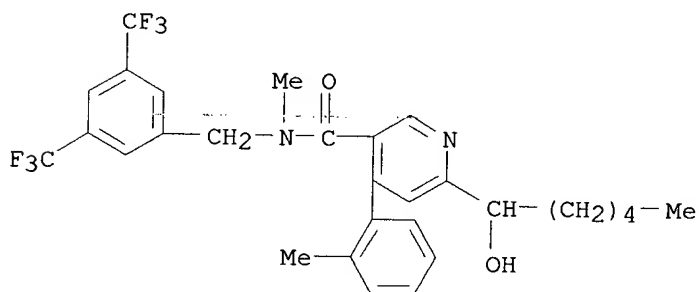
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(hydroxyphenylmethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



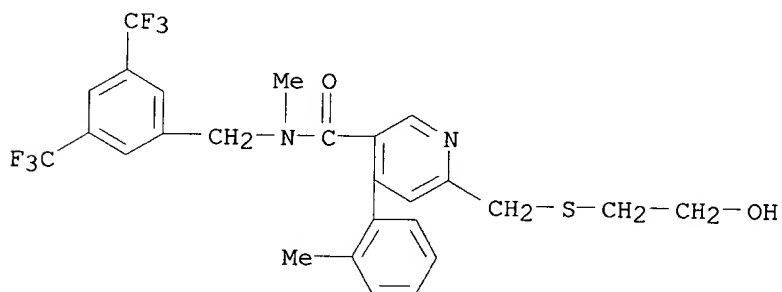
RN 401892-02-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(1-hydroxyhexyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401892-09-9 CAPLUS

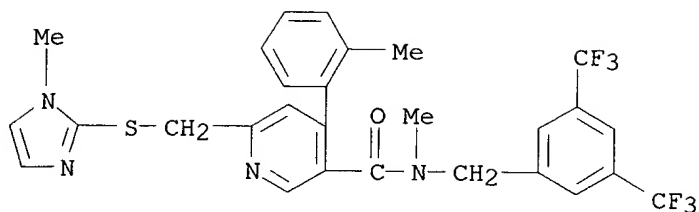
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[[2-hydroxyethyl]thio]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401892-12-4 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-[[1-methyl-1H-imidazol-2-yl]thio]methyl]-4-(2-methylphenyl)- (9CI)  
(CA INDEX NAME)

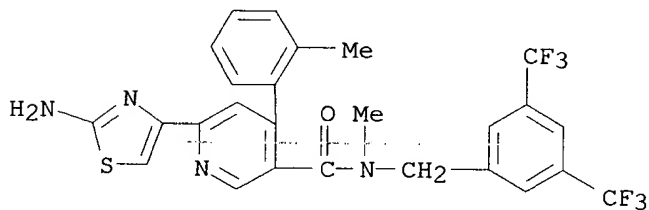
09/922,066



RN 401892-18-0 CAPLUS

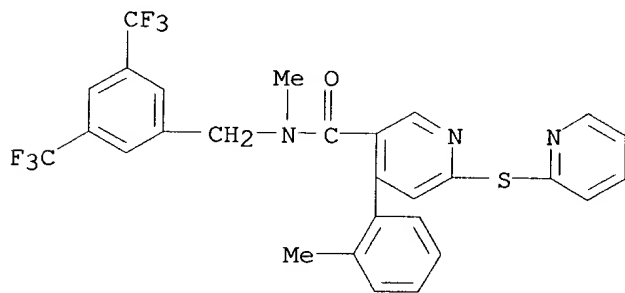
CN 3-Pyridinecarboxamide, 6-(2-amino-4-thiazolyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)

(CA INDEX NAME)



RN 401892-23-7 CAPLUS

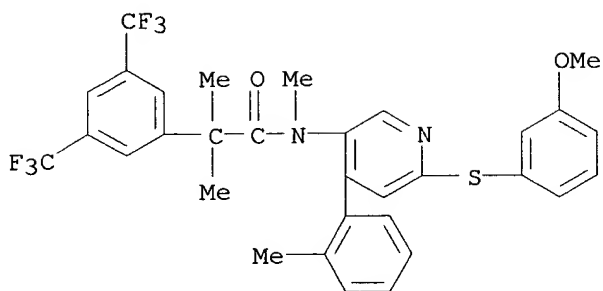
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(2-pyridinylthio)- (9CI) (CA INDEX NAME)



RN 401892-28-2 CAPLUS

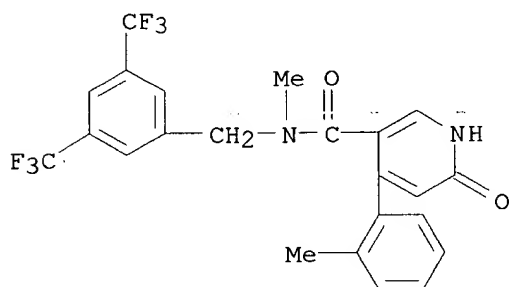
CN Benzeneacetamide, N-[6-[(3-methoxyphenyl)thio]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

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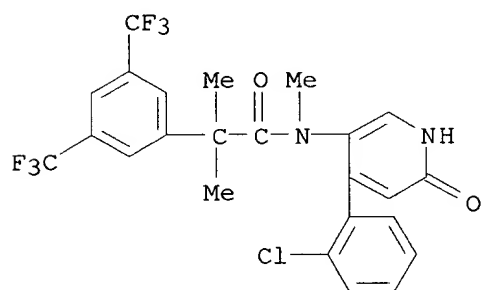
RN 401892-36-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1,6-dihydro-N-methyl-4-(2-methylphenyl)-6-oxo- (9CI) (CA INDEX NAME)



RN 401892-40-8 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-1,6-dihydro-6-oxo-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 393508-91-3P 401891-32-5P 401891-33-6P  
401891-35-8P 401891-38-1P 401891-39-2P  
401891-40-5P 401891-42-7P 401891-43-8P  
401891-44-9P 401891-45-0P 401891-46-1P  
401891-50-7P 401891-51-8P 401891-52-9P  
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09/922,066

401891-76-7P 401891-77-8P 401891-79-0P  
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401892-44-2P

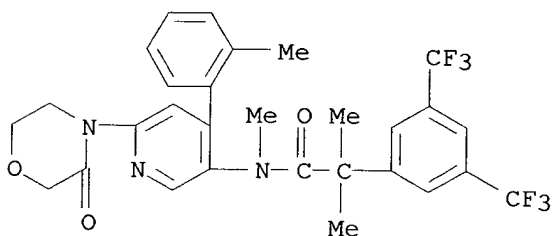
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(prepn. of 4-phenylpyridines as neurokinin-1 receptor antagonists)

RN 393508-91-3 CAPLUS

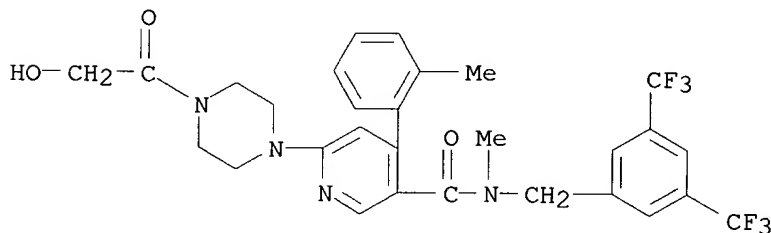
CN Benzeneacetamidē, N, .alpha., .alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(3-  
oxo-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA

INDEX  
NAME)



RN 401891-32-5 CAPLUS

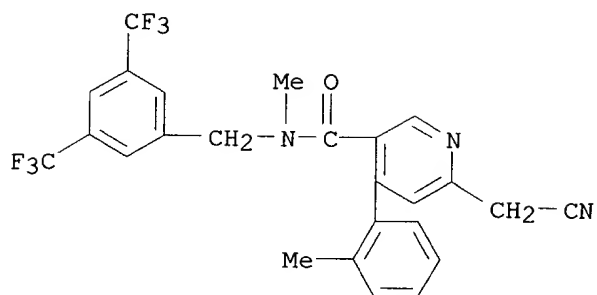
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-  
(hydroxyacetyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA  
INDEX NAME)



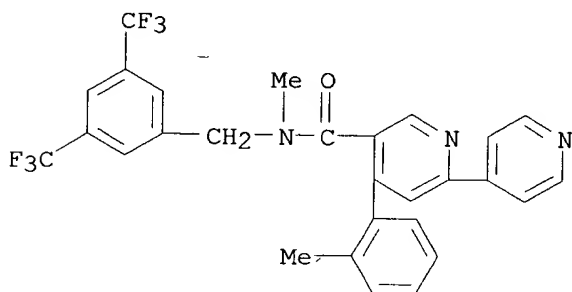
RN 401891-33-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-  
(cyanomethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

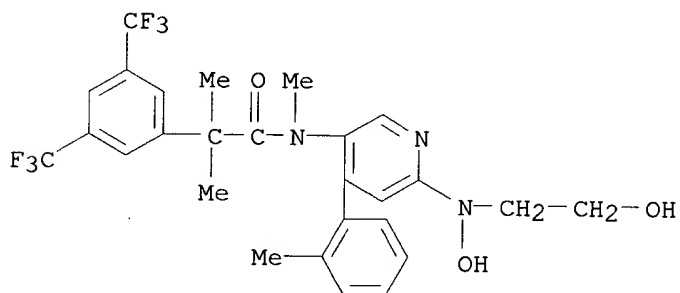
09/922,066



RN 401891-35-8 CAPLUS  
CN [2,4'-Bipyridine]-5-carboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl  
]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

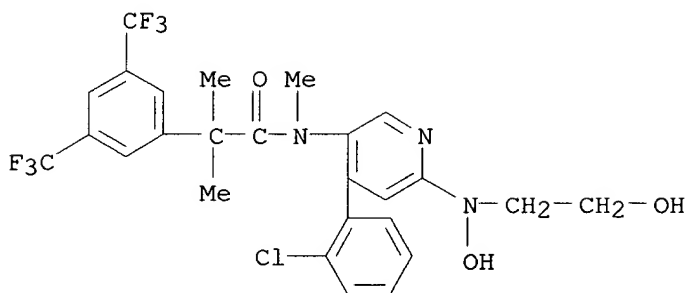


RN 401891-38-1 CAPLUS  
CN Benzeneacetamide,  
N-[6-[hydroxy(2-hydroxyethyl)amino]-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

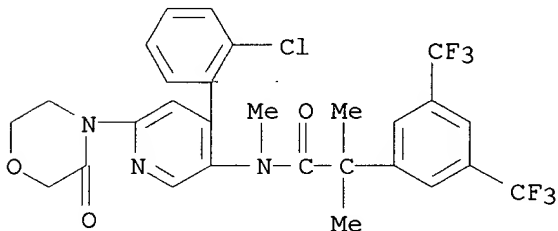


RN 401891-39-2 CAPLUS  
CN Benzeneacetamide,  
N-[4-(2-chlorophenyl)-6-[hydroxy(2-hydroxyethyl)amino]-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

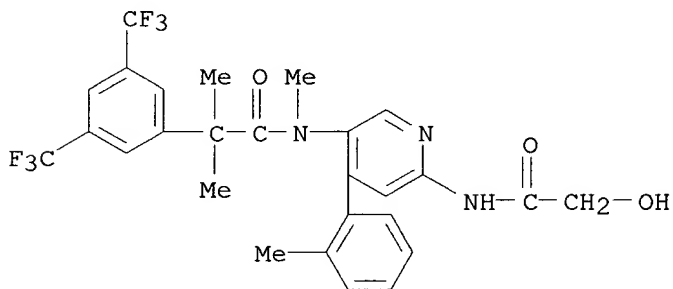
09/922,066



RN 401891-40-5 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(3-oxo-4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

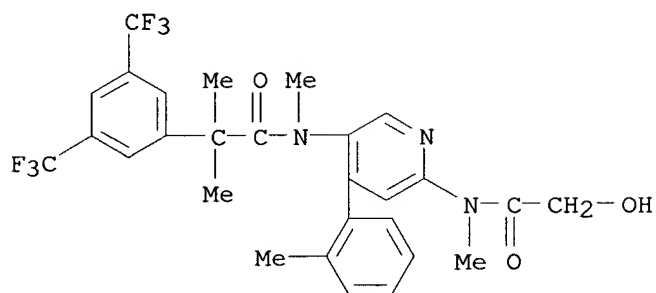


RN 401891-42-7 CAPLUS  
CN Benzeneacetamide, N-[6-[(hydroxyacetyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

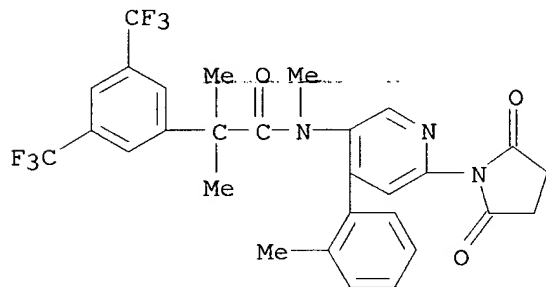


RN 401891-43-8 CAPLUS  
CN Benzeneacetamide, N-[6-[(hydroxyacetyl)methylamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

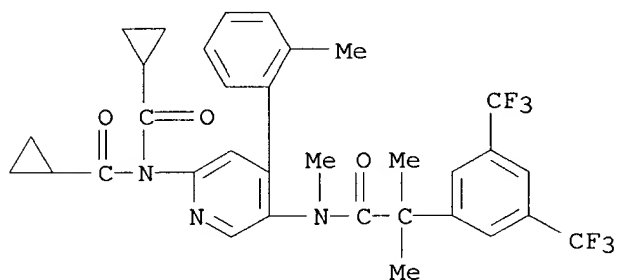
09/922,066



RN 401891-44-9 CAPLUS  
 CN Benzeneacetamide, N-[6-(2,5-dioxo-1-pyrrolidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



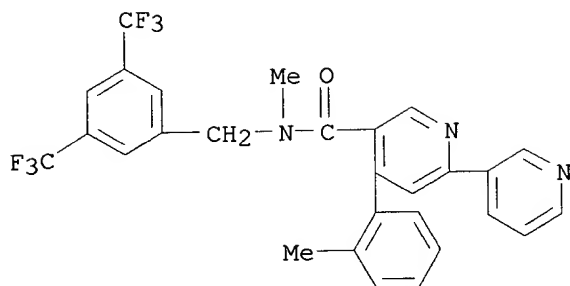
RN 401891-45-0 CAPLUS  
 CN Benzeneacetamide,  
 N-[6-[bis(cyclopropylcarbonyl) amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)



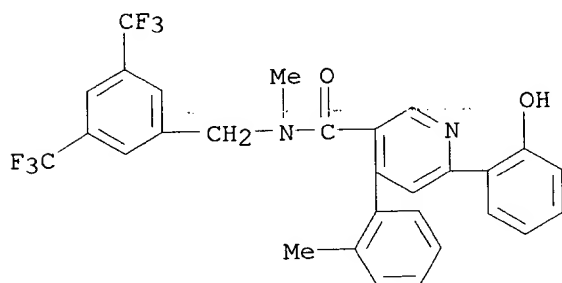
RN 401891-46-1 CAPLUS  
 CN [2,3'-Bipyridine]-5-carboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl  
 ]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



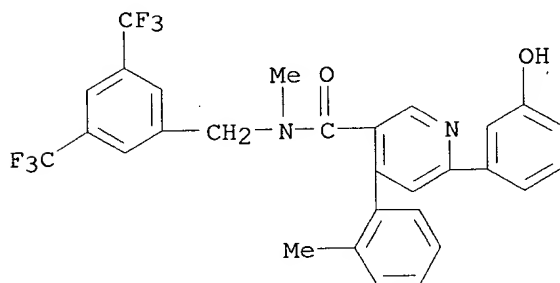
09/922,066



RN 401891-50-7 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(2-hydroxyphenyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

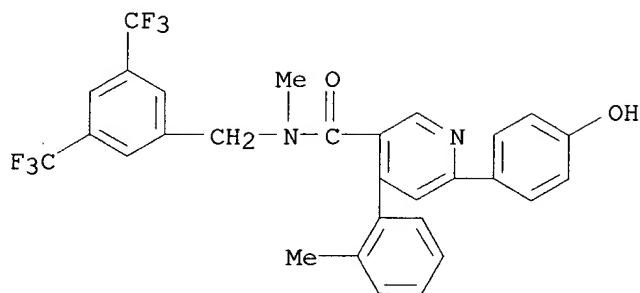


RN 401891-51-8 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3-hydroxyphenyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

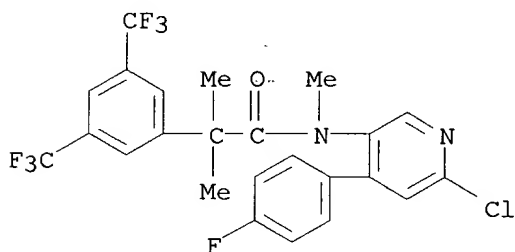


RN 401891-52-9 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-hydroxyphenyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

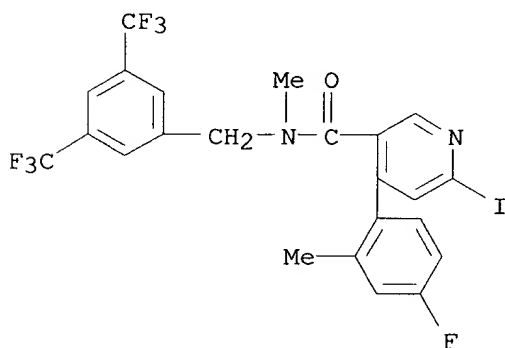
09/922,066



RN 401891-57-4 CAPLUS  
CN Benzeneacetamide, N-[6-chloro-4-(4-fluorophenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

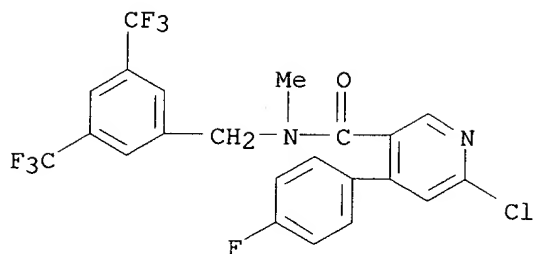


RN 401891-61-0 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(4-  
fluoro-2-methylphenyl)-6-iodo-N-methyl- (9CI) (CA INDEX NAME)

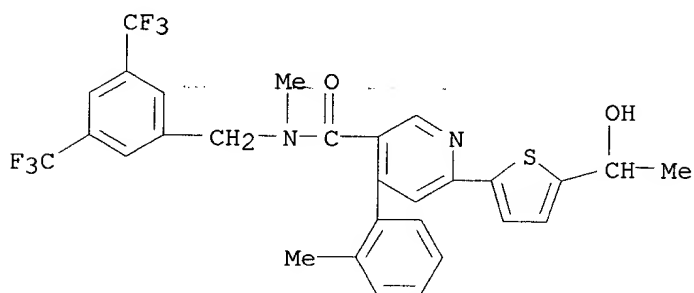


RN 401891-62-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-chloro-  
4-(4-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

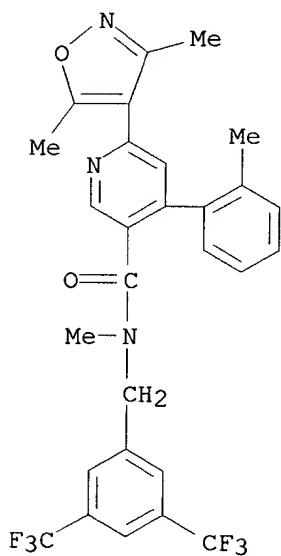
09/922,066



RN 401891-64-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[5-(1-  
hydroxyethyl)-2-thienyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX  
NAME)

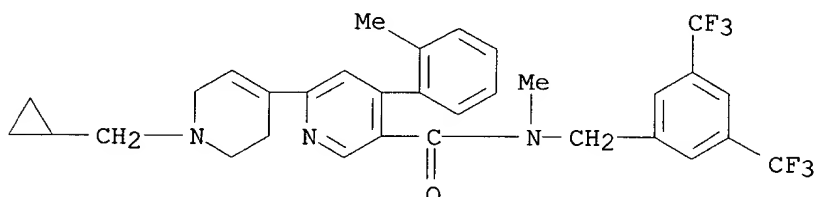


RN 401891-65-4 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3,5-  
dimethyl-4-isoxazolyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX  
NAME)

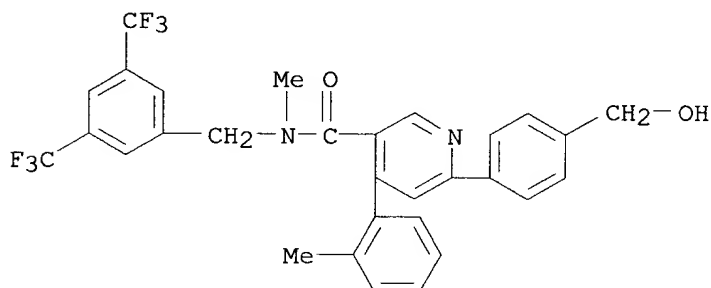


09/922,066

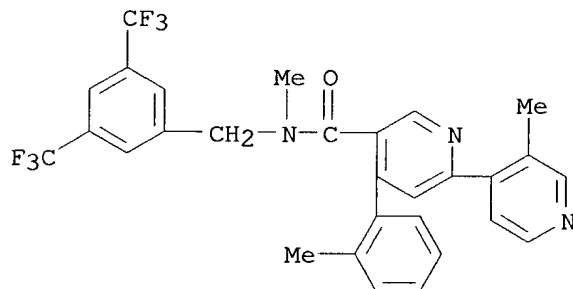
RN 401891-68-7 CAPLUS  
CN [2,4'-Bipyridine]-5-carboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl  
]-1'--(cyclopropylmethyl)-1',2',3',6'-tetrahydro-N-methyl-4-(2-  
methylphenyl)- (9CI) (CA INDEX NAME)



RN 401891-70-1 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(  
hydroxymethyl)phenyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX  
NAME)

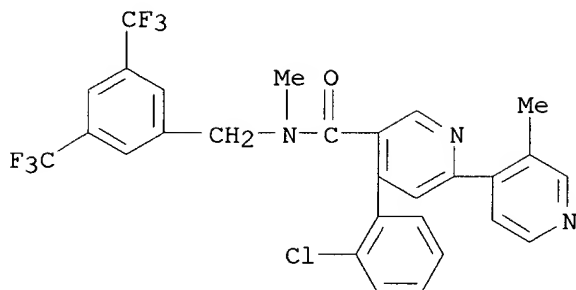


RN 401891-71-2 CAPLUS  
CN [2,4'-Bipyridine]-5-carboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl  
]-N,3'-dimethyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



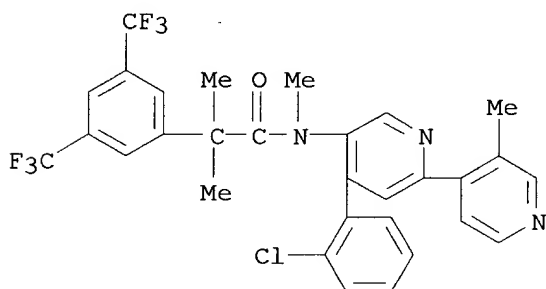
RN 401891-72-3 CAPLUS  
CN [2,4'-Bipyridine]-5-carboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl  
]-4-(2-chlorophenyl)-N,3'-dimethyl- (9CI) (CA INDEX NAME)

09/922,066



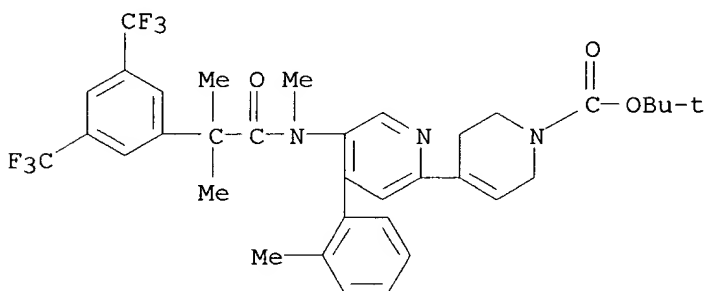
RN 401891-73-4 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-3'-methyl[2,4'-bipyridin]-5-yl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401891-74-5 CAPLUS

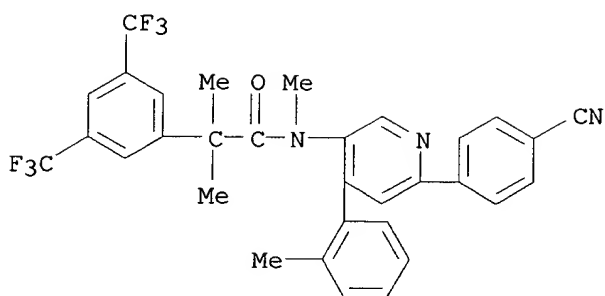
CN [2,4'-Bipyridine]-1'(2'H)-carboxylic acid, 5-[[[2-[3,5-bis(trifluoromethyl)phenyl]-2-methyl-1-oxopropyl]methylamino]-3',6'-dihydro-4-(2-methylphenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 401891-75-6 CAPLUS

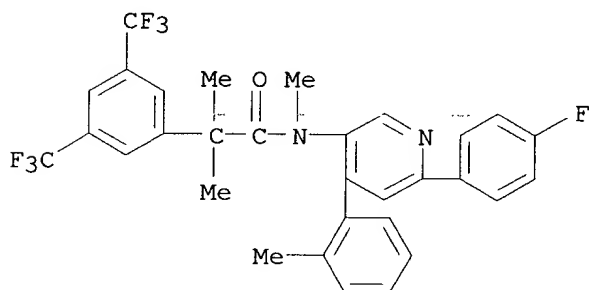
CN Benzeneacetamide, N-[6-(4-cyanophenyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



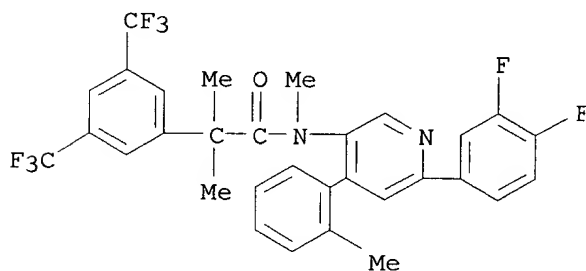
RN 401891-76-7 CAPLUS

CN Benzeneacetamide, N-[6-(4-fluorophenyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401891-77-8 CAPLUS

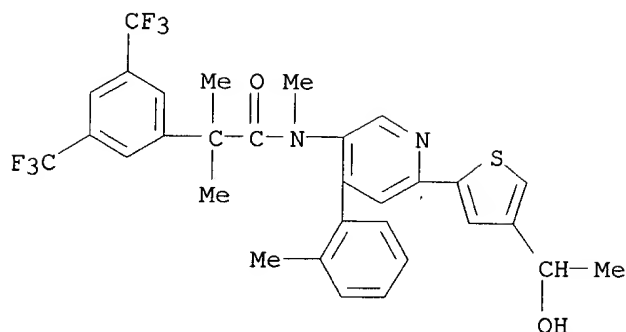
CN Benzeneacetamide, N-[6-(3,4-difluorophenyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



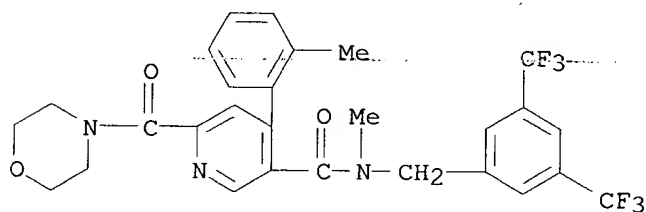
RN 401891-79-0 CAPLUS

CN Benzeneacetamide, N-[6-[4-(1-hydroxyethyl)-2-thienyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

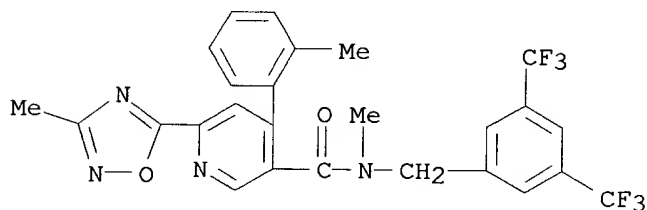
09/922,066



RN 401891-83-6 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
 4-(2-methylphenyl)-6-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

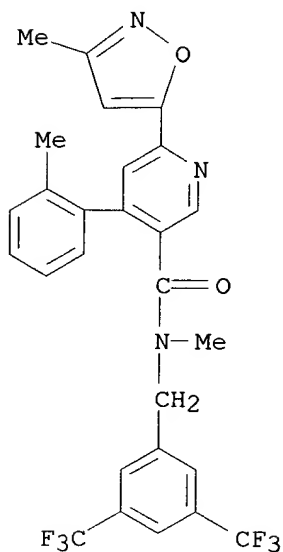


RN 401891-87-0 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
 6-(3-methyl-1,2,4-oxadiazol-5-yl)-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

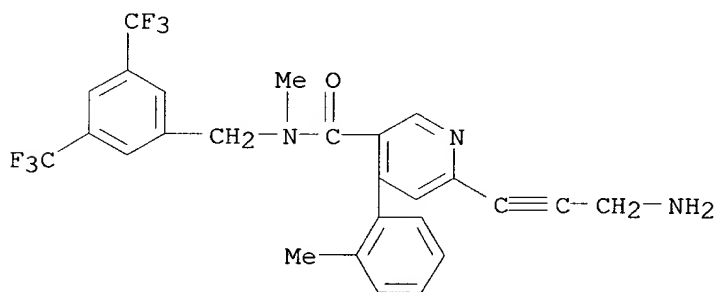


RN 401891-89-2 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
 6-(3-methyl-5-isoxazolyl)-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



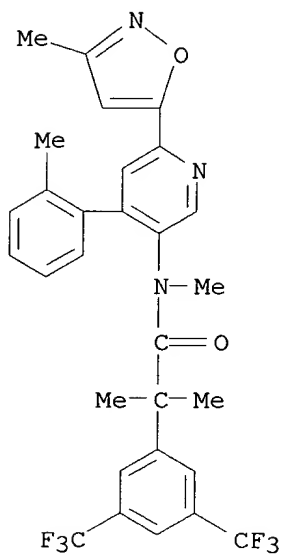
RN 401891-90-5 CAPLUS  
 CN 3-Pyridinecarboxamide, 6-(3-amino-1-propynyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)  
 (CA INDEX NAME)



RN 401891-92-7 CAPLUS  
 CN Benzeneacetamide,  
 N,.alpha.,.alpha.-trimethyl-N-[6-(3-methyl-5-isoxazolyl)-  
 4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA  
 INDEX NAME)

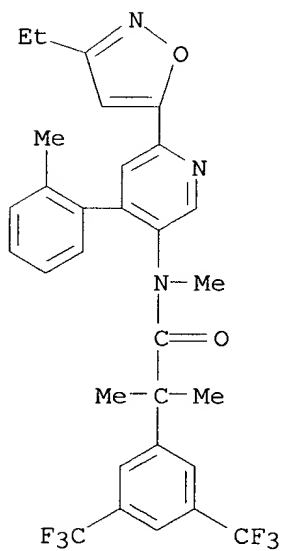


09/922,066



RN 401891-93-8 CAPLUS

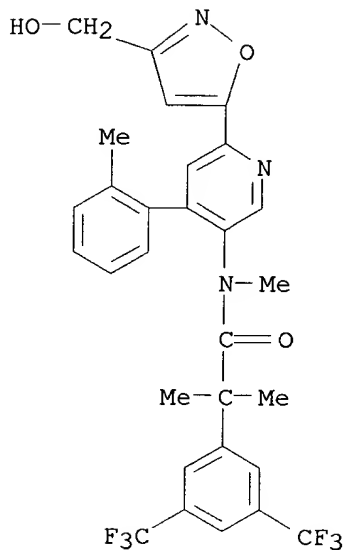
CN Benzeneacetamide, N-[6-(3-ethyl-5-isoxazolyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401891-94-9 CAPLUS

CN Benzeneacetamide,  
N-[6-[3-(hydroxymethyl)-5-isoxazolyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

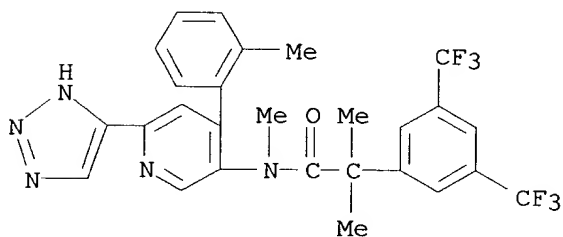
09/922,066



RN 401891-95-0 CAPLUS

CN Benzeneacetamide,

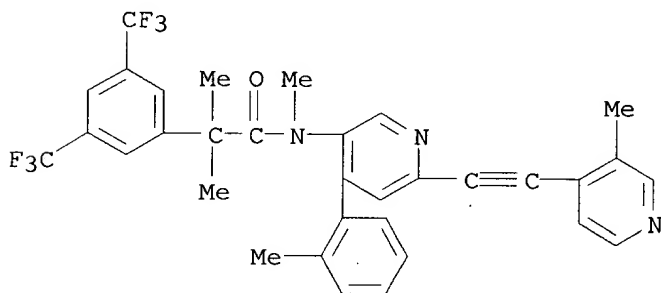
N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(1H-1,2,3-triazol-4-yl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401891-96-1 CAPLUS

CN Benzeneacetamide,

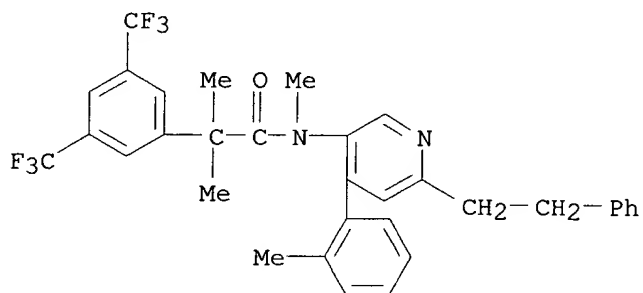
N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[(3-methyl-4-pyridinyl)ethynyl]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



09/922,066

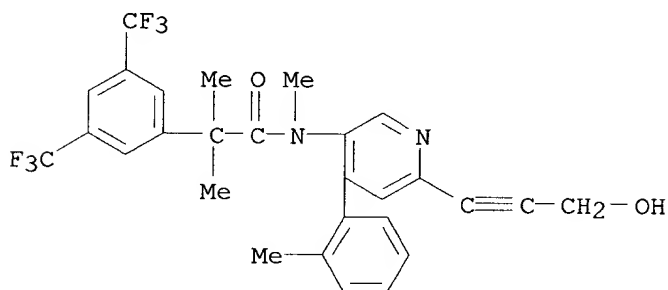
RN 401891-99-4 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(2-phenylethyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



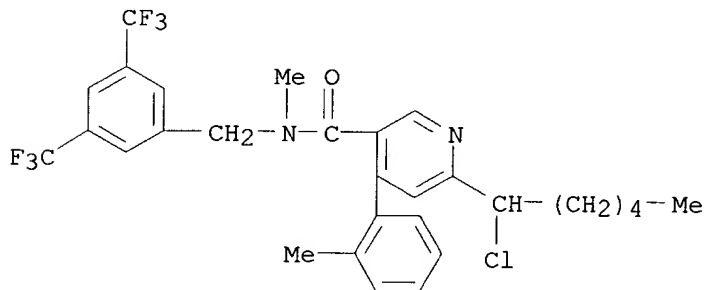
RN 401892-00-0 CAPLUS

CN Benzeneacetamide, N-[6-(3-hydroxy-1-propynyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401892-03-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(1-chlorohexyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

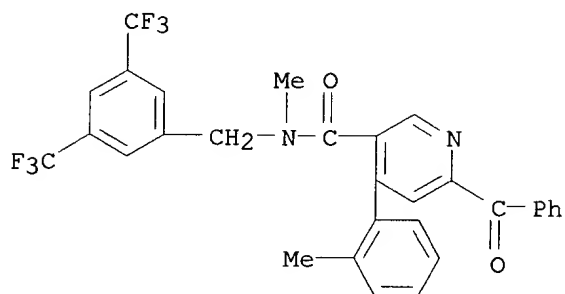


RN 401892-04-4 CAPLUS

CN 3-Pyridinecarboxamide, 6-benzoyl-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]

09/922,066

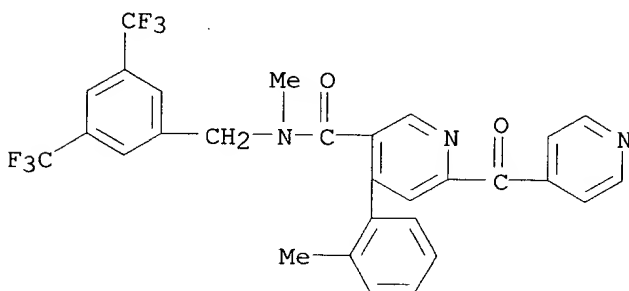
] -N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401892-05-5 CAPLUS

CN 3-Pyridinecarboxamide,

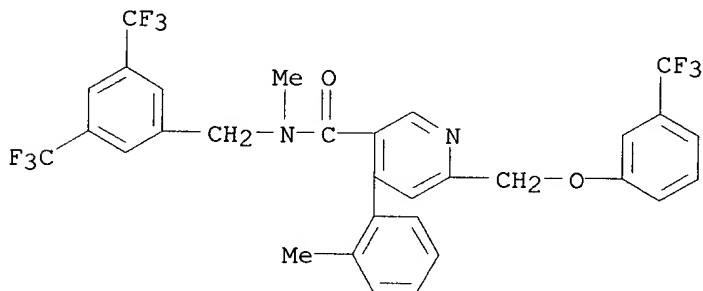
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 401892-06-6 CAPLUS

CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-[[3-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA  
INDEX NAME)



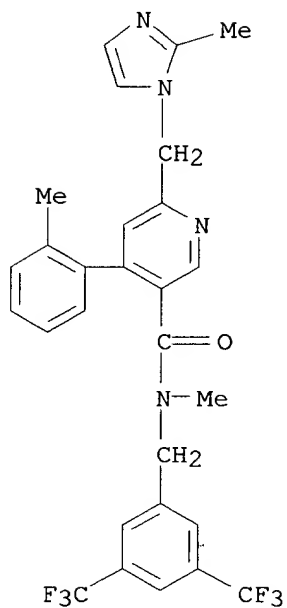
RN 401892-07-7 CAPLUS

CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-[(2-methyl-1H-imidazol-1-yl)methyl]-4-(2-methylphenyl)- (9CI) (CA  
INDEX NAME)

09/922,066

NAME)

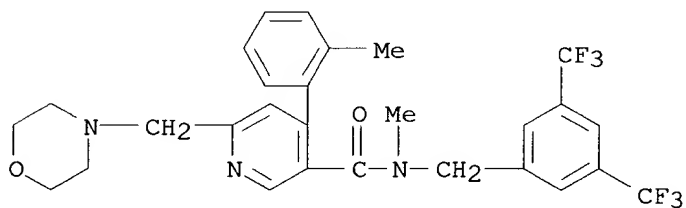


RN 401892-08-8 CAPLUS

CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-

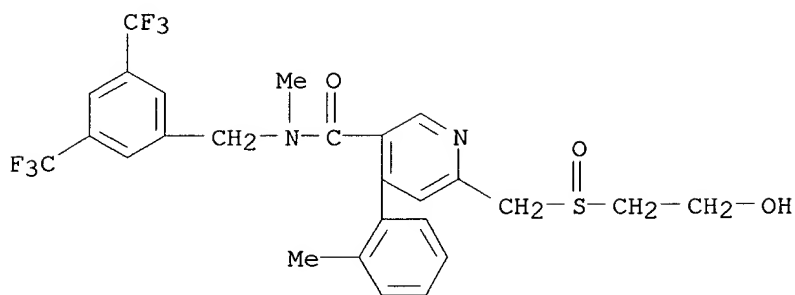
4-(2-methylphenyl)-6-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



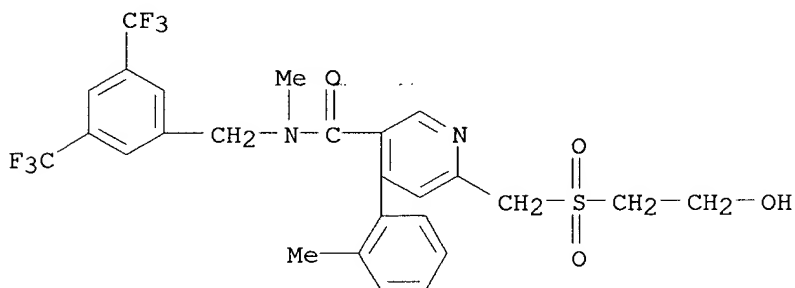
RN 401892-10-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[[ (2-hydroxyethyl)sulfinyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

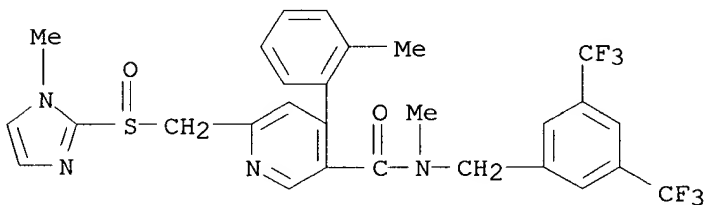
09/922,066



RN 401892-11-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[[2-hydroxyethyl)sulfonyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

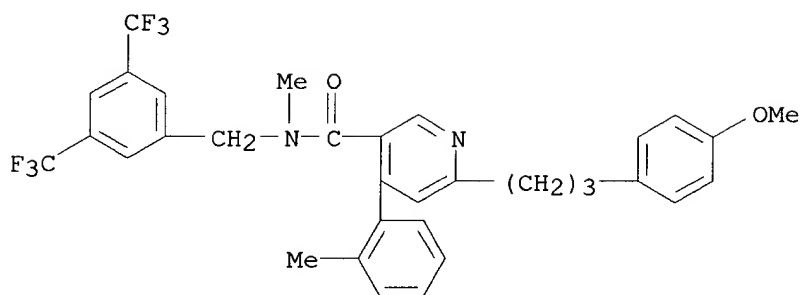


RN 401892-13-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-[[2-hydroxyethyl)sulfonyl]methyl]-4-(2-methylphenyl)- (9CI)  
(CA INDEX NAME)



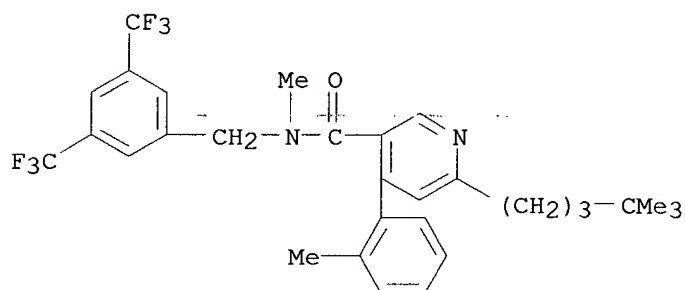
RN 401892-14-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[[2-hydroxyethyl)sulfonyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



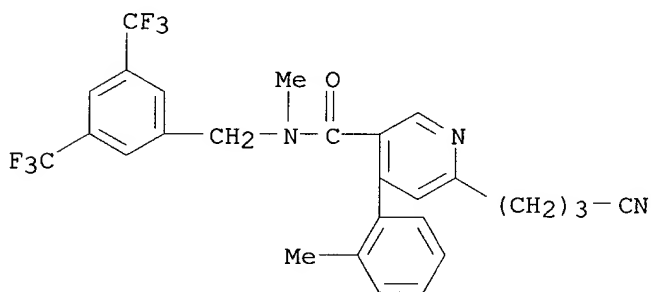
RN 401892-15-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4,4-dimethylpentyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401892-16-8 CAPLUS

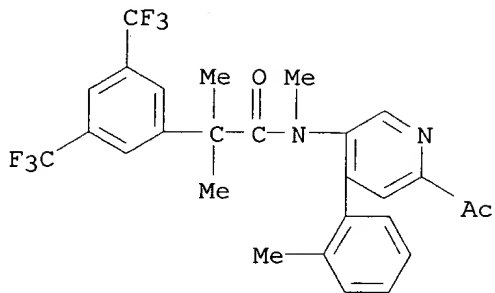
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3-cyanopropyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



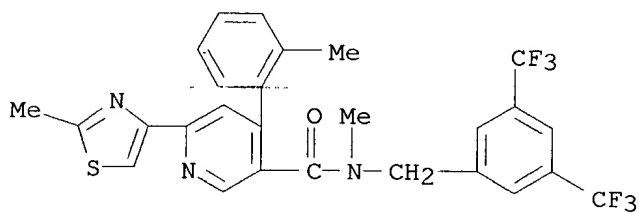
RN 401892-17-9 CAPLUS

CN Benzeneacetamide, N-[6-acetyl-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

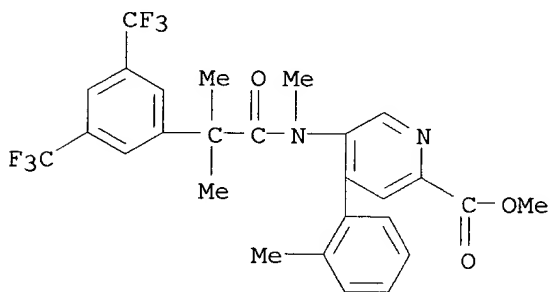
09/922,066



RN 401892-19-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(2-methyl-4-thiazolyl)- (9CI) (CA INDEX NAME)



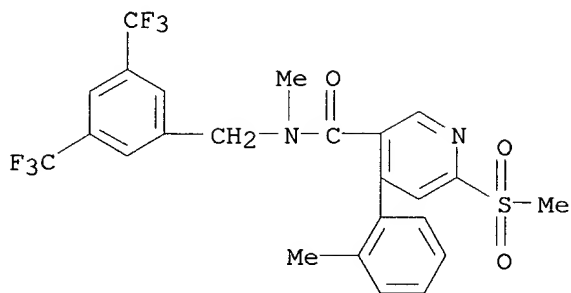
RN 401892-20-4 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
5-[[2-[3,5-bis(trifluoromethyl)phenyl]-2-methyl-  
1-oxopropyl]methylamino]-4-(2-methylphenyl)-, methyl ester (9CI) (CA  
INDEX NAME)



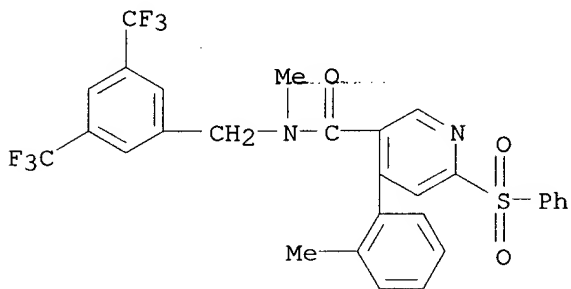
RN 401892-21-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(methylsulfonyl)- (9CI) (CA INDEX NAME)



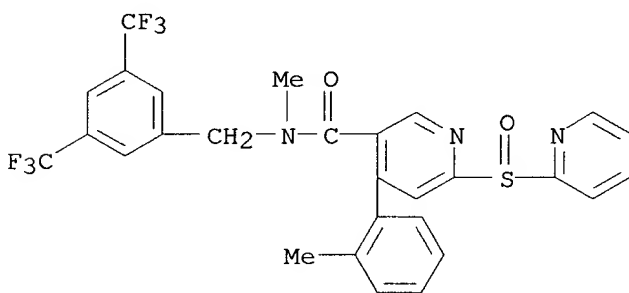
09/922,066



RN 401892-22-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

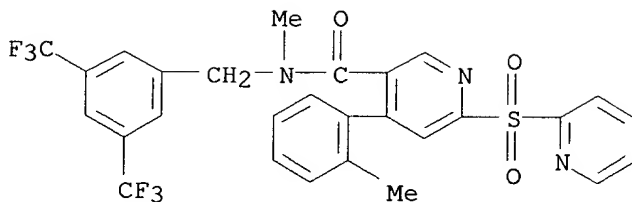


RN 401892-24-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(2-pyridinylsulfinyl)- (9CI) (CA INDEX NAME)

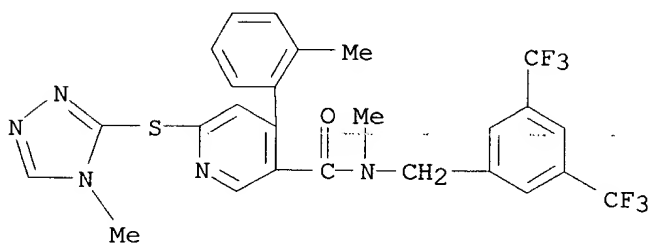


RN 401892-25-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(2-pyridinylsulfonyl)- (9CI) (CA INDEX NAME)

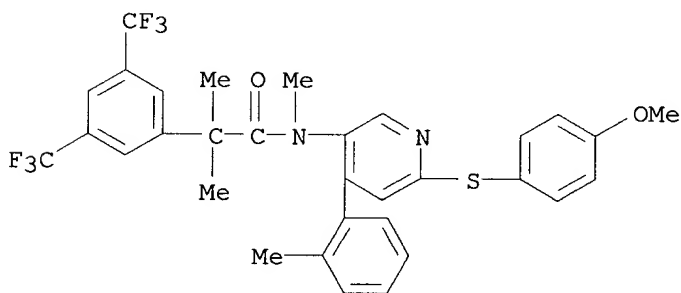
09/922,066



RN 401892-26-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-[(4-methyl-4H-1,2,4-triazol-3-yl)thio]- (9CI) (CA  
INDEX NAME)

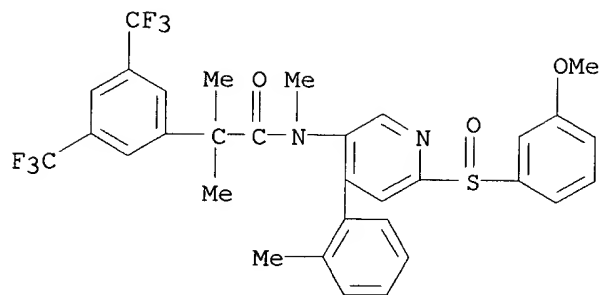


RN 401892-27-1 CAPLUS  
CN Benzeneacetamide, N-[6-[(4-methoxyphenyl)thio]-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401892-29-3 CAPLUS  
CN Benzeneacetamide, N-[6-[(3-methoxyphenyl)sulfinyl]-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

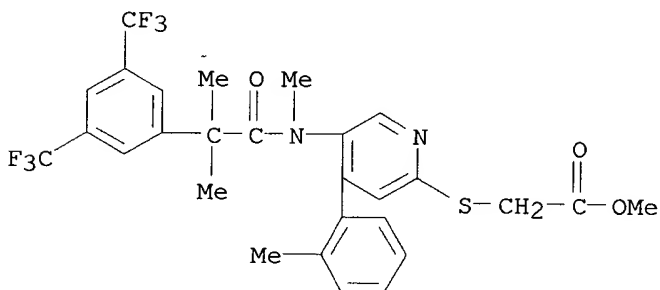
09/922,066



RN 401892-30-6 CAPLUS

CN Acetic acid, [[5-[[2-[3,5-bis(trifluoromethyl)phenyl]-2-methyl-1-oxopropyl]methylamino]-4-(2-methylphenyl)-2-pyridinyl]thio]-, methyl ester

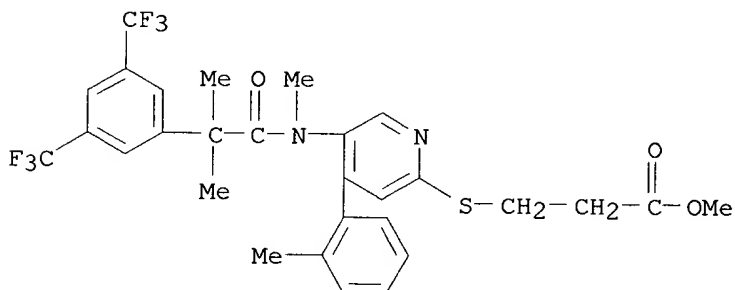
(9CI) (CA INDEX NAME)



RN 401892-31-7 CAPLUS

CN Propanoic acid, 3-[[5-[[2-[3,5-bis(trifluoromethyl)phenyl]-2-methyl-1-oxopropyl]methylamino]-4-(2-methylphenyl)-2-pyridinyl]thio]-, methyl ester

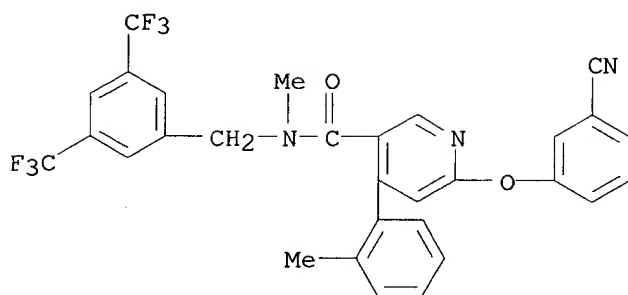
(9CI) (CA INDEX NAME)



RN 401892-32-8 CAPLUS

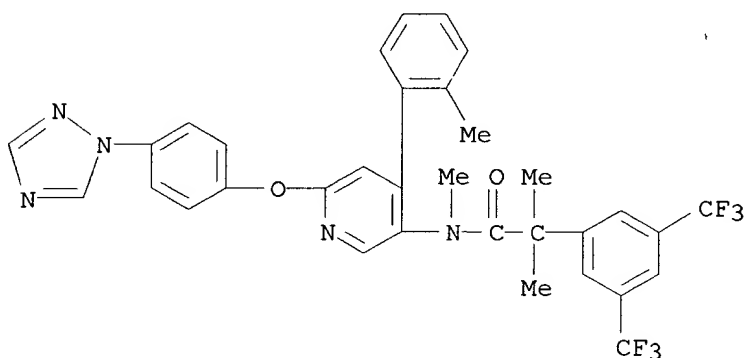
CN 3-Pyridinecarboxamide, N-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3-cyanophenoxy)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



RN 401892-33-9 CAPLUS

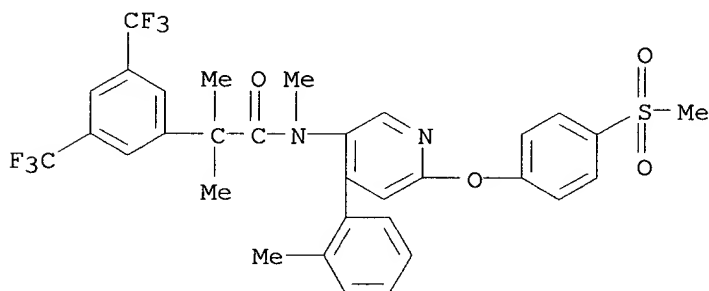
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[4-(1H-1,2,4-triazol-1-yl)phenoxy]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401892-34-0 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[4-(methylsulfonyl)phenoxy]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI)

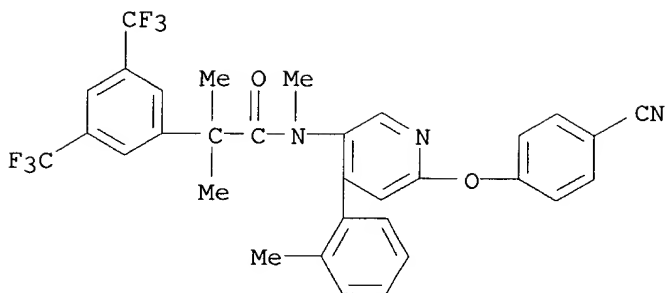
(CA INDEX NAME)



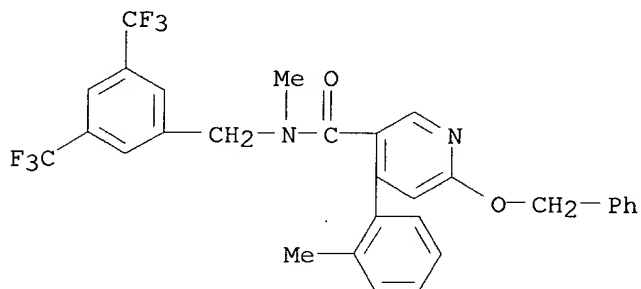
RN 401892-35-1 CAPLUS

CN Benzeneacetamide, N-[6-(4-cyanophenoxy)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

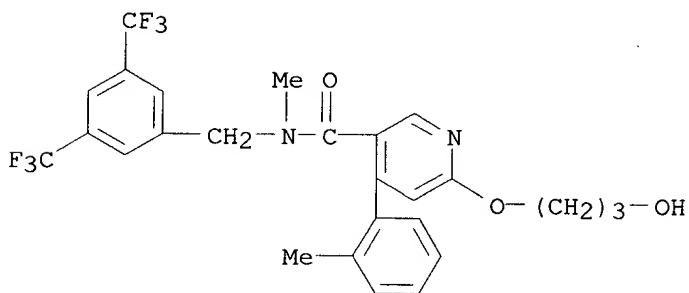
09/922,066



RN 401892-37-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

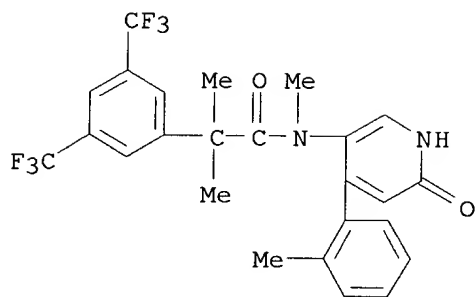


RN 401892-38-4 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(3-  
hydroxypropoxy)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

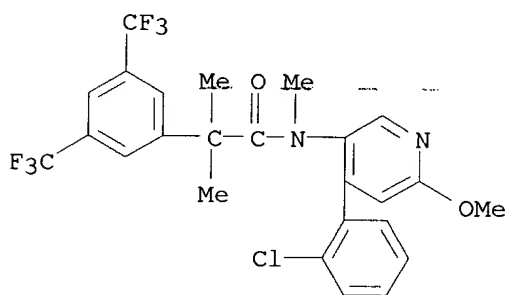


RN 401892-39-5 CAPLUS  
CN Benzeneacetamide, N-[1,6-dihydro-4-(2-methylphenyl)-6-oxo-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

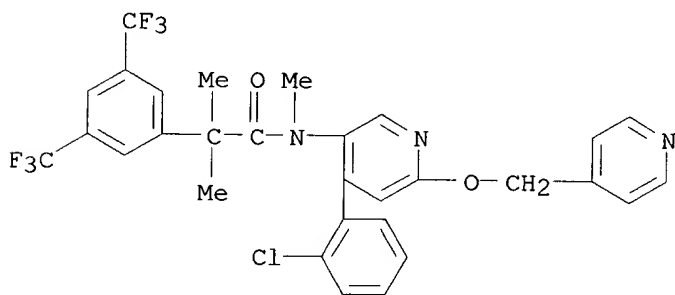
09/922,066



RN 401892-41-9 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-methoxy-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

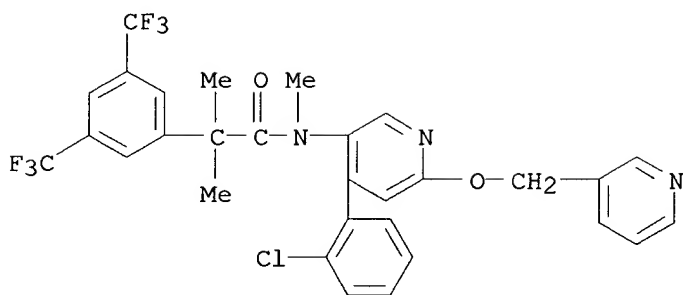


RN 401892-42-0 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-pyridinylmethoxy)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

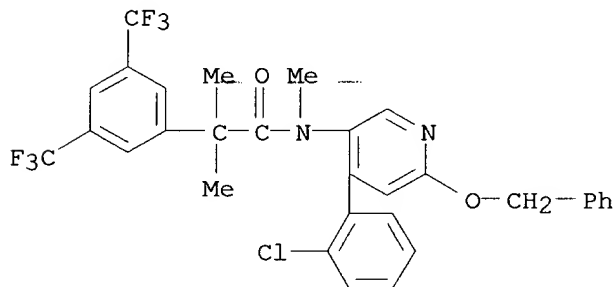


RN 401892-43-1 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(3-pyridinylmethoxy)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

09/922,066



RN 401892-44-2 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(phenylmethoxy)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

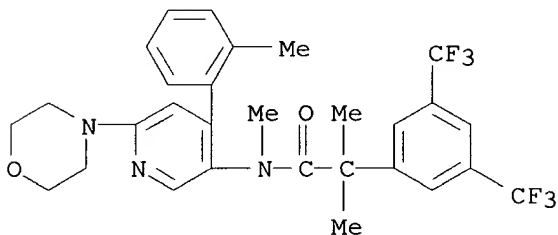


IT 290296-68-3P 290296-83-2P 290296-89-8P  
290296-93-4P 290297-13-1P 290297-14-2P  
290297-41-5P 391674-73-0P 391674-74-1P  
393508-76-4P 401892-45-3P 401892-46-4P  
401892-56-6P 401892-57-7P 401892-58-8P  
401892-59-9P 401892-60-2P 401892-61-3P  
401892-62-4P 401892-63-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-phenylpyridines as neurokinin-1 receptor antagonists)

RN 290296-68-3 CAPLUS  
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

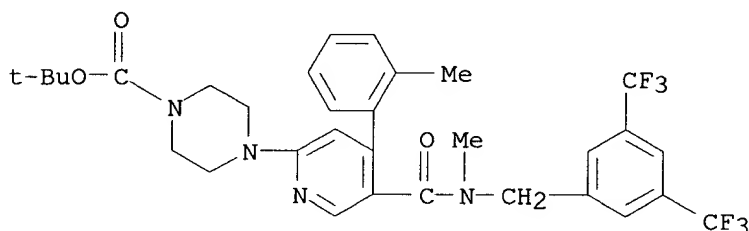


09/922,066

RN 290296-83-2 CAPLUS

CN 1-Piperazinecarboxylic acid,

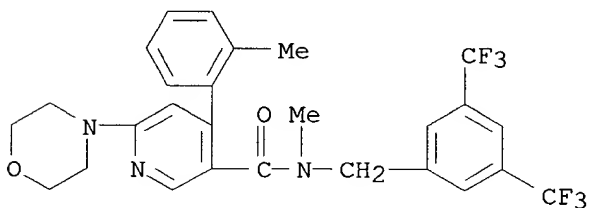
4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl  
1]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 290296-89-8 CAPLUS

CN 3-Pyridinecarboxamide,

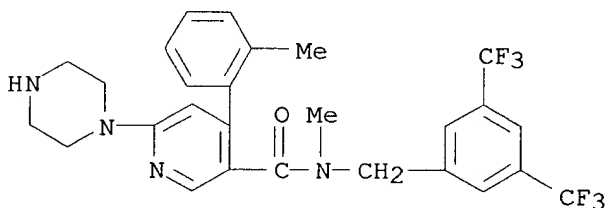
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 290296-93-4 CAPLUS

CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)

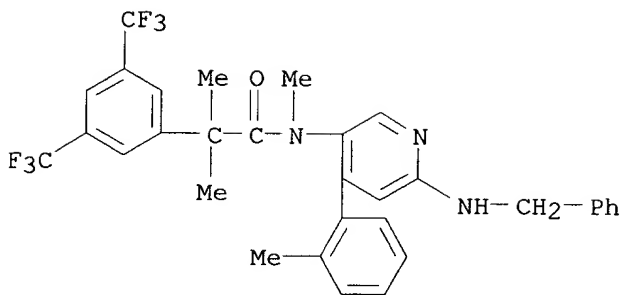


RN 290297-13-1 CAPLUS

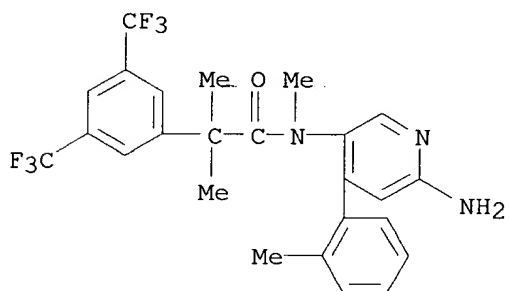
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-  
[(phenylmethyl)amino]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA  
INDEX NAME)



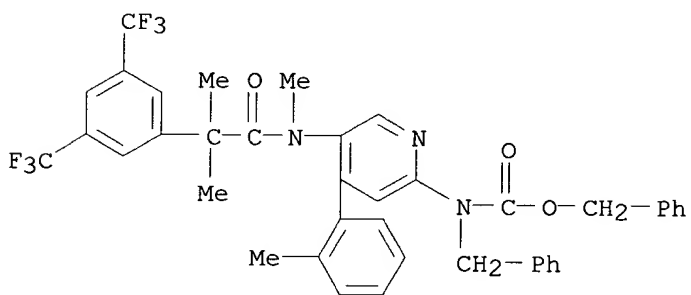
09/922,066



RN 290297-14-2 CAPLUS  
CN Benzeneacetamide, N-[6-amino-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

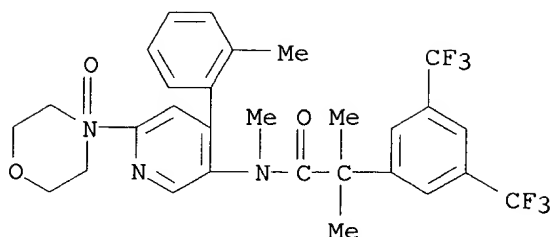


RN 290297-41-5 CAPLUS  
CN Carbamic acid, [5-[[2-[3,5-bis(trifluoromethyl)phenyl]-2-methyl-1-  
oxopropyl]methylamino]-4-(2-methylphenyl)-2-pyridinyl](phenylmethyl)-,  
phenylmethyl ester (9CI) (CA INDEX NAME)



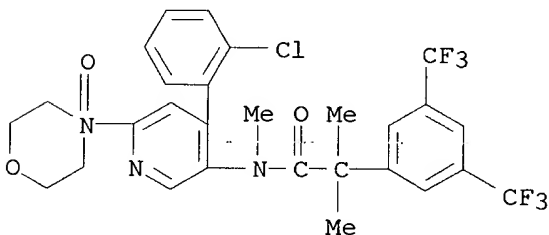
RN 391674-73-0 CAPLUS  
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-  
oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA  
INDEX NAME)

09/922,066



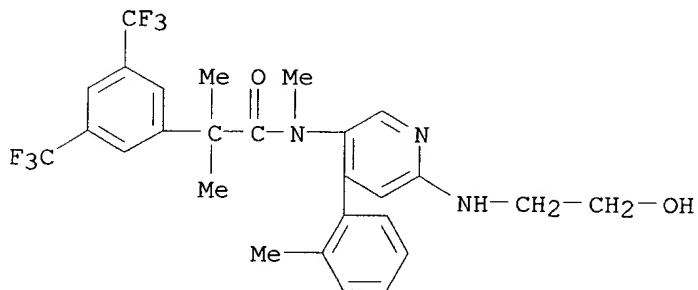
RN 391674-74-1 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 393508-76-4 CAPLUS

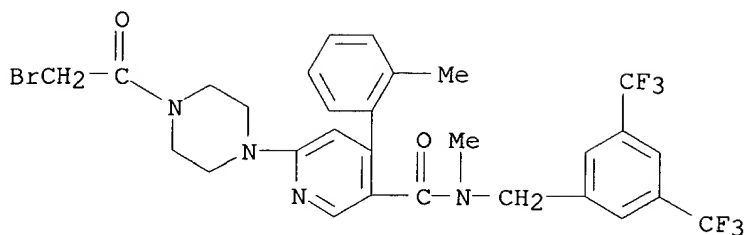
CN Benzeneacetamide, N-[6-[(2-hydroxyethyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 401892-45-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(bromoacetyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

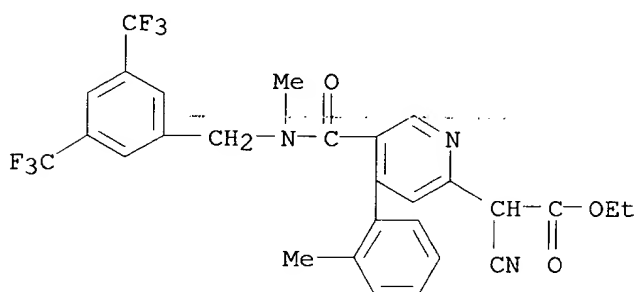
09/922,066



RN 401892-46-4 CAPLUS

CN 2-Pyridineacetic acid,

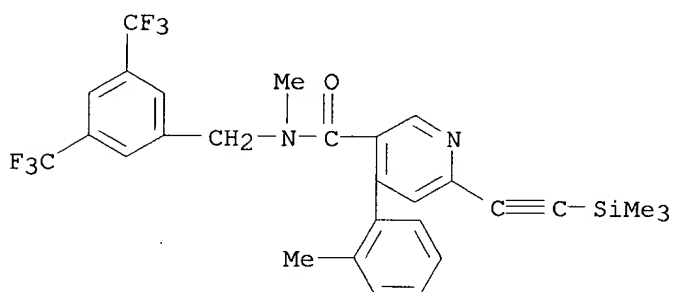
5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-.alpha.-cyano-4-(2-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 401892-56-6 CAPLUS

CN 3-Pyridinecarboxamide,

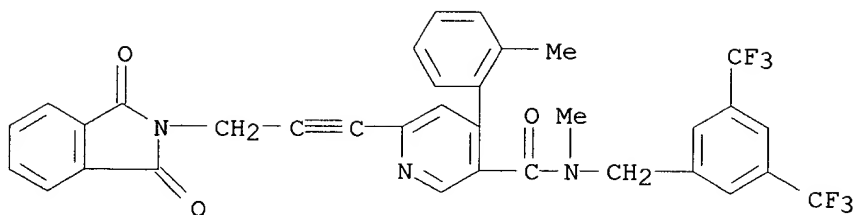
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)



RN 401892-57-7 CAPLUS

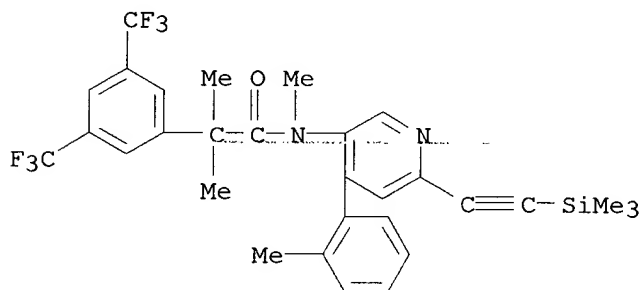
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-propynyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



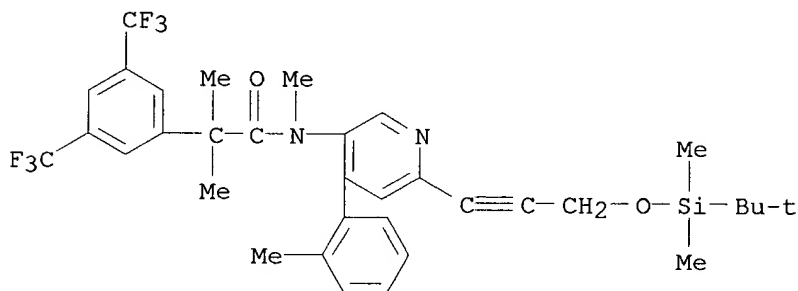
RN 401892-58-8 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[(trimethylsilyl)ethynyl]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 401892-59-9 CAPLUS

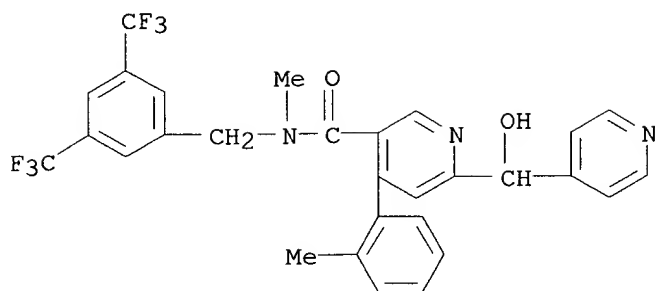
CN Benzeneacetamide, N-[6-[3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-propynyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



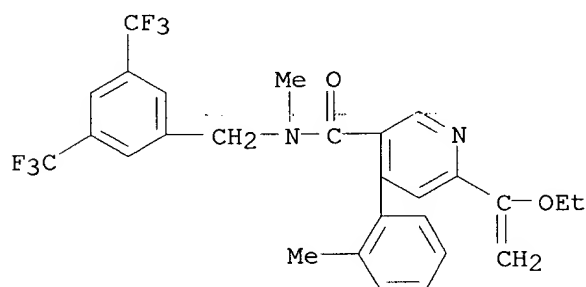
RN 401892-60-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(hydroxy-4-pyridinylmethyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

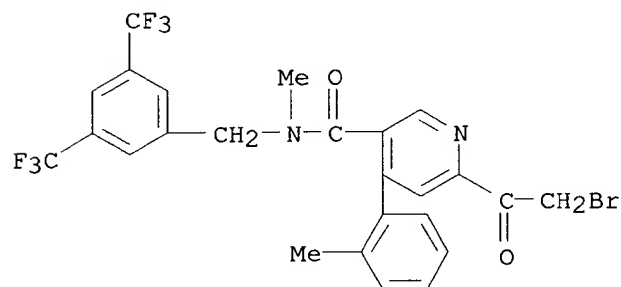
09/922,066



RN 401892-61-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(1-ethoxyethenyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

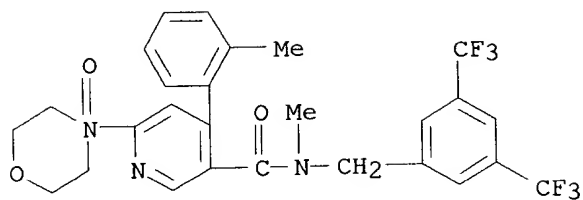


RN 401892-62-4 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(bromoacetyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 401892-63-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

09/922,066



RE.CNT 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:90050 CAPLUS  
DN 136:134681  
TI Preparation of 4-phenylpyridine derivatives as neurokinin-1 receptor antagonists  
IN Hoffmann, Torsten; Schnider, Patrick; Stadler, Heinz  
PA F. Hoffmann-La Roche A.-G., Switz.  
SO PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008232	A1	20020131	WO 2001-EP8432	20010720
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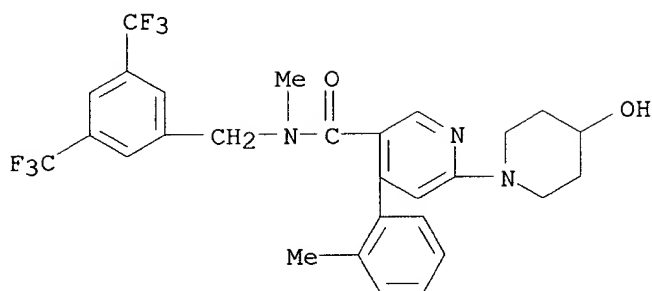
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I or II; R1 = III, 2,3-dihydro-[1,4]oxazin-4-yl, imidazol-1-yl, [1,2,4]triazol-1-yl, NH(CH<sub>2</sub>)<sub>2</sub>OH, NR<sub>3</sub>COCH<sub>3</sub>, NR<sub>3</sub>COcyclopropyl; R2 = Me, Cl; R3 = H, Me; R = H, (CH<sub>2</sub>)<sub>2</sub>OH; n = 1-2] which have a good affinity of the NK-1 receptor and therefore they may be used in the treatment or prevention of diseases, related to this receptor, were

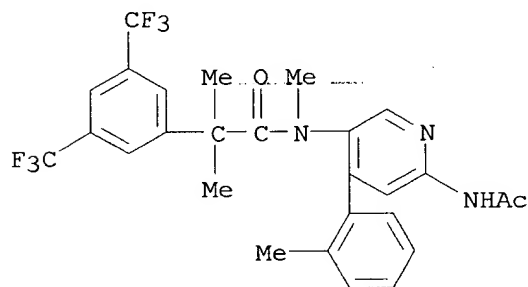
prepd. and formulated. E.g., a multi-step synthesis of I [R1 = [1,2,4]triazol-1-yl; R2 = Me] which showed pK<sub>i</sub> of 8.4 against binding at human NK1 receptors in CHO cells, was given.

IT **393508-72-0P 393508-79-7P 393508-81-1P**  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of 4-phenylpyridines as neurokinin-1 receptor antagonists)  
RN 393508-72-0 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-hydroxy-1-piperidiny)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

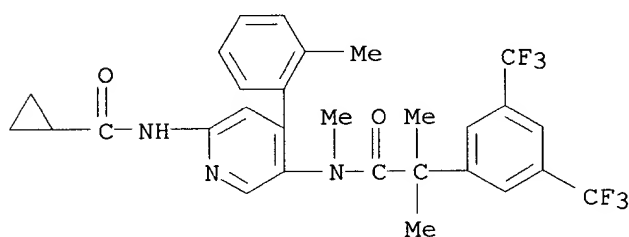
09/922,066



RN 393508-79-7 CAPLUS  
CN Benzeneacetamide, N-[6-(acetamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 393508-81-1 CAPLUS  
CN Benzeneacetamide, N-[6-[(cyclopropylcarbonyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 393508-70-8P 393508-71-9P 393508-73-1P  
393508-74-2P 393508-75-3P 393508-76-4P  
393508-77-5P 393508-78-6P 393508-80-0P  
393508-82-2P 393508-83-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

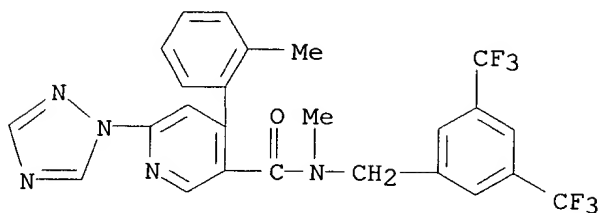
(prepn. of 4-phenylpyridines as neurokinin-1 receptor antagonists)

RN 393508-70-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-



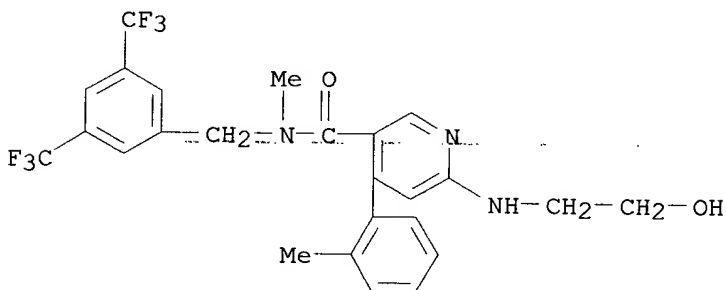
09/922,066

4-(2-methylphenyl)-6-(1H-1,2,4-triazol-1-yl)-(9CI) (CA INDEX NAME)



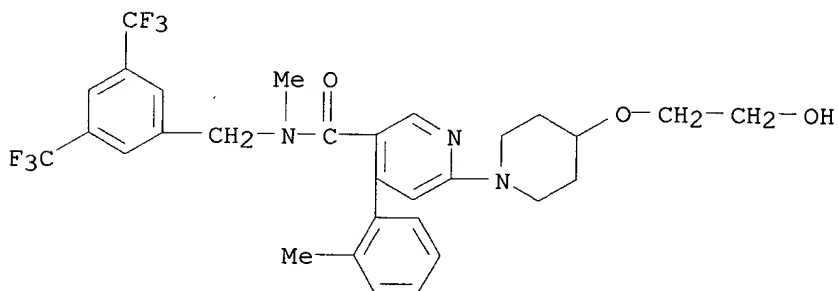
RN 393508-71-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[(2-hydroxyethyl)amino]-N-methyl-4-(2-methylphenyl)-(9CI) (CA INDEX NAME)



RN 393508-73-1 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(2-hydroxyethoxy)-1-piperidinyl]-N-methyl-4-(2-methylphenyl)-(9CI) (CA INDEX NAME)

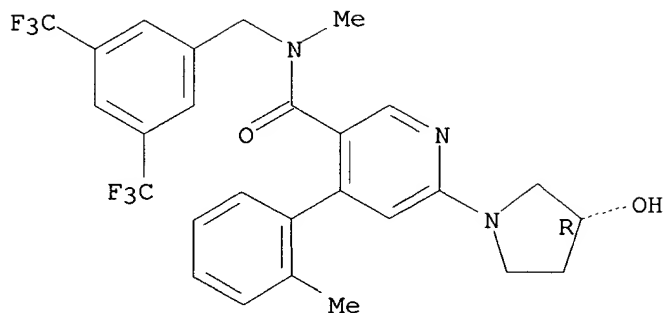


RN 393508-74-2 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[(3R)-3-hydroxy-1-pyrrolidinyl]-N-methyl-4-(2-methylphenyl)-(9CI) (CA INDEX NAME)

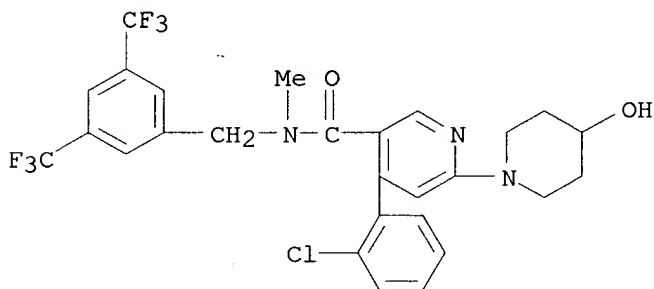
Absolute stereochemistry.

09/922,066



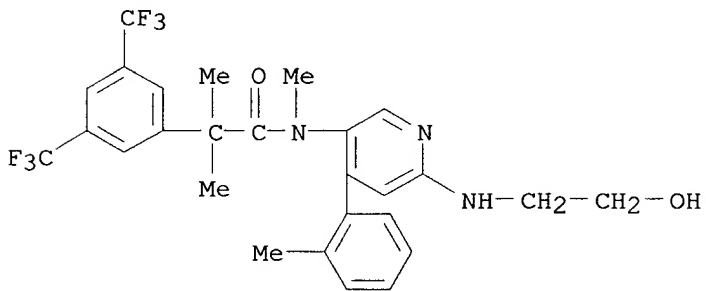
RN 393508-75-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-6-(4-hydroxy-1-piperidinyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 393508-76-4 CAPLUS

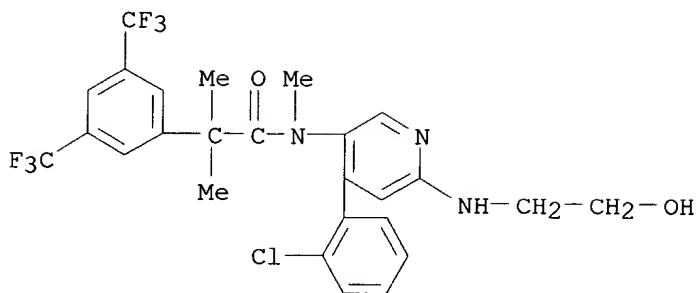
CN Benzeneacetamide, N-[6-[(2-hydroxyethyl)amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



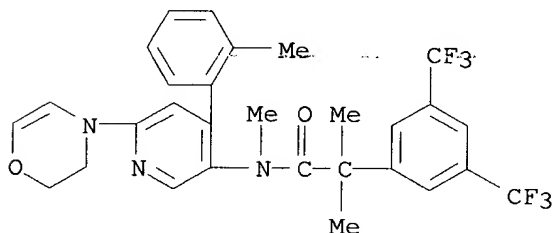
RN 393508-77-5 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-[(2-hydroxyethyl)amino]-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

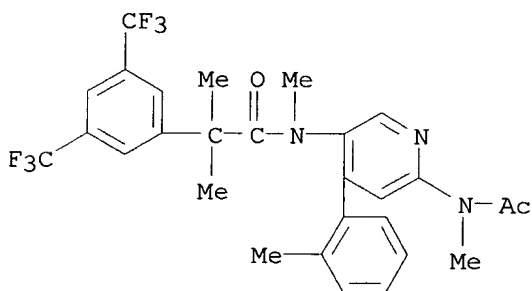
09/922,066



RN 393508-78-6 CAPLUS  
CN Benzeneacetamide,  
N-[6-(2,3-dihydro-4H-1,4-oxazin-4-yl)-4-(2-methylphenyl)-  
3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 393508-80-0 CAPLUS  
CN Benzeneacetamide,  
N-[6-(acetylmethylamino)-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)



RN 393508-82-2 CAPLUS  
CN Benzeneacetamide, N-[6-[(cyclopropylcarbonyl)methylamino]-4-(2-  
methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-  
bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

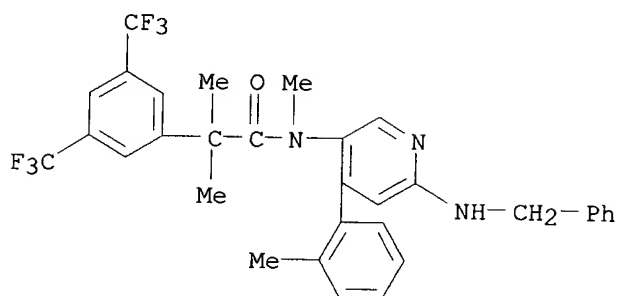
Cc1ccc(cc1)-c2cc3c(cc2N(C(=O)C4CC4)C(=O)N(C)C(C)(C)c5ccc(C(F)(F)F)cc5F)ncn3

Chemical structure of compound 10: A pyridine ring substituted with a 4-methylphenyl group and a 1-(2,4,6-trifluorophenyl)-2-methyl-2-oxo-1,2,3,4-tetrahydropyridine-3-yl group.

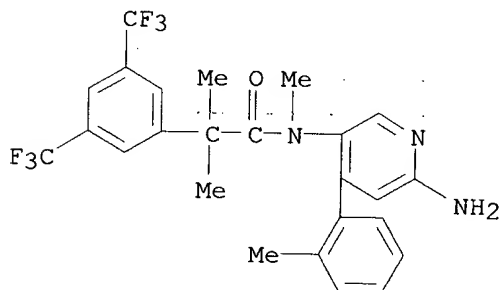
CC1=CC=C(C=C1)c2cc(C(=O)C(C)(C)c3cc(C(F)(F)F)cc(C(F)(F)F)c3)cc(N2CCOCCN2)c2cc(C(F)(F)F)cc(C(F)(F)F)c2

RN 290297-13-1 CAPLUS  
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-  
[(phenylmethyl)amino]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA  
INDEX NAME)

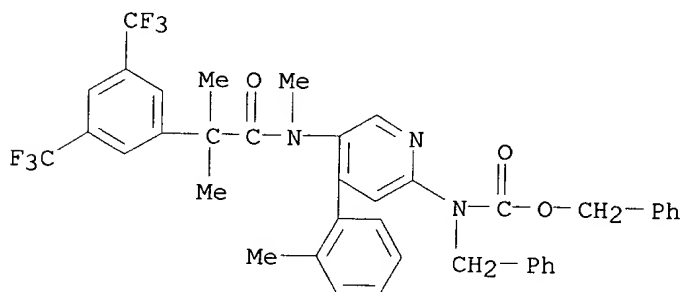
09/922,066



RN 290297-14-2 CAPLUS  
CN Benzeneacetamide, N-[6-amino-4-(2-methylphenyl)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

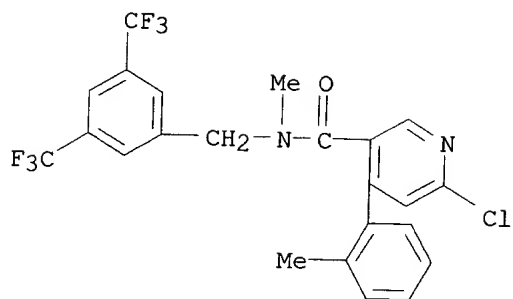


RN 290297-41-5 CAPLUS  
CN Carbamic acid, [5-[[2-[3,5-bis(trifluoromethyl)phenyl]-2-methyl-1-  
oxopropyl]methylamino]-4-(2-methylphenyl)-2-pyridinyl](phenylmethyl)-,  
phenylmethyl ester (9CI) (CA INDEX NAME)

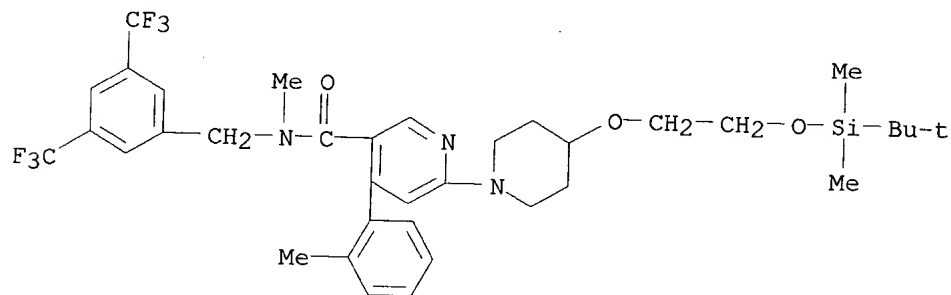


RN 393508-84-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-chloro-  
N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

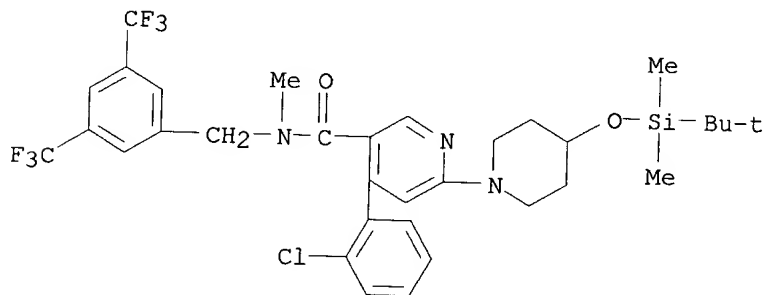
09/922,066



RN 393508-85-5 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-[2-  
 [[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]-1-piperidinyl]-N-methyl-4-  
 (2-methylphenyl)- (9CI) (CA INDEX NAME)

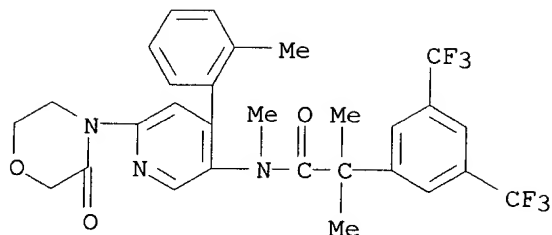


RN 393508-90-2 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-  
 chlorophenyl)-6-[4-[[4-(2-methylphenyl)-1-piperidinyl]oxy]-1-piperidinyl]-  
 N-methyl- (9CI) (CA INDEX NAME)



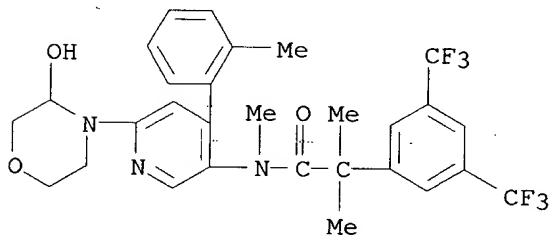
RN 393508-91-3 CAPLUS  
 CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(3-  
 oxo-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA  
 INDEX NAME)

09/922,066



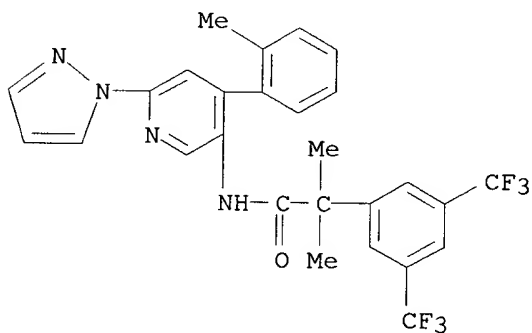
RN 393508-92-4 CAPLUS

CN Benzeneacetamide, N-[6-(3-hydroxy-4-morpholinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 393509-29-0 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-6-(1H-pyrazol-1-yl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

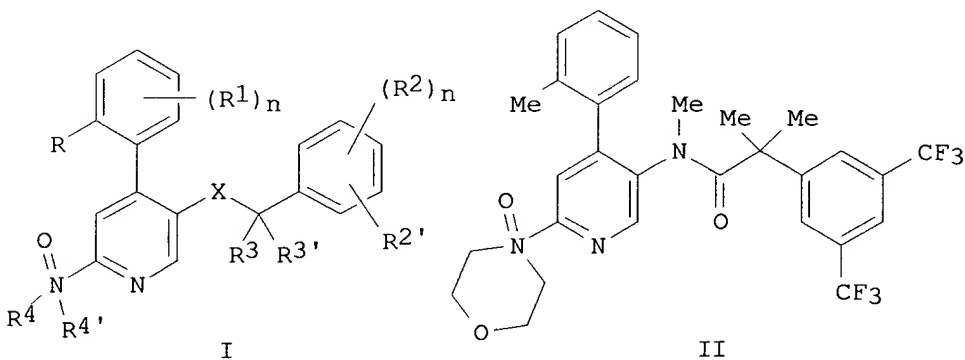


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:72051 CAPLUS  
DN 136:118387  
TI Preparation of N-oxides as NK1 receptor antagonist prodrugs of  
4-phenylpyridine derivatives  
IN Hoffmann, Torsten; Poli, Sonia Maria; Schnider, Patrick; Sleight, Andrew  
PA F. Hoffmann-La Roche A.-G., Switz.  
SO PCT Int. Appl., 43 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002006236	A1	20020124	WO 2001-EP7850	20010709
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002045642	A1	20020418	US 2001-904059	20010712
PRAT	EP 2000-115287	A	20000714		
OS	MARPAT 136:118387				
GI					



AB The prepn. is described for N-oxides (I) wherein R is hydrogen, lower alkyl, lower alkoxy, or trifluoromethyl; R1 is hydrogen or halogen; or R and R1 may be together with the ring carbon atoms to which they are attached -CH=CH-CH=CH-; R2 and R2' are independently from each other hydrogen, halogen, trifluoromethyl, lower alkoxy or cyano; or R2 and R2' may be together -CH=CH-CH=CH-, optionally substituted by one or two substituents selected from lower alkyl or lower alkoxy; R3, R3' are independently from each other hydrogen, lower alkyl or cycloalkyl; R4,

R4'



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are independently from each other  $-(CH_2)_mOR_6$  or lower alkyl; or  $R_4$  and  $R_4'$

form together with the N-atom to which they are attached a cyclic tertiary

amine with substituent  $R_5$  chosen from hydrogen, hydroxy, lower alkyl, -lower alkoxy,  $-(CH_2)_mOH$ ,  $-COOR_3$ ,  $-CON(R_3)_2$ ,  $-N(R_3)CO$ -lower alkyl or  $-C(O)R_3$ ;  $R_6$  is hydrogen, lower alkyl or phenyl;  $X$  is  $-C(O)N(R_6)-$ ,  $-N(R_6)C(O)-$ ,  $-(CH_2)_mO-$  or  $-O(CH_2)_m-$ ;  $n$  is 0, 1, 2, 3 or 4 and;  $m$  is 1, 2, or 3; and to their pharmaceutically acceptable acid addn. salts. These compds. may be used as prodrugs for the treatment or prevention of illnesses, related to the NK1 receptor. Thus, 2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-[6-(4-oxymorpholin-4-yl)-4-o-tolylpyridin-3-yl]isobutyramide (II) and related compds. were prepd. in multistep procedures.

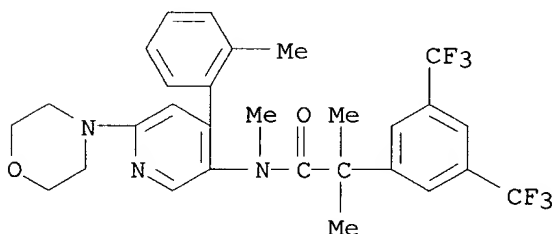
IT 290296-68-3P 290296-70-7P 290296-71-8P  
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290296-76-3P 290296-77-4P 290296-83-2P  
290296-85-4P 290296-87-6P 290296-89-8P  
290296-90-1P 290296-91-2P 290296-92-3P  
290297-00-6P 290297-01-7P 290297-02-8P  
290297-03-9P 290297-04-0P 290297-05-1P  
290297-06-2P 290297-07-3P 290297-08-4P  
290297-10-8P 290297-11-9P 290298-21-4P  
391675-02-8P 391675-03-9P 391675-11-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate product in prepn. of aminopyridine N-oxides as NK1 receptor antagonist prodrugs of 4-phenylpyridine derivs.)

RN 290296-68-3 CAPLUS

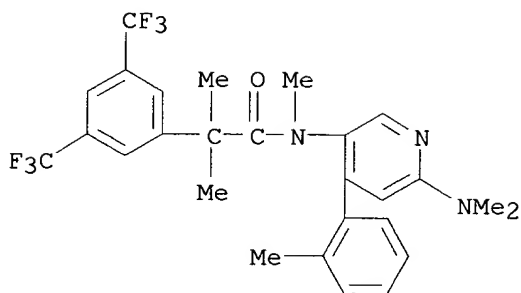
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-70-7 CAPLUS

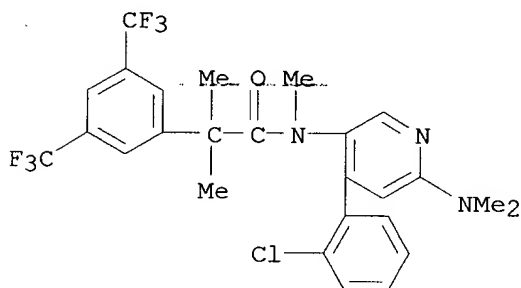
CN Benzeneacetamide, N-[6-(dimethylamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



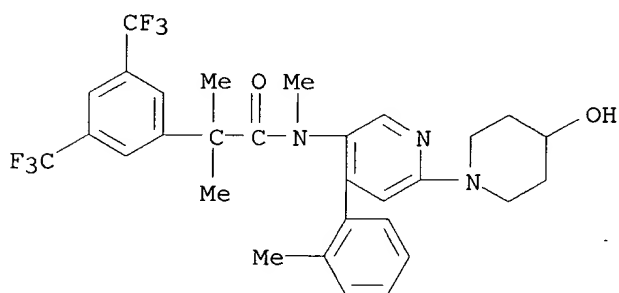
RN 290296-71-8 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(dimethylamino)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-73-0 CAPLUS

CN Benzeneacetamide, N-[6-(4-hydroxy-1-piperidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

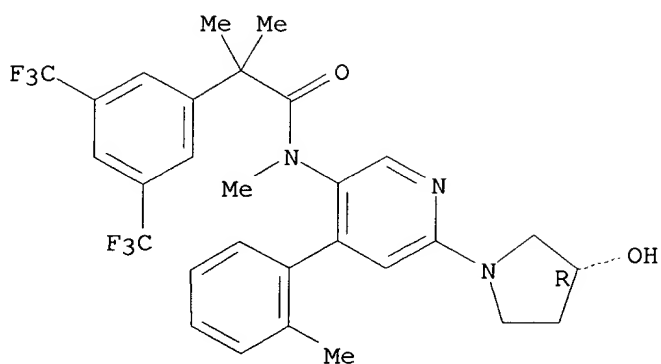


RN 290296-74-1 CAPLUS

CN Benzeneacetamide, N-[6-[(3R)-3-hydroxy-1-pyrrolidinyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

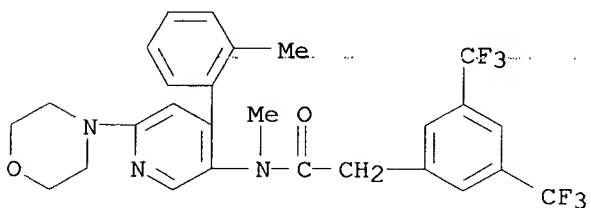
Absolute stereochemistry.

09/922,066



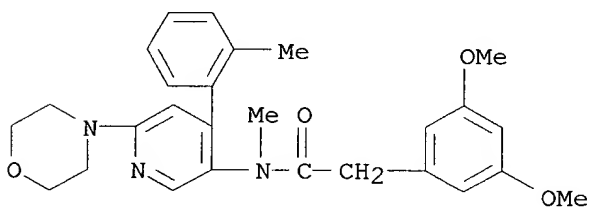
RN 290296-75-2 CAPLUS

CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



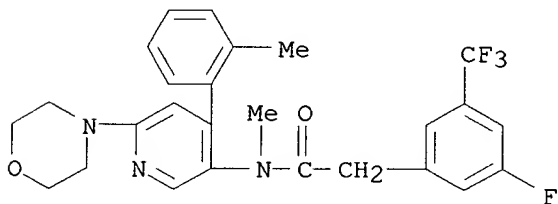
RN 290296-76-3 CAPLUS

CN Benzeneacetamide, 3,5-dimethoxy-N-methyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 290296-77-4 CAPLUS

CN Benzeneacetamide, 3-fluoro-N-methyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

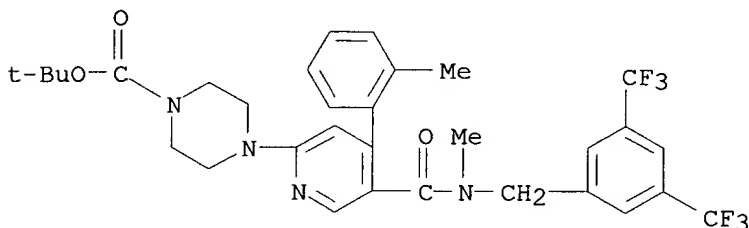


09/922,066

RN 290296-83-2 CAPLUS

CN 1-Piperazinecarboxylic acid,

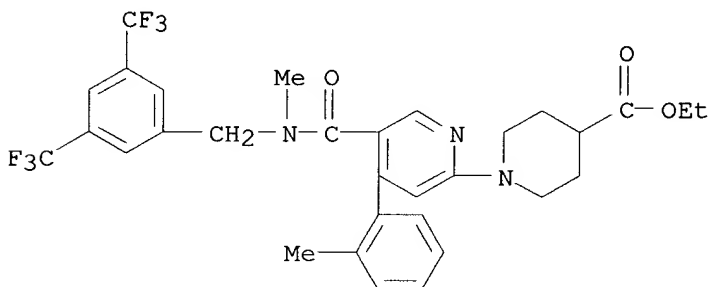
4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl  
1]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 290296-85-4 CAPLUS

CN 4-Piperidinecarboxylic acid,

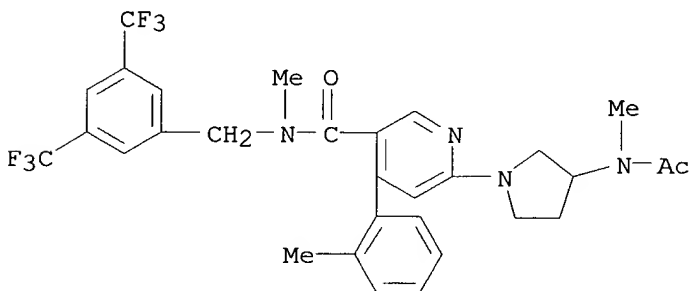
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl  
1]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, ethyl ester  
(9CI) (CA INDEX NAME)



RN 290296-87-6 CAPLUS

CN 3-Pyridinecarboxamide, 6-[3-(acetylmethylamino)-1-pyrrolidinyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)

(CA  
INDEX NAME)



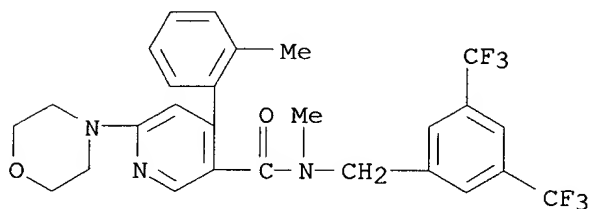
RN 290296-89-8 CAPLUS

CN 3-Pyridinecarboxamide,

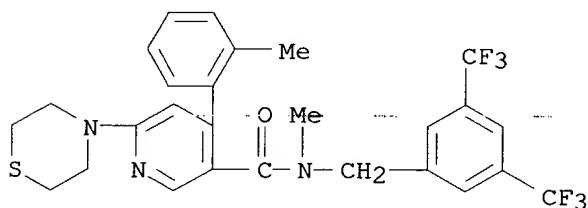
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-

09/922,066

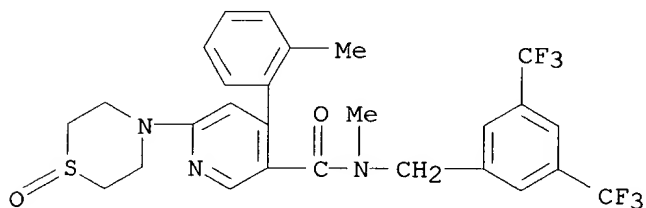
4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 290296-90-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

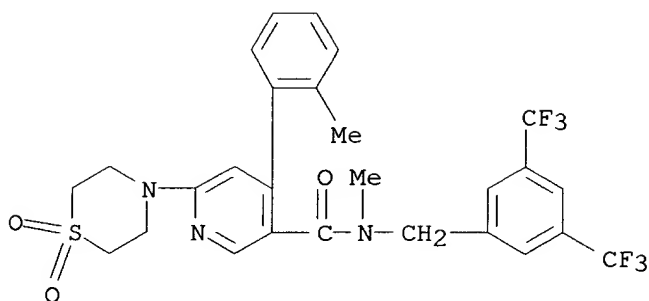


RN 290296-91-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(1-oxido-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



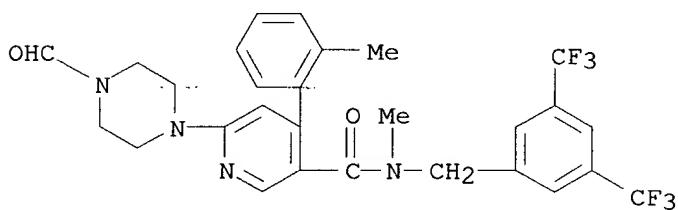
RN 290296-92-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(1,1-dioxido-4-thiomorpholinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



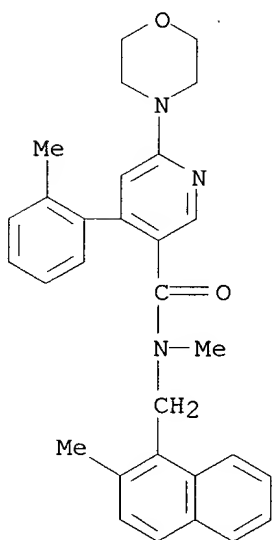
RN 290297-00-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-formyl-1-piperazinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290297-01-7 CAPLUS

CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

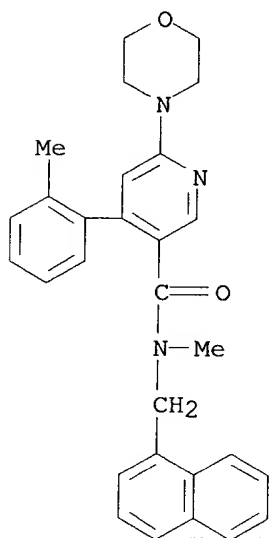


RN 290297-02-8 CAPLUS

CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)-N-(1-

09/922,066

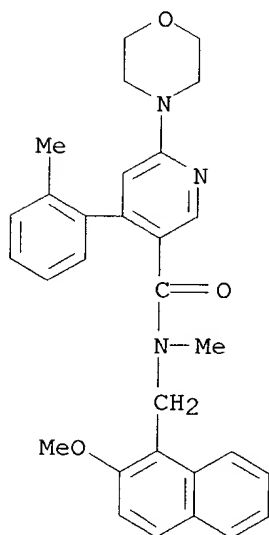
naphthalenylmethyl)- (9CI) (CA INDEX NAME)



RN 290297-03-9 CAPLUS

CN 3-Pyridinecarboxamide,

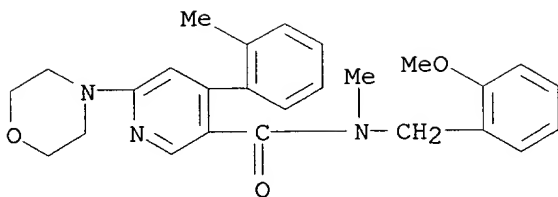
N-[(2-methoxy-1-naphthalenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



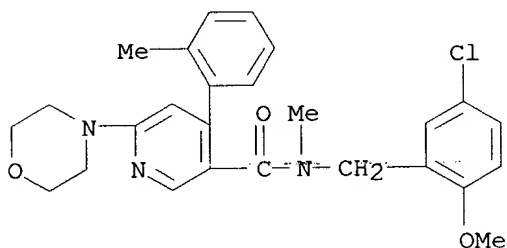
RN 290297-04-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[(2-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

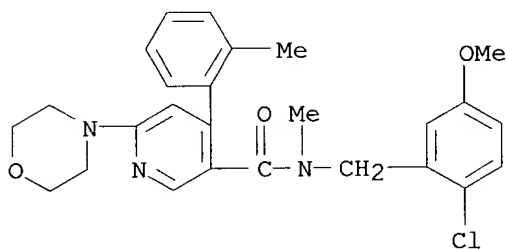
09/922,066



RN 290297-05-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(5-chloro-2-methoxyphenyl)methyl]-N-methyl-4-(2-  
methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



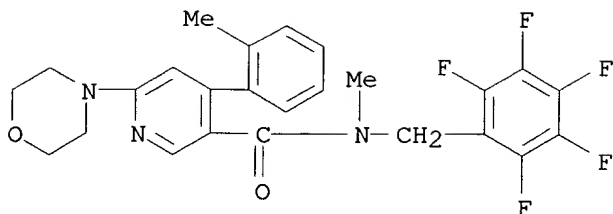
RN 290297-06-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(2-chloro-5-methoxyphenyl)methyl]-N-methyl-4-(2-  
methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



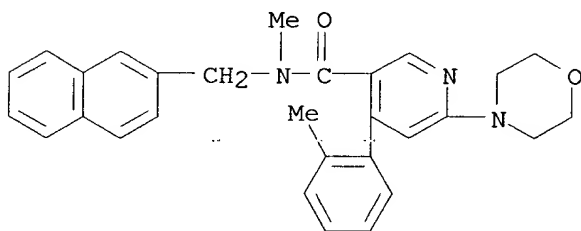
RN 290297-07-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)-N-  
[(pentafluorophenyl)methyl]- (9CI) (CA INDEX NAME)



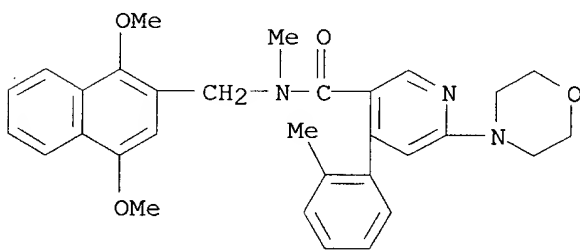
09/922,066



RN 290297-08-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)-N-(2-  
naphthalenylmethyl)- (9CI) (CA INDEX NAME)

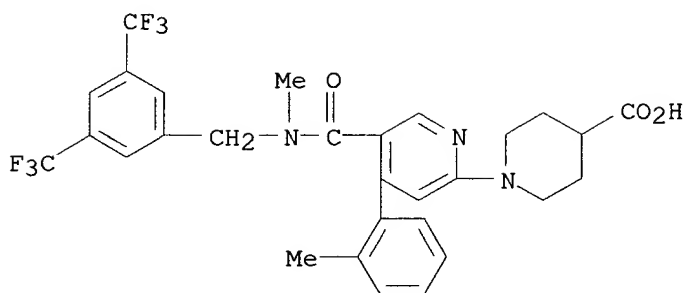


RN 290297-10-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(1,4-dimethoxy-2-naphthalenyl)methyl]-N-methyl-4-  
(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

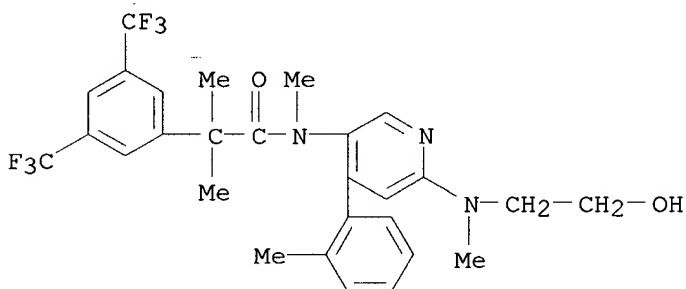


RN 290297-11-9 CAPLUS  
CN 4-Piperidinecarboxylic acid,  
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl  
1]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]- (9CI) (CA INDEX  
NAME)

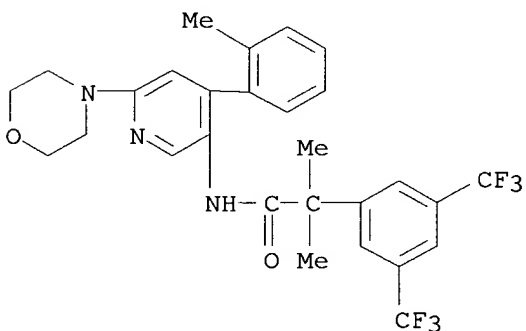
09/922,066



RN 290298-21-4 CAPLUS  
 CN Benzeneacetamide,  
 N-[6-[(2-hydroxyethyl)methylamino]-4-(2-methylphenyl)-3-  
 pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
 (CA INDEX NAME)

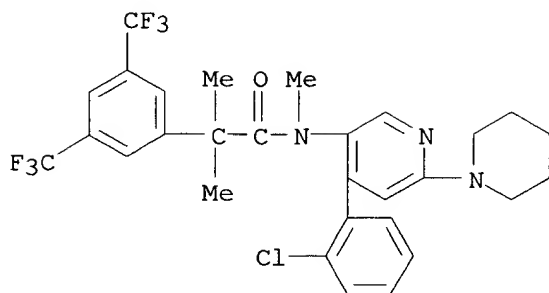


RN 391675-02-8 CAPLUS  
 CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-6-(4-  
 morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
 NAME)

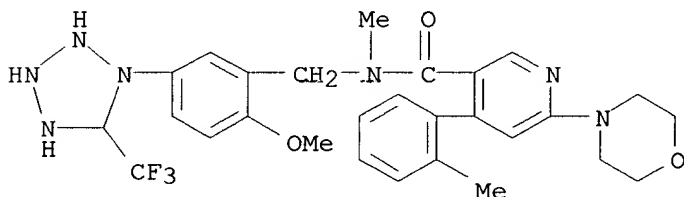


RN 391675-03-9 CAPLUS  
 CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(1-piperidinyl)-3-pyridinyl]-  
 N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
 NAME)

09/922,066



RN 391675-11-9 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[2-methoxy-5-[5-(trifluoromethyl)-1-tetrazolidinyl]phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



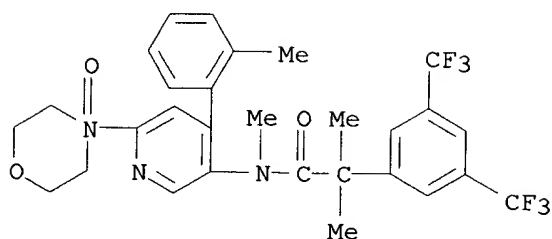
IT 391674-73-0P 391674-74-1P 391674-75-2P  
391674-76-3P 391674-77-4P 391674-78-5P  
391674-79-6P 391674-80-9P 391674-81-0P  
391674-82-1P 391674-83-2P 391674-84-3P  
391674-85-4P 391674-86-5P 391674-87-6P  
391674-88-7P 391674-89-8P 391674-90-1P  
391674-91-2P 391674-92-3P 391674-93-4P  
391674-94-5P 391674-95-6P 391674-96-7P  
391674-97-8P 391674-98-9P 391674-99-0P  
391675-00-6P 391675-01-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyridine N-oxides as NK1 receptor antagonist prodrugs of 4-phenylpyridine derivs.)

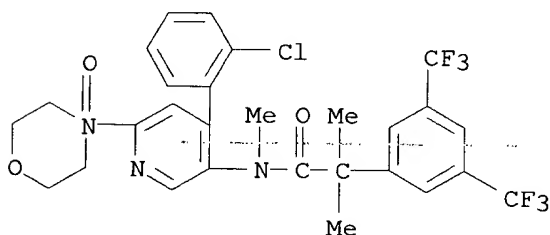
RN 391674-73-0 CAPLUS  
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



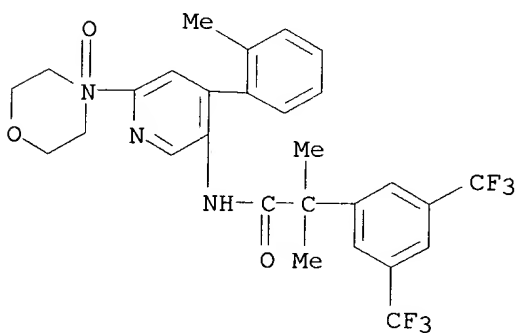
RN 391674-74-1 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 391674-75-2 CAPLUS

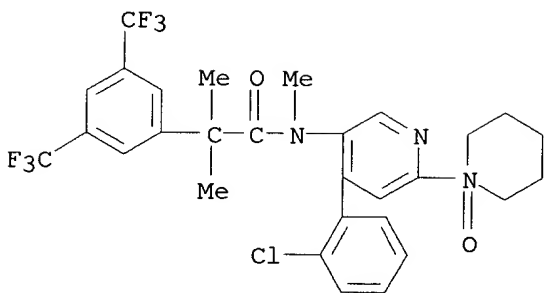
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



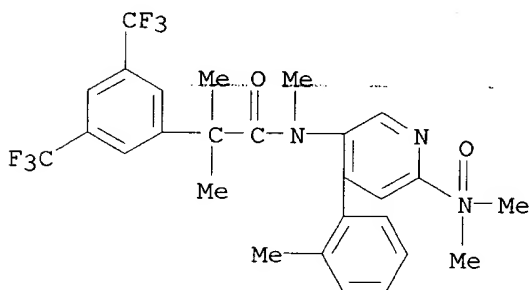
RN 391674-76-3 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(1-oxido-1-piperidinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

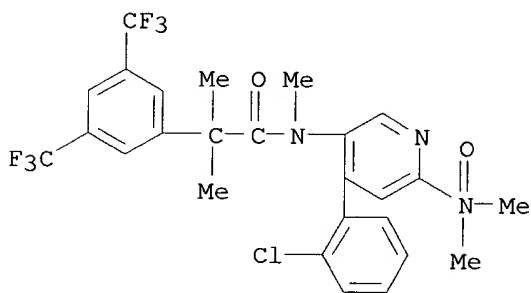
09/922,066



RN 391674-77-4 CAPLUS  
CN Benzeneacetamide, N-[6-(dimethyloxidoamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

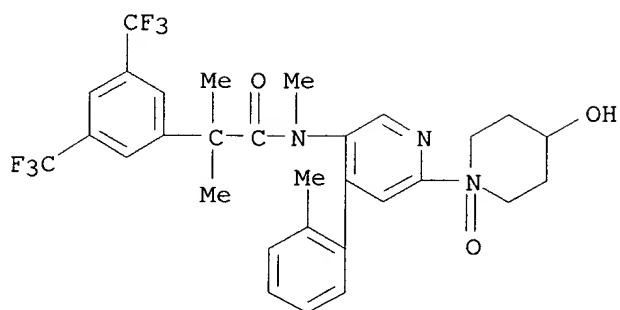


RN 391674-78-5 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(dimethyloxidoamino)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

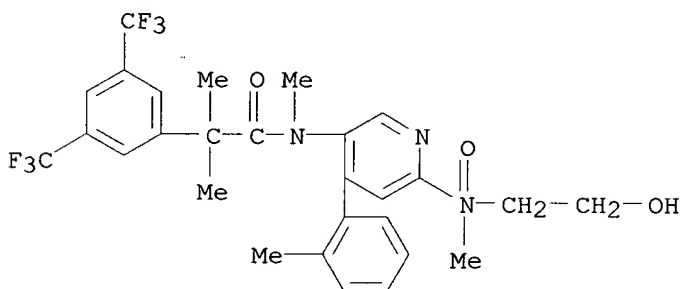


RN 391674-79-6 CAPLUS  
CN Benzeneacetamide, N-[6-(4-hydroxy-1-oxido-1-piperidinyl)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066

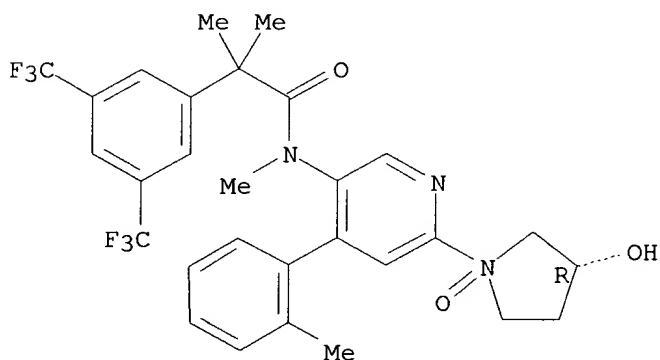


RN 391674-80-9 CAPLUS  
CN Benzeneacetamide, N-[6-[(2-hydroxyethyl)methyloxidoamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 391674-81-0 CAPLUS  
CN Benzeneacetamide, N-[6-[(3R)-3-hydroxy-1-oxido-1-pyrrolidinyl]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

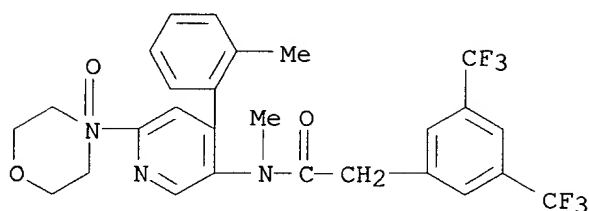
Absolute stereochemistry.



RN 391674-82-1 CAPLUS  
CN Benzeneacetamide,  
N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)]-

09/922,066

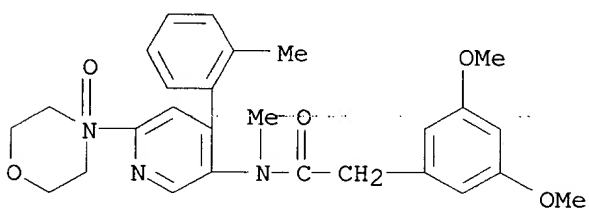
3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 391674-83-2 CAPLUS

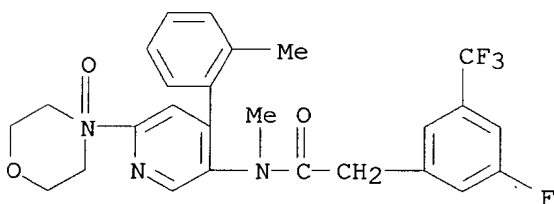
CN Benzeneacetamide,

3,5-dimethoxy-N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 391674-84-3 CAPLUS

CN Benzeneacetamide, 3-fluoro-N-methyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

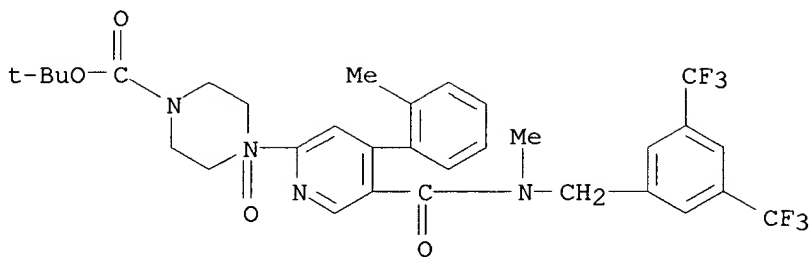


RN 391674-85-4 CAPLUS

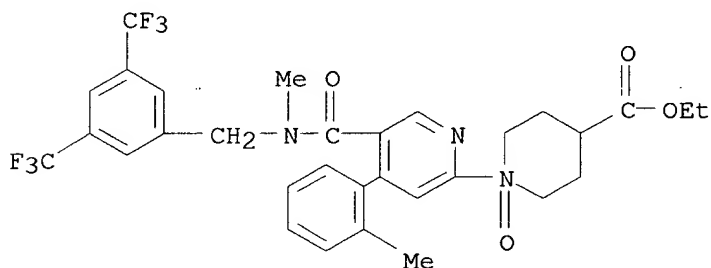
CN 1-Piperazinecarboxylic acid,

4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, 1,1-dimethylethyl ester, 4-oxide (9CI) (CA INDEX NAME)

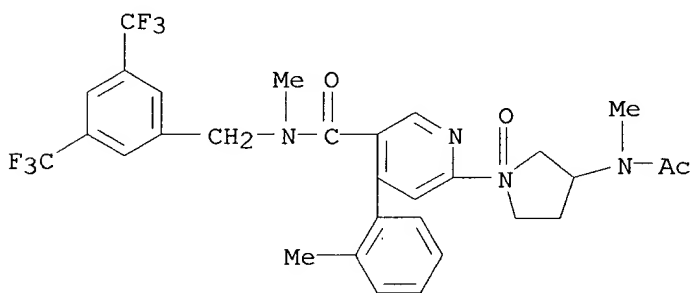
09/922,066



RN 391674-86-5 CAPLUS  
CN 4-Piperidinecarboxylic acid,  
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl  
1]methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, ethyl ester,  
1-oxide (9CI) (CA INDEX NAME)



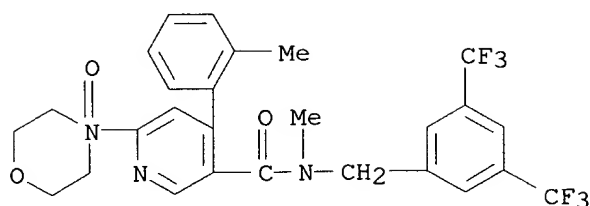
RN 391674-87-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
6-[3-(acetylmethylamino)-1-oxido-1-pyrrolidinyl]-N-  
[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-  
(9CI) (CA INDEX NAME)



RN 391674-88-7 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-, monohydrate (9CI) (CA  
INDEX NAME)

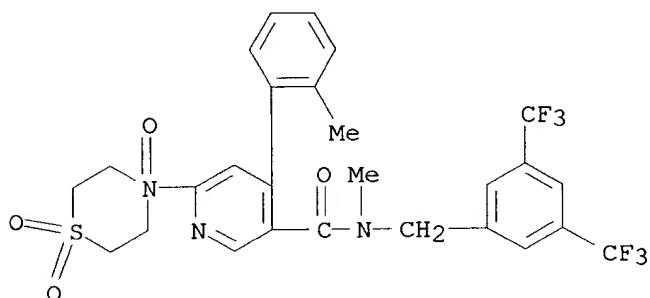


09/922,066

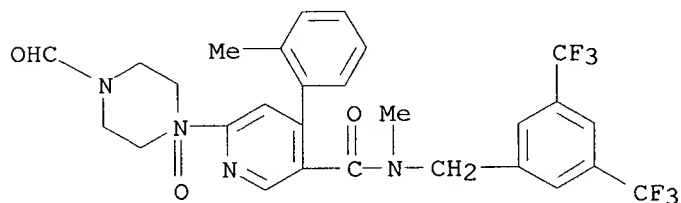


● H<sub>2</sub>O

RN 391674-89-8 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(1,1,4-trioxido-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

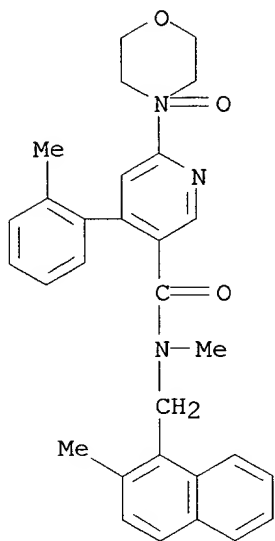


RN 391674-90-1 CAPLUS  
 CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-formyl-1-oxido-1-piperazinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

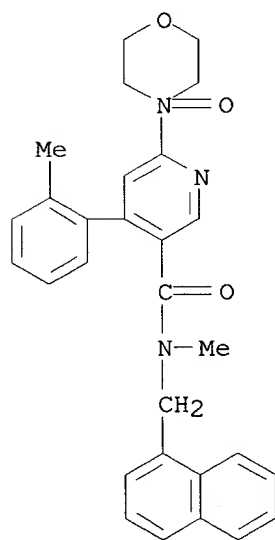


RN 391674-91-2 CAPLUS  
 CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

09/922,066

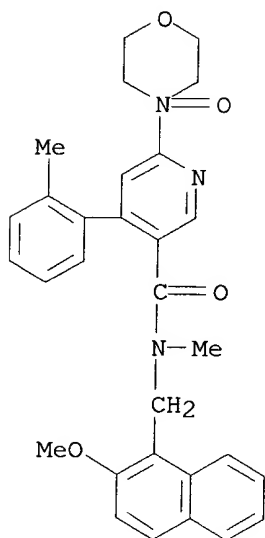


RN 391674-92-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-N-(1-naphthalenylmethyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

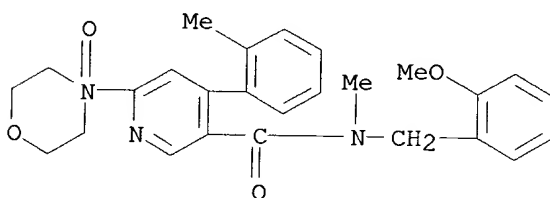


RN 391674-93-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(2-methoxy-1-naphthalenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

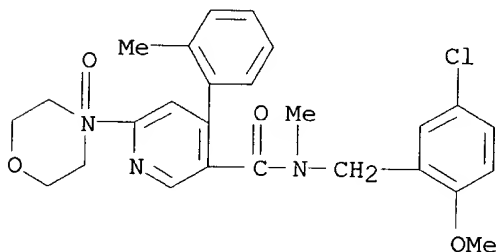
09/922,066



RN 391674-94-5 CAPLUS  
CN 3-Pyridinecarboxamide, N-[(2-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

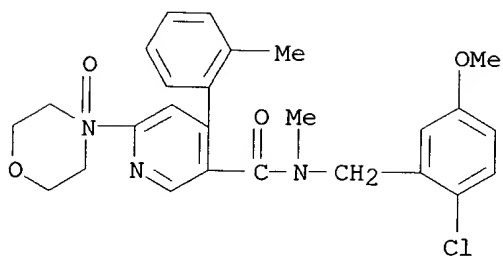


RN 391674-95-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(5-chloro-2-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

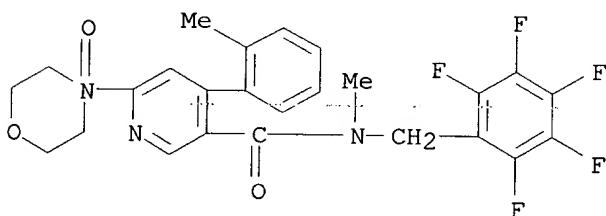


RN 391674-96-7 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(2-chloro-5-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

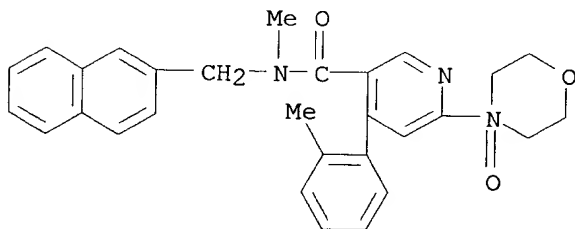
09/922,066



RN 391674-97-8 CAPLUS  
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-N-[(pentafluorophenyl)methyl]- (9CI) (CA INDEX NAME)

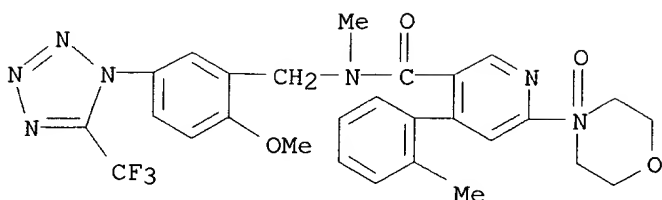


RN 391674-98-9 CAPLUS  
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-N-(2-naphthalenylmethyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

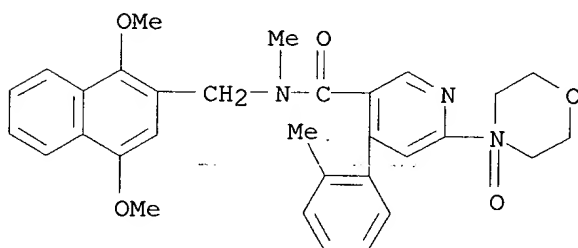


RN 391674-99-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

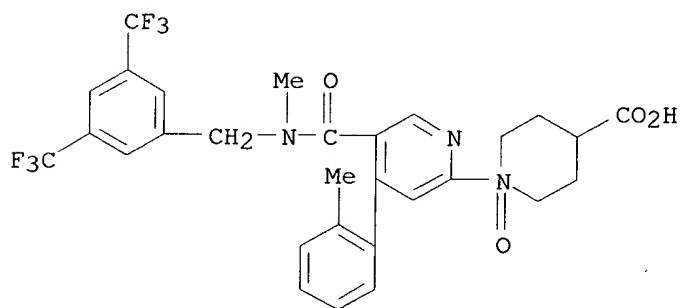
09/922,066



RN 391675-00-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(1,4-dimethoxy-2-naphthalenyl)methyl]-N-methyl-4-  
(2-methylphenyl)-6-(4-oxido-4-morpholinyl)- (9CI) (CA INDEX NAME)

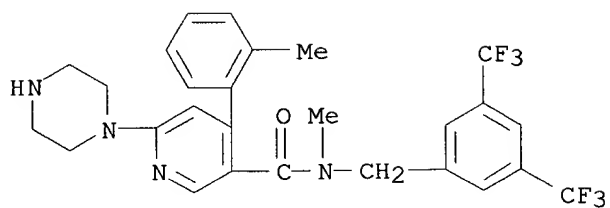


RN 391675-01-7 CAPLUS  
CN 4-Piperidinecarboxylic acid,  
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]  
methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, 1-oxide (9CI)  
(CA INDEX NAME)



IT 290296-93-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reactant for prepn. of aminopyridine N-oxides as NK1 receptor  
antagonist prodrugs of 4-phenylpyridine derivs.)  
RN 290296-93-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)

09/922,066



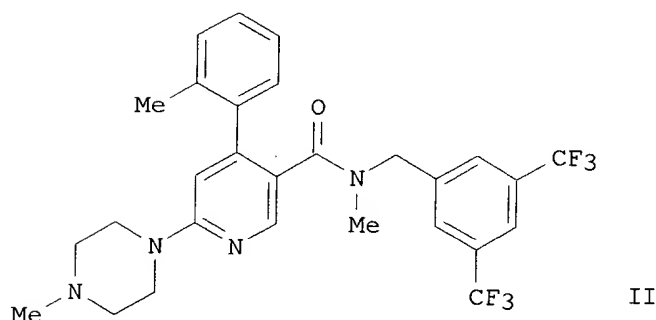
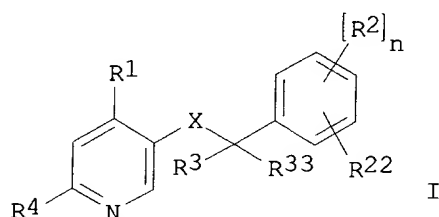
RE.CNT 3      THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

DP

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2001:396485 CAPLUS  
DN 135:5533  
TI Process for preparation of pyridine derivatives  
IN Hilpert, Hans; Hoffmann-Emerly, Fabienne; Rimmeler, Goesta; Rogers-Evans, Mark; Stahr, Helmut Werner; Waldmeier, Pius  
PA F. Hoffmann-La Roche A.-G., Switz.  
SO Eur. Pat. Appl., 28 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1103546	A1	20010530	EP 2000-125665	20001123
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6303790	B1	20011016	US 2000-716538	20001120
	JP 2001151755	A2	20010605	JP 2000-360682	20001128
	CN 1297887	A	20010606	CN 2000-128383	20001128
PRAI	EP 1999-123686	A	19991129		
OS	CASREACT 135:5533; MARPAT 135:5533				
GI					



AB The title compds. [I; R1 = alkyl, (un)substituted aryl; R2, R22 = H, halo, CF3, etc.; R2 and R22 may be together = (un)substituted CH:CHCH:CH; R3, R33 = H, alkyl, or forming a cycloalkyl together with the carbon atom, to which they are attached; R4 = H, alkyl, (un)substituted NH2, etc.; X =

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CONR5, NR5CO; R5 = H, alkyl, CH<sub>2</sub>Ph; n = 0-4], useful as antagonists of neurokinin 1 receptor (no data), were prepd. Thus, treating 6-chloronicotinic acid with SOCl<sub>2</sub> and MeNH<sub>2</sub>.HCl followed by reaction of 6-chloro-N-methylnicotinamide with o-tolylmagnesium chloride and 1-methylpiperazine, treatment of 6-(4-methylpiperazin-1-yl)-4-o-tolyl-4,5-dihydropyridine-3-carboxylic acid methylamide with MnO<sub>2</sub>, and reacting N-methyl-6-(4-methylpiperazin-1-yl)-4-o-tolynicotinamide with 3,5-bis(trifluoromethyl)benzyl bromide afforded the nicotinamide II.

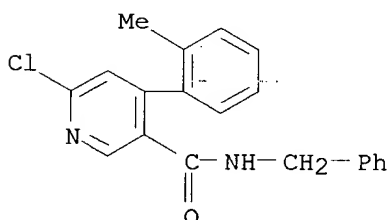
IT **342417-03-2P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for prepn. of pyridine derivs.)

RN 342417-03-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-4-(2-methylphenyl)-N-(phenylmethyl)-  
(9CI)

(CA INDEX NAME)

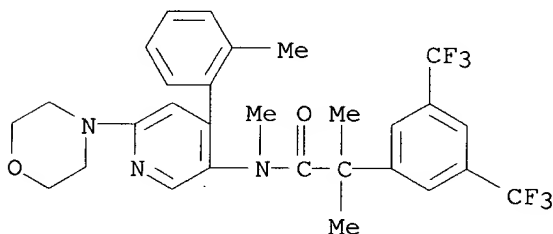


IT **290296-68-3P 290297-57-3P 342416-86-8P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(process for prepn. of pyridine derivs.)

RN 290296-68-3 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

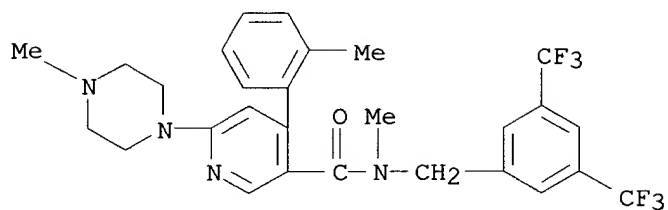


RN 290297-57-3 CAPLUS

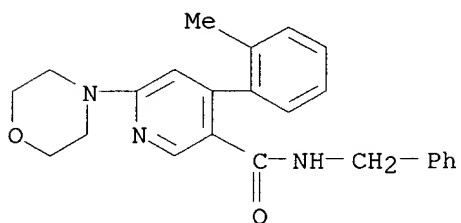
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



09/922,066



RN 342416-86-8 CAPLUS  
CN 3-Pyridinecarboxamide, 4-(2-methylphenyl)-6-(4-morpholinyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2002 ACS

AN 2001:396484 CAPLUS

DN 135:5620

TI Preparation of

2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-[6-(morpholin-4-yl)-4-(o-tolyl)-pyridin-3-yl]-isobutyramide for the treatment of diseases related to the NK-1 receptor

IN Ballard, Theresa Maria; Higgins, Guy Andrew; Hoffmann, Torsten; Poli, Sonia Maria; Sleight, Andrew

PA F. Hoffmann-La Roche A.-G., Switz.

SO Eur. Pat. Appl., 12 pp.

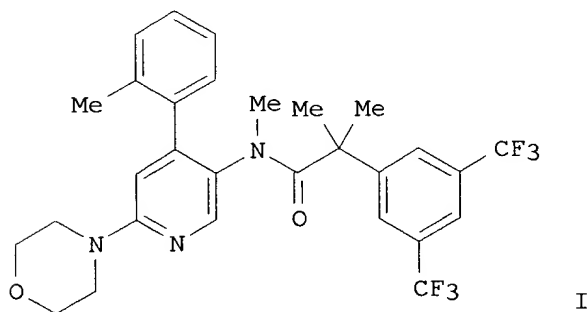
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1103545	A1	20010530	EP 2000-125450	20001121
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	GB 2356863	A1	20010606	GB 2000-28566	20001123
	DE 10058310	A1	20010531	DE 2000-10058310	20001124
	FR 2801590	A1	20010601	FR 2000-15193	20001124
	JP 2001151754	A2	20010605	JP 2000-356833	20001124
	NO 2000006012	A	20010530	NO 2000-6012	20001128
	BR 2000005616	A	20010717	BR 2000-5616	20001128
	ES 2171134	A1	20020816	ES 2000-2839	20001128
	CN 1297888	A	20010606	CN 2000-134260	20001129
PRAI	EP 1999-123685	A	19991129		
GI					



AB The title compd. I which is a potent and selective antagonist at recombinant human neurokinin1 (NK1) receptors expressed in CHO cells, was prepd. (details of multi-step synthesis were given) and formulated. The compd. I showed an affinity (pKi) of 9.0 for the human NK1 receptor over

2.

orders of magnitude of selectivity for the NK1 receptor compared to NK2 and NK3 receptors and compared to over 50 other binding sites that have been evaluated.

IT **290296-68-3P 341023-51-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

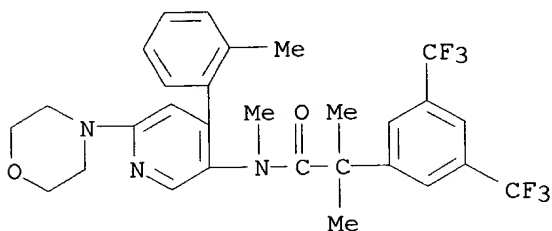
09/922,066

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of  
2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-[6-(morpholin-  
4-yl)-4-(o-tolyl)-pyridin-3-yl]-isobutyramide for the treatment of  
diseases related to the NK-1 receptor)

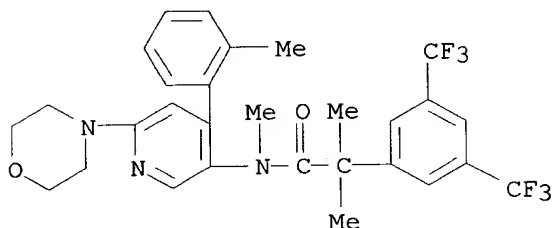
RN 290296-68-3 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-  
morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)



RN 341023-51-6 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-  
morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

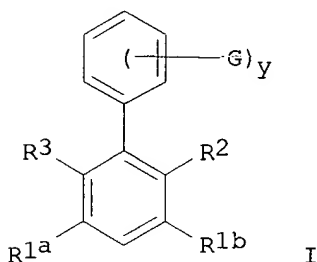
RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2001:278024 CAPLUS  
DN 134:311111  
TI Preparation of substituted biphenyls as glucagon receptor antagonists  
IN Schoen, William R.; Ladouceur, Gaetan H.; Cook, James H., II; Lease, Timothy G.; Wolanin, Donald J.; Kramss, Richard H.; Hertzog, Donald L.; Osterhout, Martin H.  
PA Bayer Corporation, USA; Bayer A.-G.  
SO U.S., 156 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6218431	B1	20010417	US 1997-904119	19970731
OS	MARPAT 134:311111				
GI					



AB Substituted biphenyls I [ R1a, R1b = alkyl; R2 = alkyl with substituents from 1 to 3 of SR7; R7 = Ph, or substituted Ph wherein the substituents are independently 1-5 of halogen, trifluoromethyl, alkyl, alkoxy, nitro, cyano, hydroxyl; R3 = alkyl with substituents of 1-2 hydroxyl groups; G represents a substituent selected from the group consisting of halogen, alkyl, OR4 with R4 = H, alkyl; y = 0-3], glucagon receptor antagonists. E.g., redn. of 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-3-(3-trifluoromethylbenzyloxymethyl)pyridine-5-carboxylic acid Et ester with LiAlH4 gave 76.5%

2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-5-hydroxymethyl-3-(3-trifluoromethylbenzyloxymethyl)pyridine.

IT 202850-67-7P 202850-68-8P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted biphenyls as glucagon receptor antagonists)

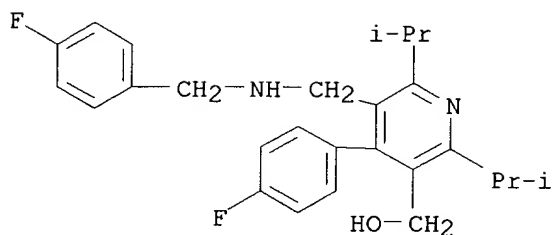
RN 202850-67-7 CAPLUS

CN 3-Pyridinemethanol, 4-(4-fluorophenyl)-5-[[[4-fluorophenyl)methyl]amino]methyl]-2,6-bis(1-methylethyl)- (9CI) (CA

INDEX

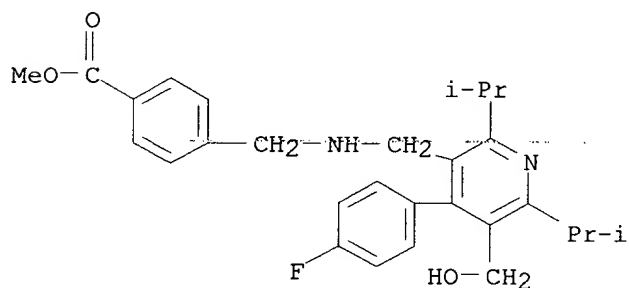
NAME)

09/922,066



RN 202850-68-8 CAPLUS

CN Benzoic acid, 4-[[[4-(4-fluorophenyl)-5-(hydroxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]methyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



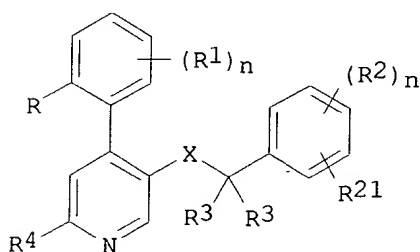
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

Work  
10(e)

L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 2000:607348 CAPLUS  
DN 133:207811  
TI Preparation of N-benzyl-4-tolylnicotinamides and related compounds as neurokinin-1 receptor antagonists.  
IN Boes, Michael; Branca, Quirico; Galley, Guido; Godel, Thierry; Hoffmann, Torsten; Hunkeler, Walter; Schnider, Patrick; Stadler, Heinz  
PA F. Hoffmann-La Roche Ag, Switz.  
SO Ger. Offen., 38 pp.  
CODEN: GWXXBX  
DT Patent  
LA German  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10008042	A1	20000831	DE 2000-10008042	20000222
	EP 1035115	A1	20000913	EP 2000-102260	20000215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	GB 2347422	A1	20000906	GB 2000-3908	20000218
	FR 2790473	A1	20000908	FR 2000-2170	20000222
	US 6297375	B1	20011002	US 2000-507456	20000222
	ZA 2000000894	A	20000824	ZA 2000-894	20000223
	NO 2000000885	A	20000825	NO 2000-885	20000223
	BR 2000000908	A	20000912	BR 2000-908	20000223
	CN 1270959	A	20001025	CN 2000-102401	20000223
	ES 2171109	A1	20020816	ES 2000-418	20000223
	JP 2000247957	A2	20000912	JP 2000-47003	20000224
	US 2002091265	A1	20020711	US 2001-901982	20010710
	US 6479483	B2	20021112		
PRAI	EP 1999-103504	A	19990224		
	EP 1999-123689	A	19991129		
	US 2000-507456	A3	20000222		
OS	MARPAT 133:207811				
GI					



I

AB Title compds. [I; R = H, alkyl, alkoxy, halo, CF<sub>3</sub>; R<sub>1</sub> = H, halo; R<sub>1</sub>R<sub>1</sub> = CH:CHCH:CH; R<sub>2</sub>, R<sub>21</sub> = H, halo, CF<sub>3</sub>, alkoxy, cyano; R<sub>2</sub>R<sub>21</sub> = (substituted) CH:CHCH:CH; R<sub>3</sub> = H, alkyl, cycloalkyl; R<sub>4</sub> = H, N(R<sub>5</sub>)<sub>2</sub>, N(R<sub>5</sub>)(CH<sub>2</sub>)nOH, N(R<sub>5</sub>)S(O)<sub>2</sub>A, N(R<sub>5</sub>)S(O)<sub>2</sub>Ph, N:CHN(R<sub>5</sub>)<sub>2</sub>, N(R<sub>5</sub>)C(O)R<sub>5</sub>, specified cyclic tertiary amine; R<sub>5</sub> = H, cycloalkyl, benzyl, alkyl; X = C(O)N(R<sub>5</sub>), (CH<sub>2</sub>)mO, (CH<sub>2</sub>)mN(R<sub>5</sub>), N(R<sub>5</sub>)C(O), N(R<sub>5</sub>)(CH<sub>2</sub>)m; n = 0-4; m = 1, 2], were prepd. Thus, 4-o-tolylnicotinic acid (prepn. given) was stirred with SOCl<sub>2</sub> and cat. DMF in CH<sub>2</sub>Cl<sub>2</sub> to give a residue which was refluxed with

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N-[3,5-bis(trifluoromethyl)benzyl]-N-methylamine and Et<sub>3</sub>N in PhMe to give 67% N-(3,5-bis(trifluoromethyl)benzyl)-N-methyl-4-o-tolylnicotinamide. Tested I antagonized NK-1 receptors with pK<sub>i</sub> = 8.20-9.54.

IT **290297-14-2P 290297-18-6P 290297-26-6P**

**290297-30-2P**

RL: BAC (Biological activity or effector, except adverse); BSU

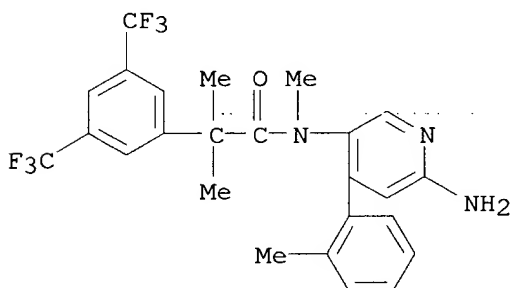
(Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of N-benzyl-4-tolylnicotinamides and related compds. as neurokinin-1 receptor antagonists)

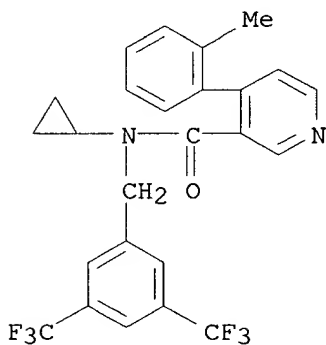
RN 290297-14-2 CAPLUS

CN Benzeneacetamide, N-[6-amino-4-(2-methylphenyl)-3-pyridinyl]-N, .alpha., .alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-18-6 CAPLUS

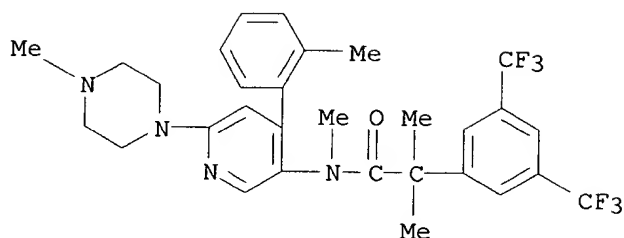
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-cyclopropyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290297-26-6 CAPLUS

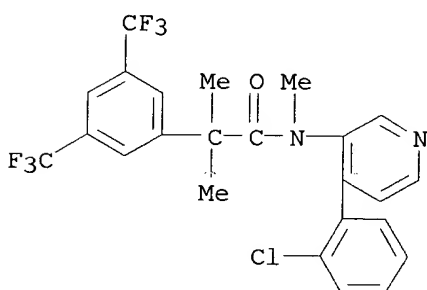
CN Benzeneacetamide, N, .alpha., .alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



RN 290297-30-2 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 290296-41-2P 290296-42-3P 290296-43-4P  
290296-44-5P 290296-45-6P 290296-46-7P  
290296-47-8P 290296-48-9P 290296-49-0P  
290296-50-3P 290296-51-4P 290296-52-5P  
290296-53-6P 290296-54-7P 290296-55-8P  
290296-56-9P 290296-57-0P 290296-58-1P  
290296-59-2P 290296-60-5P 290296-61-6P  
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290296-66-1P 290296-67-2P 290296-68-3P  
290296-69-4P 290296-70-7P 290296-71-8P  
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290296-75-2P 290296-76-3P 290296-77-4P  
290296-78-5P 290296-79-6P 290296-81-0P  
290296-82-1P 290296-83-2P 290296-84-3P  
290296-85-4P 290296-86-5P 290296-87-6P  
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290297-60-8P 290297-61-9P 290297-62-0P  
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290297-66-4P 290298-21-4P

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological



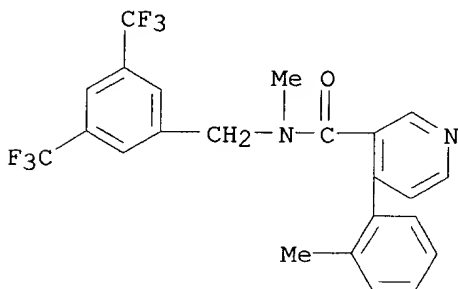
09/922,066

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-benzyl-4-tolylnicotinamides and related compds. as  
neurokinin-1 receptor antagonists)

RN 290296-41-2 CAPLUS

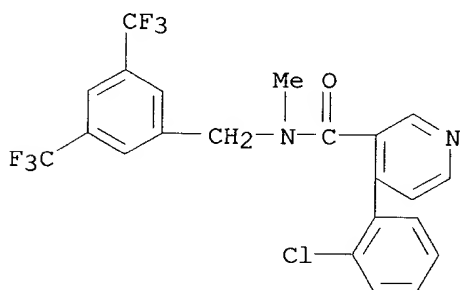
CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290296-42-3 CAPLUS

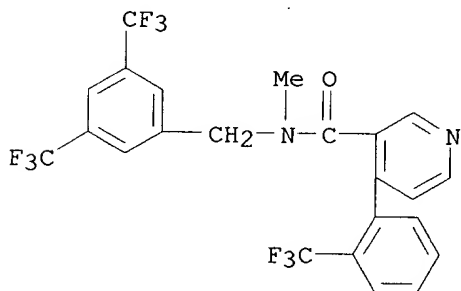
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 290296-43-4 CAPLUS

CN 3-Pyridinecarboxamide,

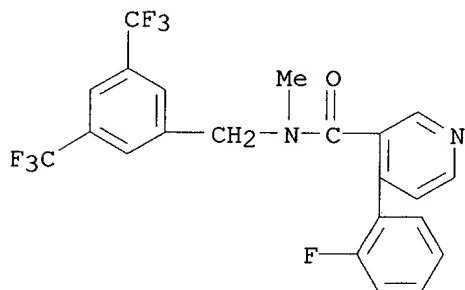
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



09/922,066

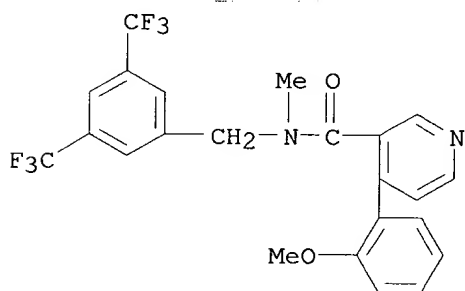
RN 290296-44-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)



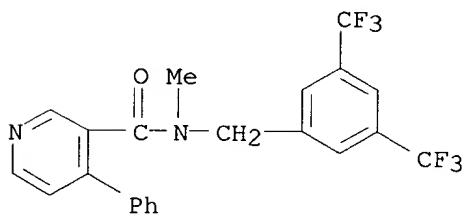
RN 290296-45-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 290296-46-7 CAPLUS

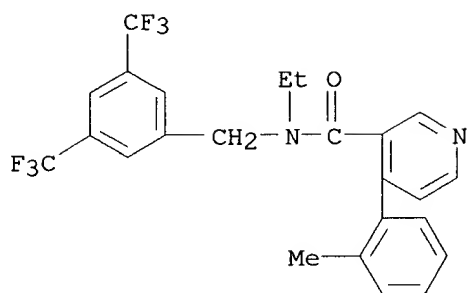
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-phenyl- (9CI) (CA INDEX NAME)



RN 290296-47-8 CAPLUS

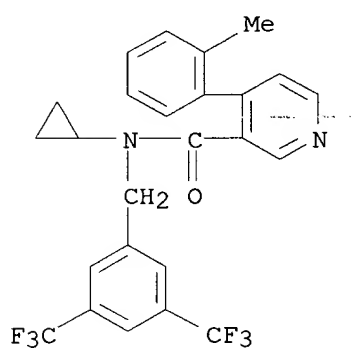
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-ethyl-  
4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



RN 290296-48-9 CAPLUS

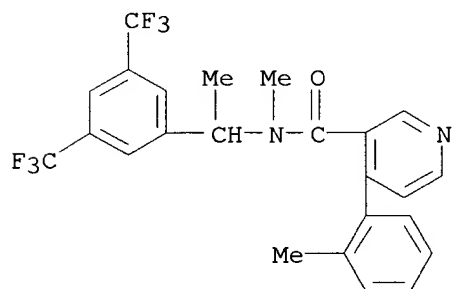
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-cyclopropyl-4-(2-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 290296-49-0 CAPLUS

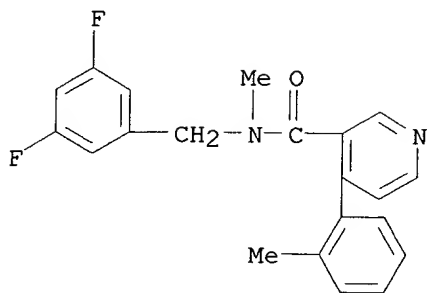
CN 3-Pyridinecarboxamide, N-[1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



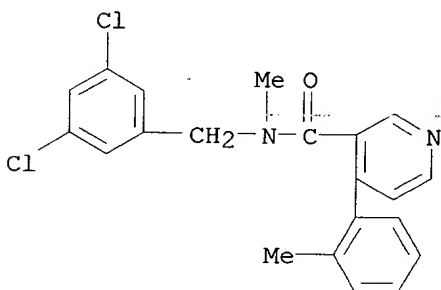
RN 290296-50-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[(3,5-difluorophenyl)methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

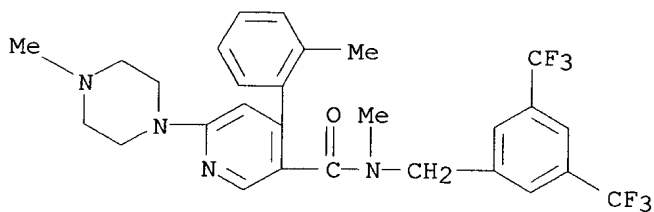
09/922,066



RN 290296-51-4 CAPLUS  
CN 3-Pyridinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



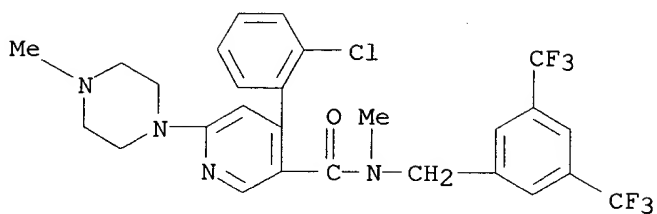
RN 290296-52-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)-, dihydrochloride (9CI)  
(CA INDEX NAME)



● 2 HCl

RN 290296-53-6 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-6-(4-methyl-1-piperazinyl)-, dihydrochloride (9CI)  
(CA INDEX NAME)

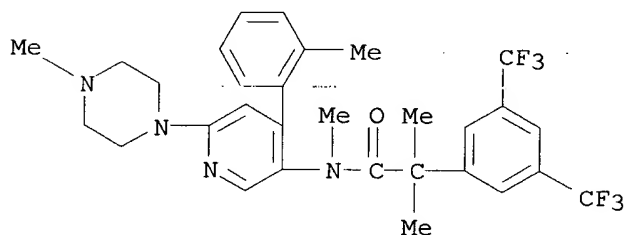
09/922,066



● 2 HCl

RN 290296-54-7 CAPLUS

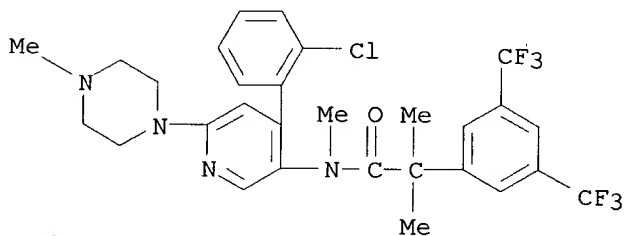
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 290296-55-8 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

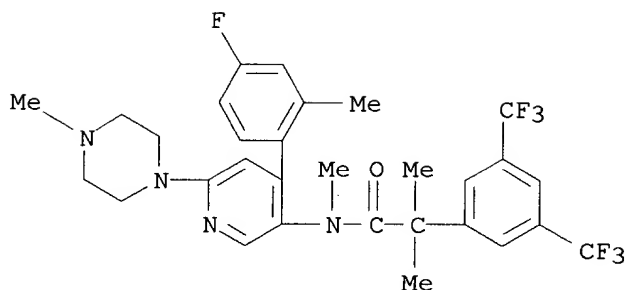


● 2 HCl

RN 290296-56-9 CAPLUS

CN Benzeneacetamide, N-[4-(4-fluoro-2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

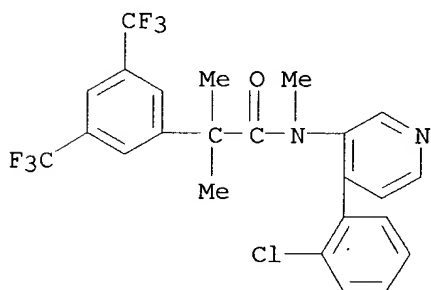
09/922,066



● 2 HCl

RN 290296-57-0 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

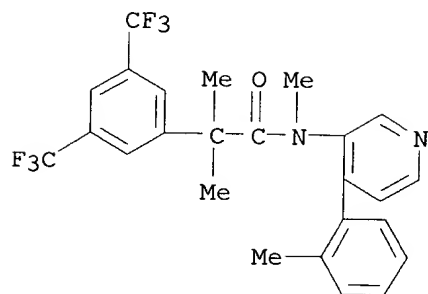


● HCl

RN 290296-58-1 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

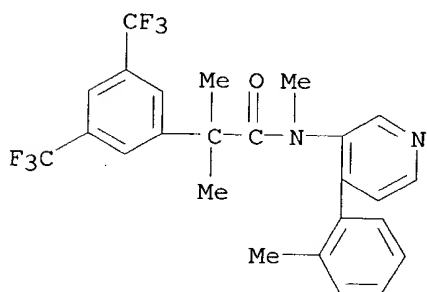
09/922,066



● HCl

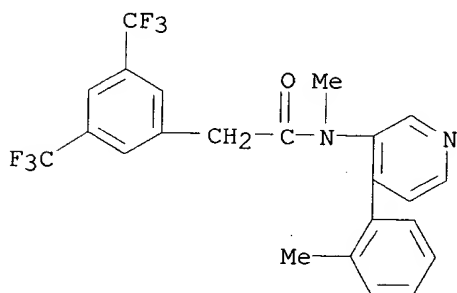
RN 290296-59-2 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-60-5 CAPLUS

CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

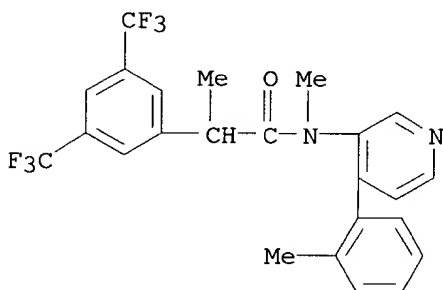


HCl

09/922,066

RN 290296-61-6 CAPLUS

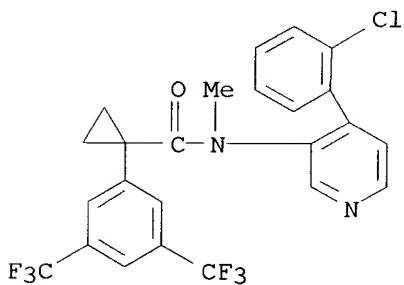
CN Benzeneacetamide, N,.alpha.-dimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 290296-62-7 CAPLUS

CN Cyclopropanecarboxamide, 1-[3,5-bis(trifluoromethyl)phenyl]-N-[4-(2-chlorophenyl)-3-pyridinyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



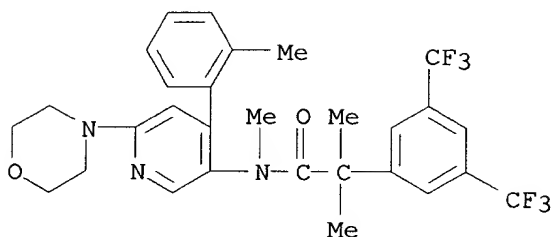
● HCl

RN 290296-63-8 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)-, hydrochloride (2:3) (9CI) (CA INDEX NAME)



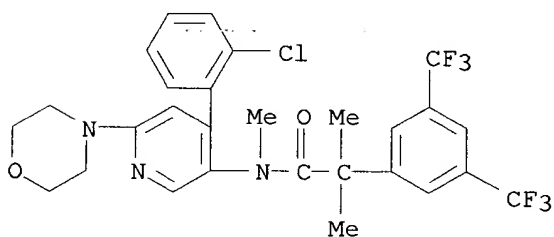
09/922,066



● 3/2 HCl

RN 290296-65-0 CAPLUS

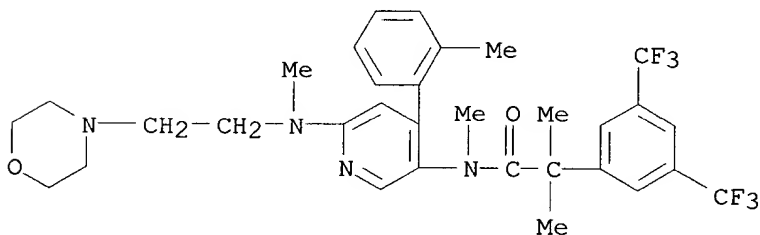
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 290296-66-1 CAPLUS

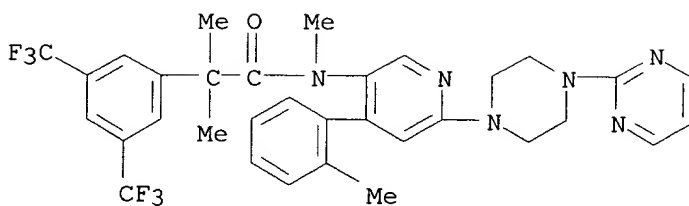
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[6-[methyl[2-(4-morpholinyl)ethyl]amino]-4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-67-2 CAPLUS

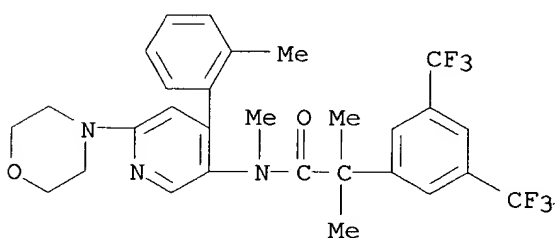
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[4-(2-pyrimidinyl)-1-piperazinyl]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



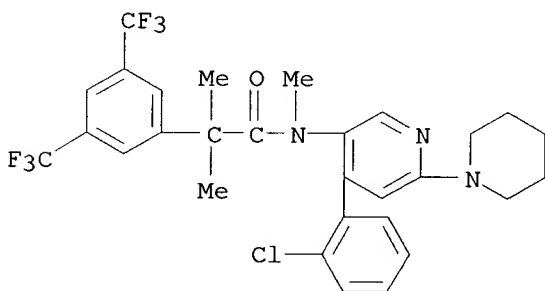
RN 290296-68-3 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-69-4 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(1-piperidinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

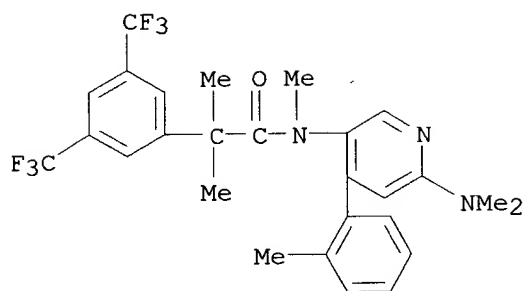


● HCl

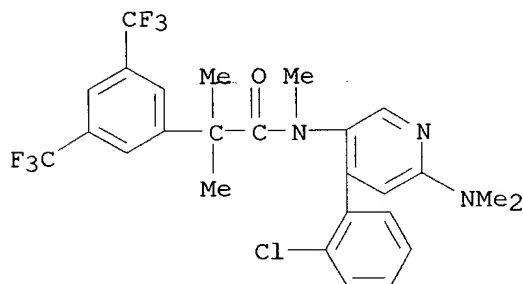
RN 290296-70-7 CAPLUS

CN Benzeneacetamide, N-[6-(dimethylamino)-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

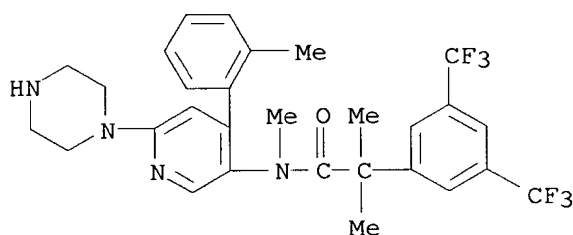
09/922,066



RN 290296-71-8 CAPLUS  
CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(dimethylamino)-3-pyridinyl]-  
N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)

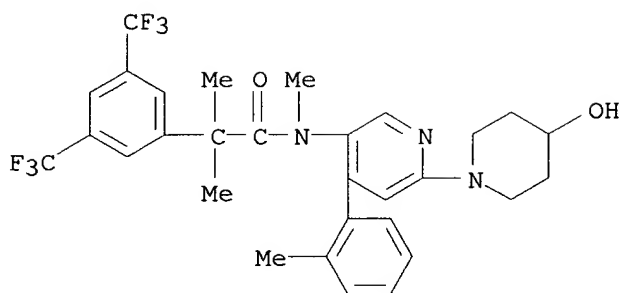


RN 290296-72-9 CAPLUS  
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-(1-  
piperazinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX  
NAME)



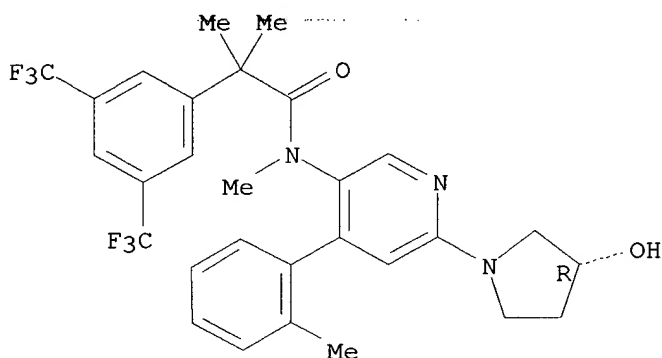
RN 290296-73-0 CAPLUS  
CN Benzeneacetamide, N-[6-(4-hydroxy-1-piperidiny)-4-(2-methylphenyl)-3-  
pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

09/922,066

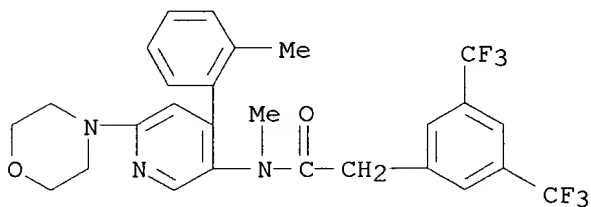


RN 290296-74-1 CAPLUS  
CN Benzeneacetamide,  
N-[6-[(3R)-3-hydroxy-1-pyrrolidinyl]-4-(2-methylphenyl)-  
3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

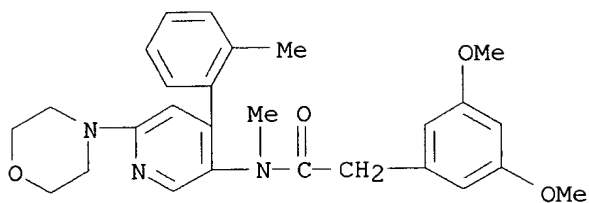


RN 290296-75-2 CAPLUS  
CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-  
pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



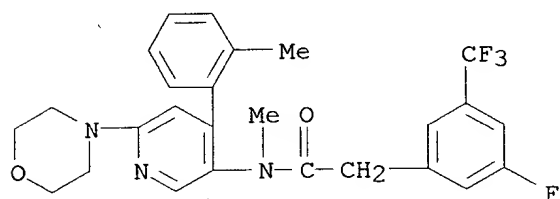
RN 290296-76-3 CAPLUS  
CN Benzeneacetamide, 3,5-dimethoxy-N-methyl-N-[4-(2-methylphenyl)-6-(4-  
morpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

09/922,066



RN 290296-77-4 CAPLUS

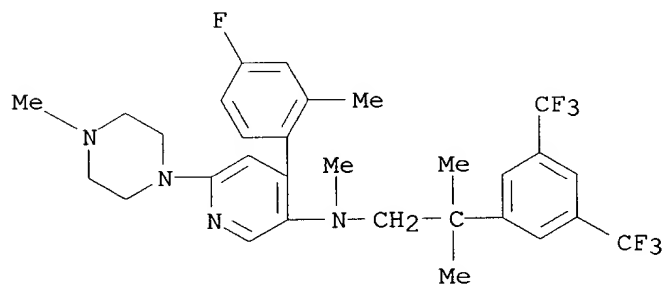
CN Benzeneacetamide, 3-fluoro-N-methyl-N-[4-(2-methylphenyl)-6-(4-morpholinyl)-3-pyridinyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290296-78-5 CAPLUS

CN 3-Pyridinamine,

N-[2-[3,5-bis(trifluoromethyl)phenyl]-2-methylpropyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-6-(4-methyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

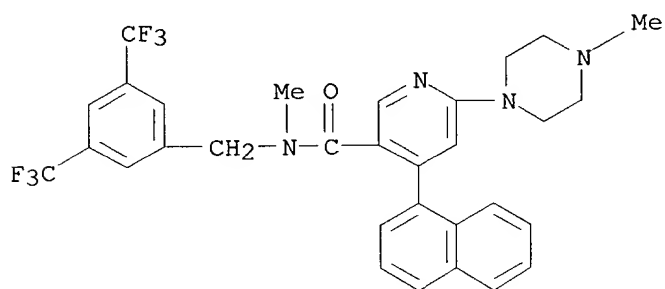
RN 290296-79-6 CAPLUS

CN 3-Pyridinemethanamine,

N-[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



● 2 HCl

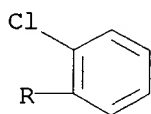
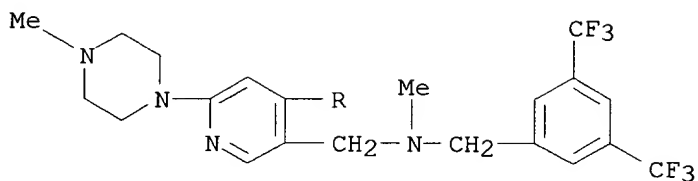


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RN      290296-82-1  CAPLUS
CN      3-Pyridinemethanamine, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-
        chlorophenyl)-N-methyl-6-(4-methyl-1-piperazinyl)-, trihydrochloride
(9CI)
        (CA INDEX NAME)

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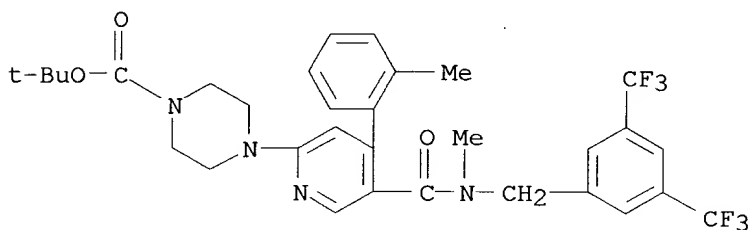
09/922,066



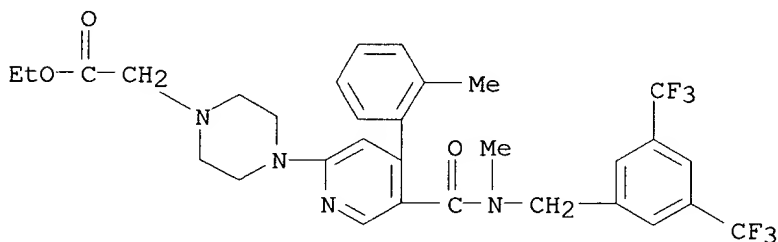
● 3 HCl

✓

RN 290296-83-2 CAPLUS  
CN 1-Piperazinecarboxylic acid,  
4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]  
1)methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 290296-84-3 CAPLUS  
CN 1-Piperazineacetic acid,  
4-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]me  
thylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, ethyl ester (9CI)  
(CA INDEX NAME)

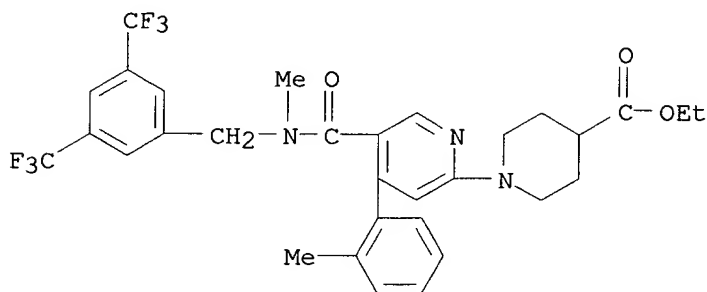


✓

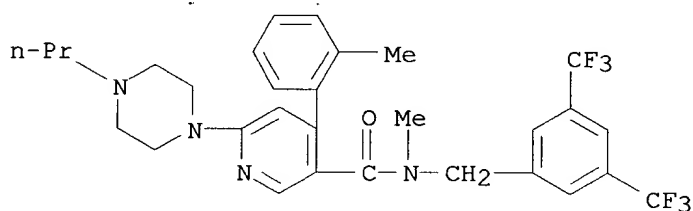
RN 290296-85-4 CAPLUS  
CN 4-Piperidinecarboxylic acid,  
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]

09/922,066

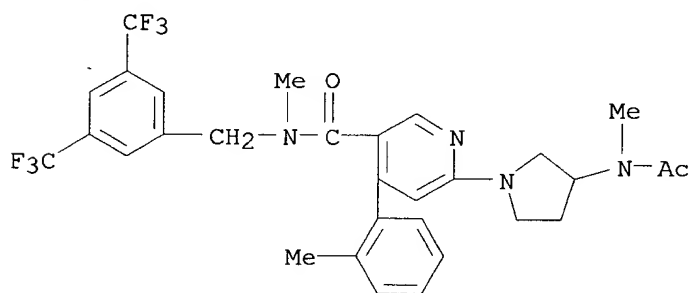
1[methylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, ethyl ester  
(9CI) (CA INDEX NAME)



✓✓ RN 290296-86-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-propyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



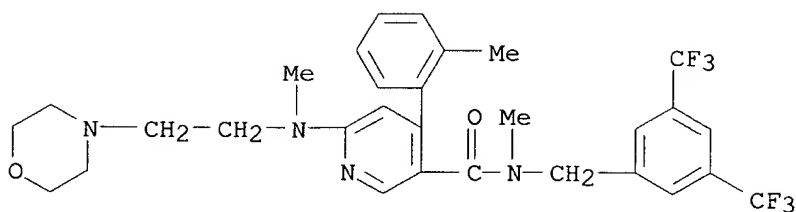
✓✓ RN 290296-87-6 CAPLUS  
CN 3-Pyridinecarboxamide, 6-[3-(acetylmethylamino)-1-pyrrolidinyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-4-(2-methylphenyl)- (9CI)  
(CA INDEX NAME)



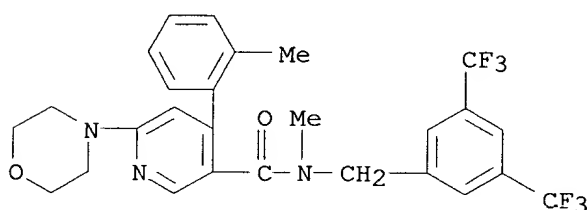
RN 290296-88-7 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-[methyl[2-(4-morpholinyl)ethyl]amino]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



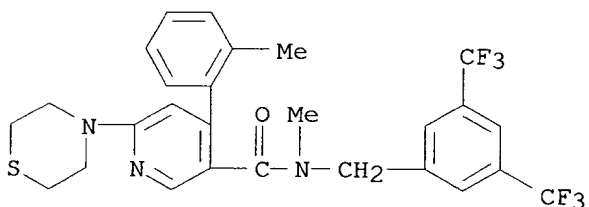
09/922,066



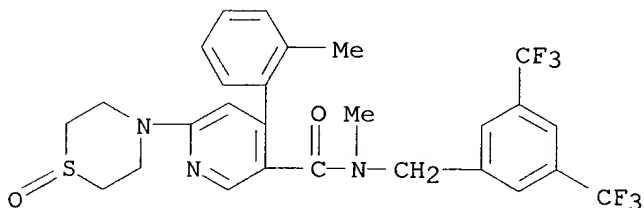
RN 290296-89-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 290296-90-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



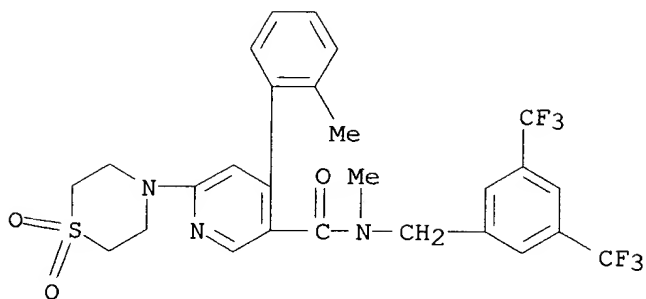
RN 290296-91-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(1-oxido-4-thiomorpholinyl)- (9CI) (CA INDEX NAME)



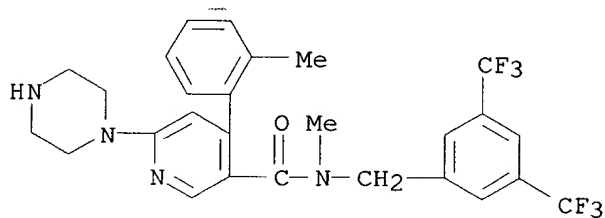
RN 290296-92-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(1,1-  
dioxido-4-thiomorpholinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX

09/922,066

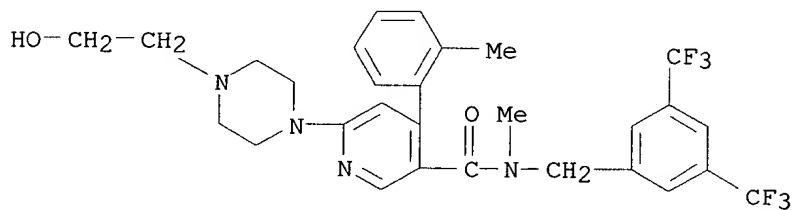
NAME)



RN 290296-93-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 290296-94-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(2-  
hydroxyethyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA  
INDEX  
NAME)

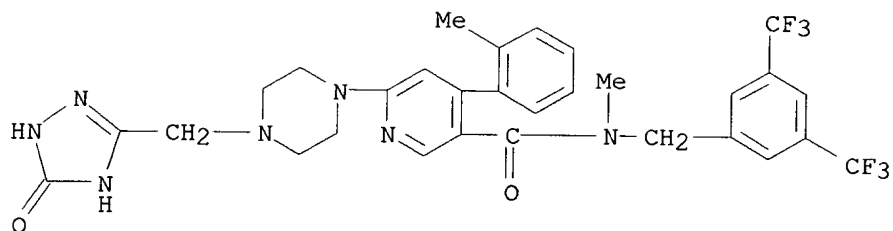


RN 290296-95-6 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(  
cyanomethyl)-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA  
INDEX  
NAME)

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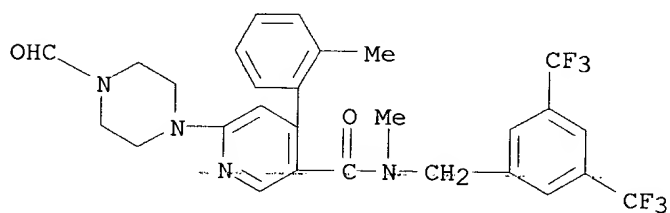
RN 290296-99-0 CAPLUS  
CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-[4-(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]-1-piperazinyl]-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

09/922,066



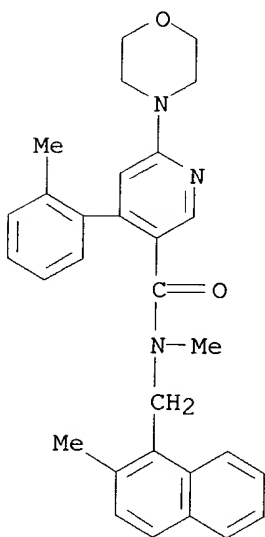
RN 290297-00-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-6-(4-formyl-1-piperazinyl)-N-methyl-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 290297-01-7 CAPLUS

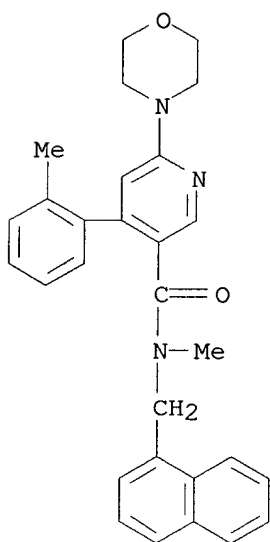
CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-methylphenyl)-6-(4-morpholinyl)-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



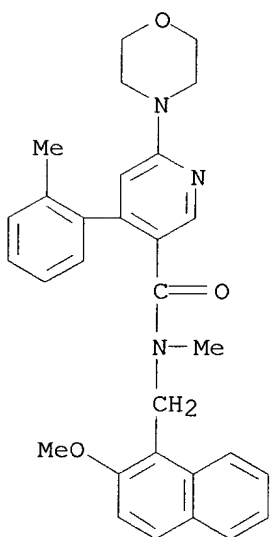
RN 290297-02-8 CAPLUS

CN 3-Pyridinecarboxamide, N-methyl-N-[(2-methyl-1-naphthalenyl)methyl]-4-(2-methylphenyl)-6-(4-morpholinyl)-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

09/922,066

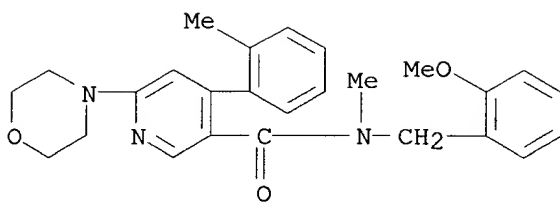


RN 290297-03-9 CAPLUS  
CN 3-Pyridinecarboxamide, N-[(2-methoxy-1-naphthalenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

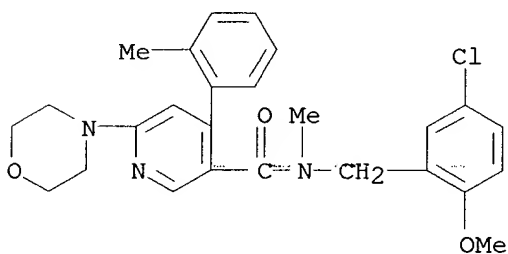


RN 290297-04-0 CAPLUS  
CN 3-Pyridinecarboxamide, N-[(2-methoxyphenyl)methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

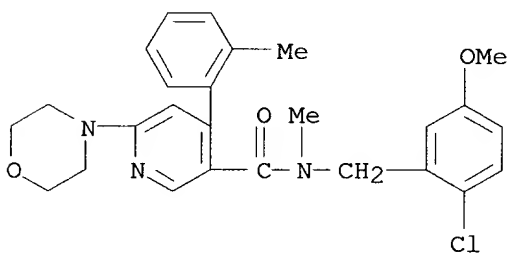
09/922,066



RN 290297-05-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(5-chloro-2-methoxyphenyl)methyl]-N-methyl-4-(2-  
methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

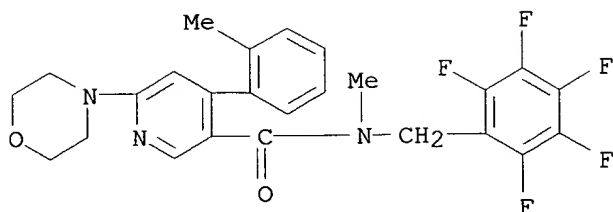


RN 290297-06-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(2-chloro-5-methoxyphenyl)methyl]-N-methyl-4-(2-  
methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

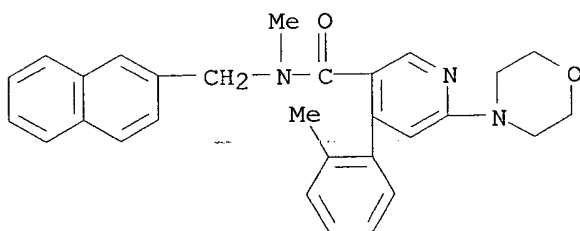


RN 290297-07-3 CAPLUS  
CN 3-Pyridinecarboxamide, N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)-N-  
[(pentafluorophenyl)methyl]- (9CI) (CA INDEX NAME)

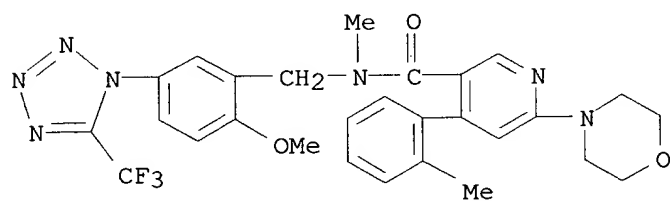
09/922,066



RN 290297-08-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)-N-(2-  
naphthalenylmethyl)- (9CI) (CA INDEX NAME)



RN 290297-09-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-  
yl]phenyl]methyl]-N-methyl-4-(2-methylphenyl)-6-(4-morpholinyl)- (9CI)  
(CA INDEX NAME)



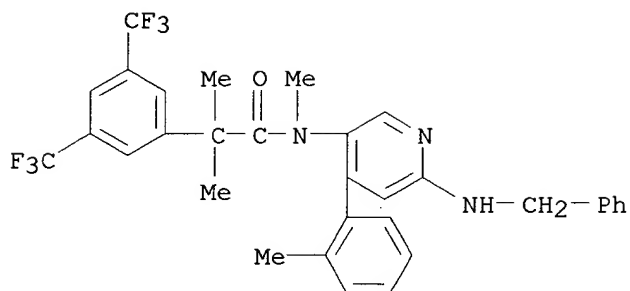
RN 290297-10-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[(1,4-dimethoxy-2-naphthalenyl)methyl]-N-methyl-4-  
(2-methylphenyl)-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

COc1cc2ccccc2cc(OC)c1CCN(C)C(=O)c3ccc(N4CCOCC4)c(c3)c5ccccc5COc1ccccc1C2=CC=CC(=C2)C(=O)N(Cc3cc(C(F)(F)F)cc(C(F)(F)F)c3)C(=O)N4CCCCC4C(=O)OCc1ccc2c(c1)c(cnc2C(=O)N(C)CCc3cc(C(F)(F)F)cc(C(F)(F)F)c3)CN3CCN(CC3)CC4=NC=NC=N4

RN	290297-13-1	CAPLUS
CN	Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6- [(phenylmethyl)amino]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)	

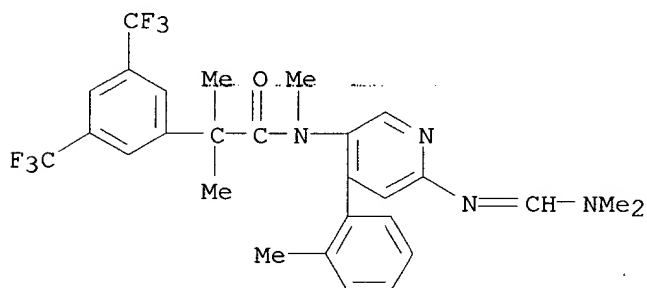


09/922,066



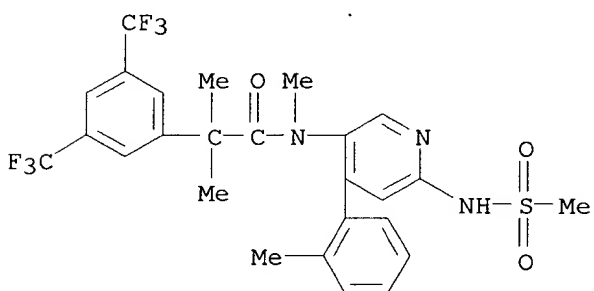
RN 290297-15-3 CAPLUS

CN Benzeneacetamide, N-[6-[[[(dimethylamino)methylene]amino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-16-4 CAPLUS

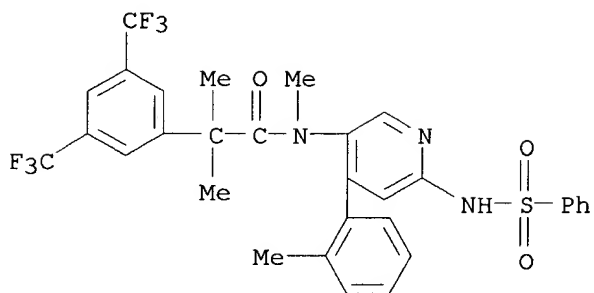
CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[(methylsulfonyl)amino]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



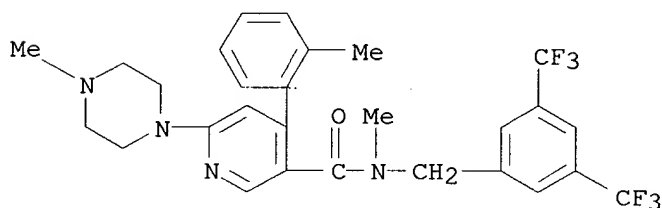
RN 290297-17-5 CAPLUS

CN Benzeneacetamide, N,.alpha.,.alpha.-trimethyl-N-[4-(2-methylphenyl)-6-[(phenylsulfonyl)amino]-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

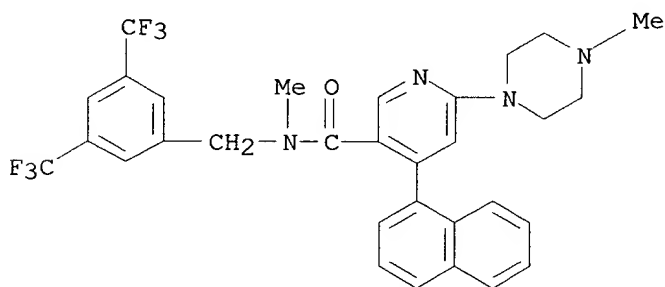
09/922,066



RN 290297-57-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
4-(2-methylphenyl)-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

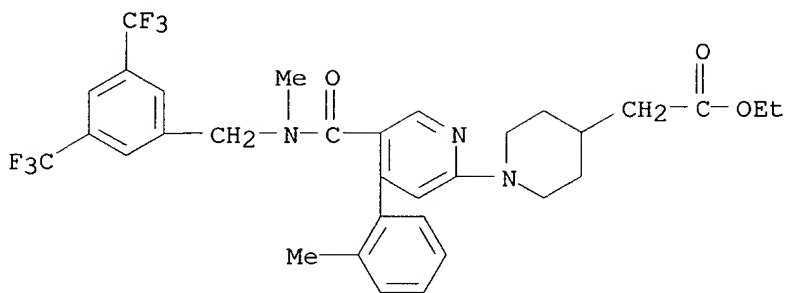


RN 290297-59-5 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-  
6-(4-methyl-1-piperazinyl)-4-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



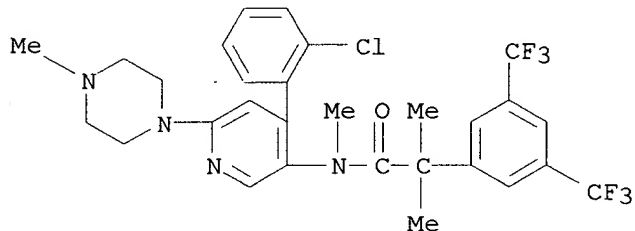
RN 290297-60-8 CAPLUS  
CN 4-Piperidineacetic acid,  
1-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]me  
thylamino]carbonyl]-4-(2-methylphenyl)-2-pyridinyl]-, ethyl ester (9CI)  
(CA INDEX NAME)

09/922,066



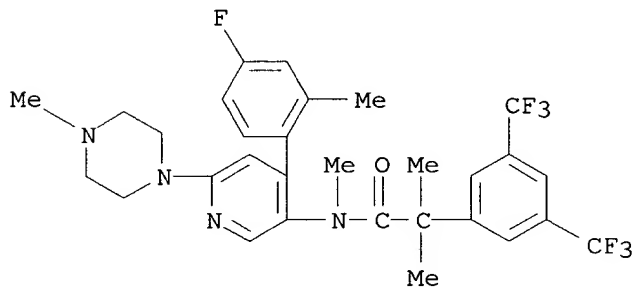
RN 290297-61-9 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 290297-62-0 CAPLUS

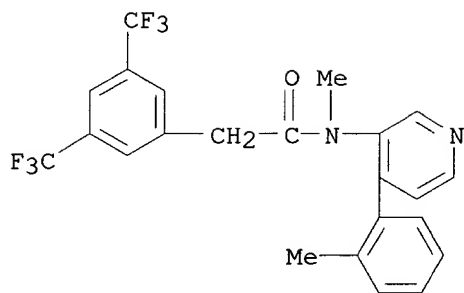
CN Benzeneacetamide, N-[4-(4-fluoro-2-methylphenyl)-6-(4-methyl-1-piperazinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-63-1 CAPLUS

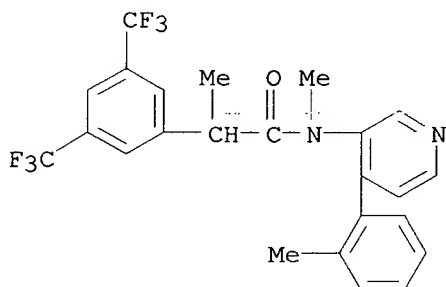
CN Benzeneacetamide, N-methyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

09/922,066



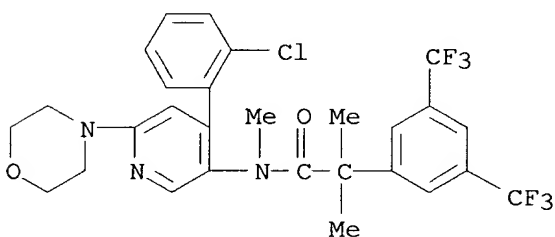
RN 290297-64-2 CAPLUS

CN Benzeneacetamide, N,.alpha.-dimethyl-N-[4-(2-methylphenyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 290297-65-3 CAPLUS

CN Benzeneacetamide, N-[4-(2-chlorophenyl)-6-(4-morpholinyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

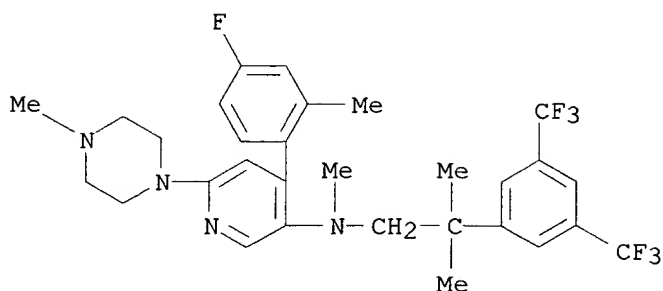


RN 290297-66-4 CAPLUS

CN 3-Pyridinamine,

N-[2-[3,5-bis(trifluoromethyl)phenyl]-2-methylpropyl]-4-(4-fluoro-2-methylphenyl)-N-methyl-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

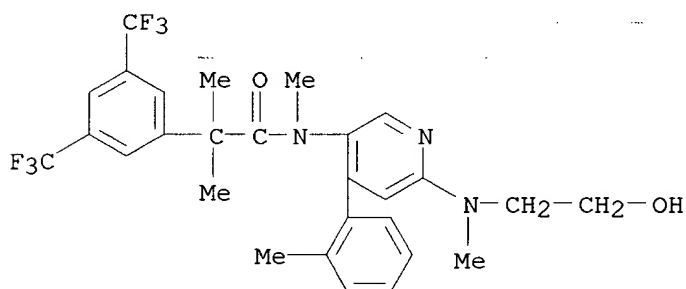
09/922,066



RN 290298-21-4 CAPLUS

CN Benzeneacetamide,

N-[6-[(2-hydroxyethyl)methylamino]-4-(2-methylphenyl)-3-pyridinyl]-N,.alpha.,.alpha.-trimethyl-3,5-bis(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



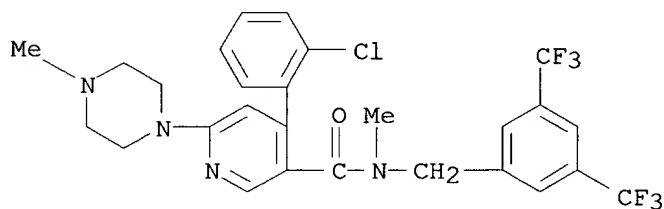
IT 290297-47-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of N-benzyl-4-tolylnicotinamides and related compds. as neurokinin-1 receptor antagonists)

RN 290297-47-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-4-(2-chlorophenyl)-N-methyl-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



IT 290297-31-3P 290297-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

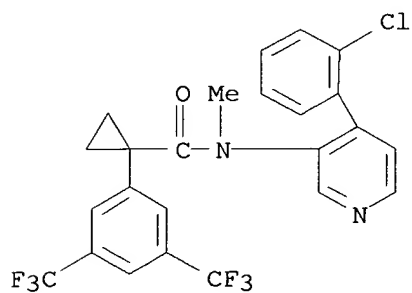
(prepn. of N-benzyl-4-tolylnicotinamides and related compds. as neurokinin-1 receptor antagonists)

RN 290297-31-3 CAPLUS

CN Cyclopropanecarboxamide, 1-[3,5-bis(trifluoromethyl)phenyl]-N-[4-(2-

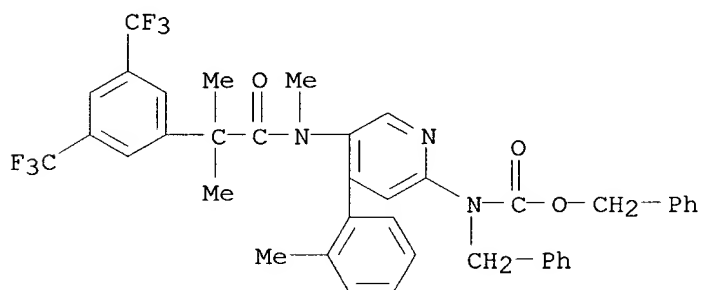
09/922,066

chlorophenyl)-3-pyridinyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 290297-41-5 CAPLUS

CN Carbamic acid, [5-[[2-[3,5-bis(trifluoromethyl)phenyl]-2-methyl-1-oxopropyl]methylamino]-4-(2-methylphenyl)-2-pyridinyl] (phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



09/922,066

L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2002 ACS

AN 2000:383926 CAPLUS

DN 133:17490

TI Preparation of [1,4]diazepino[2,1-g][1,7]naphthyridine, [1,4]diazonino[2,1-g][1,7]naphthyridine, 13H-[1,4]diazocino[2,1-g][1,7]naphthyridine, and pyrido[3,2-f][1,4]oxazepine derivatives and related compounds as antiemetics

IN Doi, Takayuki; Yamamoto, Masaki; Fukui, Hideo

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 284 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032192	A1	20000608	WO 1999-JP6569	19991125
	W:	AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1145714	A1	20011017	EP 1999-972920	19991125
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2000273042	A2	20001003	JP 1999-336187	19991126
PRAI	JP 1998-337438	A	19981127		
	JP 1999-10907	A	19990119		
	WO 1999-JP6569	W	19991125		

OS MARPAT 133:17490

GI For diagram(s), see printed CA Issue.

AB Drugs comprising compds. represented by general formula (I) (wherein the ring M is a heterocycle having, as the partial structure X:Y, N:C, CO-N

or

CS-N; Ra and Rb are bonded to each other to form the ring A, or Ra and Rb are the same or different and each represents hydrogen or a substituent

of

the ring M; the rings A and B are each an optionally substituted homocyclic or heterocycle and at least one of them is an optionally substituted heterocycle; the ring C is an optionally substituted homocyclic or heterocycle; the ring Z is an optionally substituted nitrogen-contg. heterocycle; and n is an integer of 1 to 6) or salts thereof combined with emetic drugs are claimed. The compds. I or salts thereof are useful as antiemetic agents which, in particular, can rapidly and safely inhibit even at a small dose emesis induced by emetic drugs such as anticancer agents, morphine, and apomorphine. Thus, a mixt. of (R)-N-[3,5-bis(trifluoromethyl)benzyl]-7,8-dihydro-7-(4-hydroxy-3-methylbutyl)-5-(4-methylphenyl)-8-oxo-6-pyrido[3,4-b]pyridinecarboxamide (prepn. given), Et<sub>3</sub>N, and MeSO<sub>2</sub>Cl in THF was stirred at room temp. for 30 min, followed by treatment of the product with NaH in THF at room temp. for 1.5 h to give (9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]diazocino[2,1-g][1,7]naphthyridine (II). II at 1-10 mg/kg p.o. in vivo inhibited cisplatin-induced emesis in male ferret. Pharmaceutical formulations

09/922,066

contg. I were prepd.

IT **183551-50-0P**

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 13H-[1,4]diazocino[g][1,7]naphthyridine derivs. and related  
comps. as antiemetics)

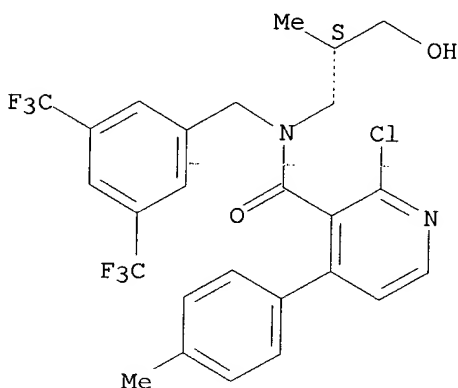
RN 183551-50-0 CAPLUS

CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl)methyl]-2-chloro-

N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



IT **183550-95-0P 183551-05-5P 183551-09-9P**

**183551-11-3P 183551-12-4P 183551-16-8P**

**183551-20-4P 183551-21-5P 183551-25-9P**

**183551-26-0P 183551-27-1P 183551-28-2P**

**183551-29-3P 183551-30-6P 183551-31-7P**

**183551-32-8P 183551-34-0P 183551-35-1P**

**183551-36-2P 183551-37-3P 183551-47-5P**

**183551-48-6P 183551-49-7P 183551-56-6P**

**183551-58-8P 183551-59-9P 183551-61-3P**

**183551-67-9P 183551-68-0P 272436-92-7P**

**272436-94-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn. of 13H-[1,4]diazocino[g][1,7]naphthyridine derivs. and related  
comps. as antiemetics)

RN 183550-95-0 CAPLUS

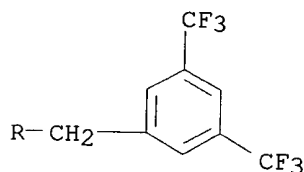
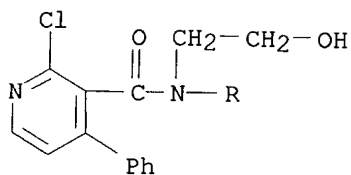
CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl)methyl]-2-chloro-

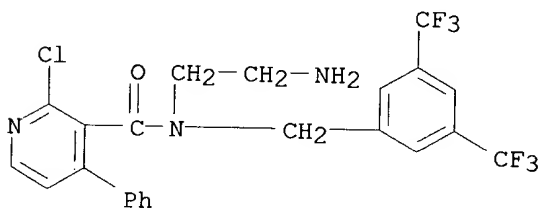
N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



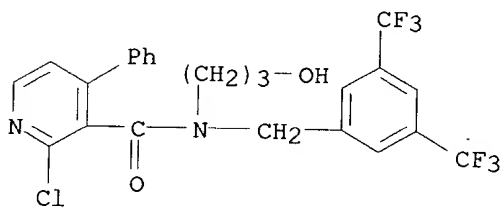
09/922,066



RN 183551-05-5 CAPLUS  
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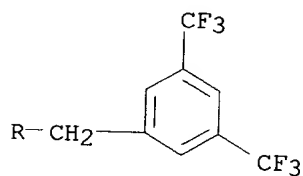
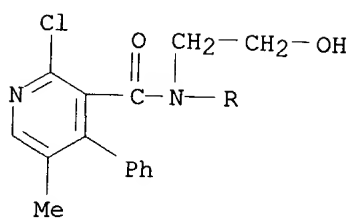


RN 183551-09-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(3-hydroxypropyl)-4-phenyl- (9CI) (CA INDEX NAME)

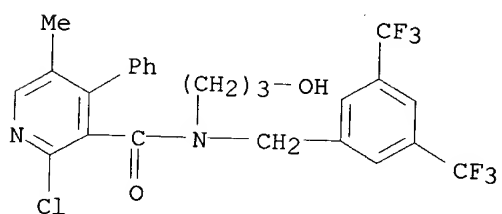


RN 183551-11-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066

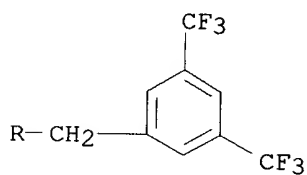
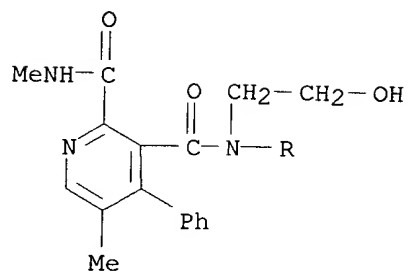


RN 183551-12-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(3-hydroxypropyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

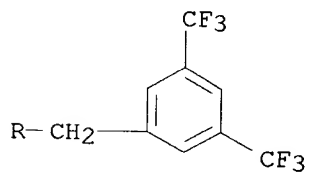
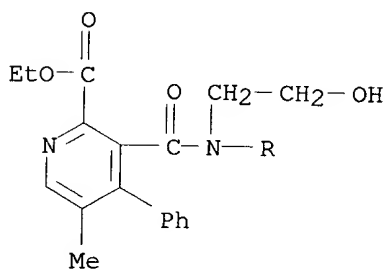


RN 183551-16-8 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-  
(2-hydroxyethyl)-N2,5-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066

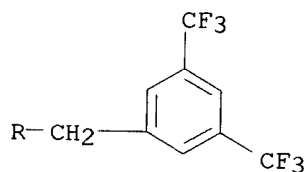
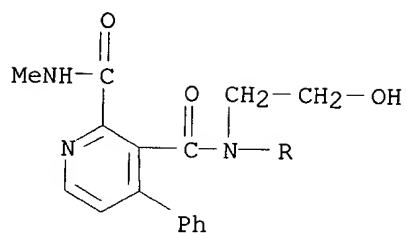


RN 183551-20-4 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl](2-  
hydroxyethyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA  
INDEX NAME)

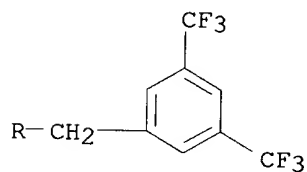
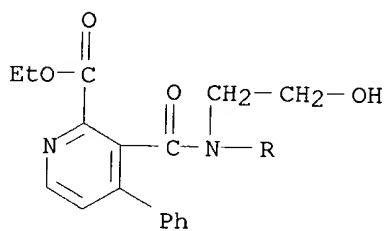


RN 183551-21-5 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-  
(2-hydroxyethyl)-N2-methyl-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066

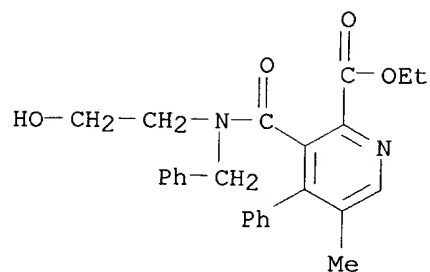


RN 183551-25-9 CAPLUS  
 CN 2-Pyridinecarboxylic acid,  
 3-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (2-  
 hydroxyethyl)amino]carbonyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX  
 NAME)

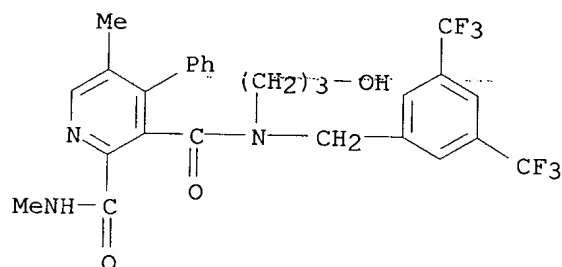


RN 183551-26-0 CAPLUS  
 CN 2-Pyridinecarboxylic acid,  
 3-[(2-hydroxyethyl) (phenylmethyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

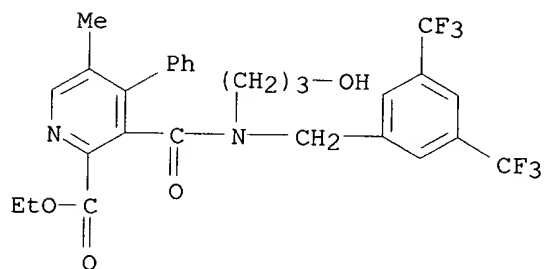
09/922,066



RN 183551-27-1 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-(3-hydroxypropyl)-N2,5-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)

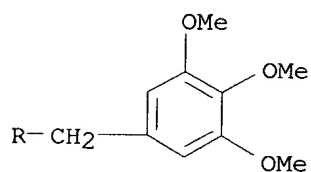
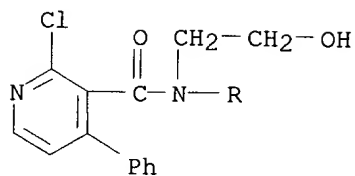


RN 183551-28-2 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (3-hydroxypropyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



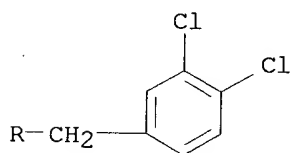
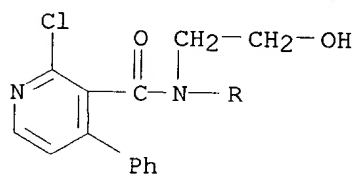
RN 183551-29-3 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

09/922,066



RN 183551-30-6 CAPLUS

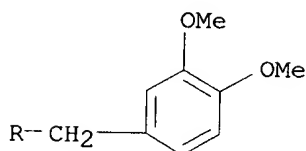
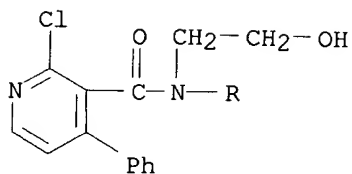
CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dichlorophenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



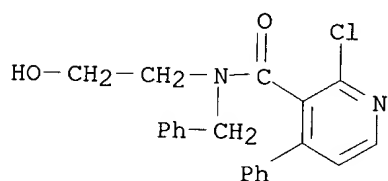
RN 183551-31-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dimethoxyphenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066

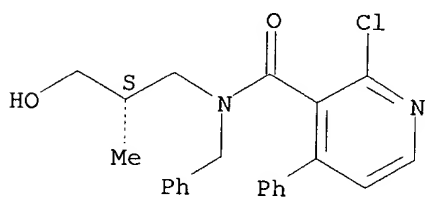


RN 183551-32-8 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-34-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl-  
N-(phenylmethyl)- (9CI) (CA INDEX NAME)

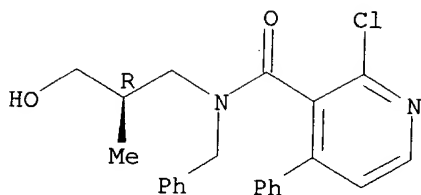
Absolute stereochemistry.



RN 183551-35-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
2-chloro-N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl-  
N-(phenylmethyl)- (9CI) (CA INDEX NAME)

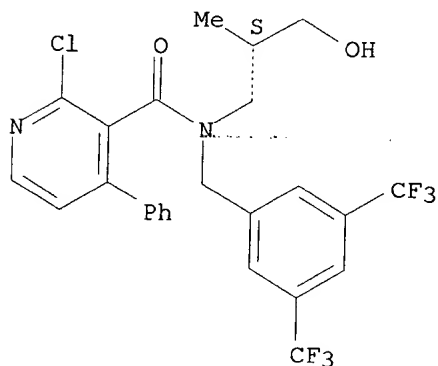
Absolute stereochemistry.

09/922,066



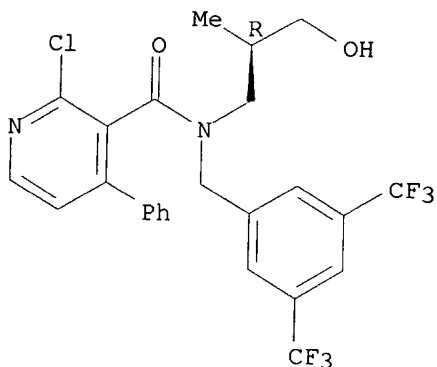
RN 183551-36-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183551-37-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

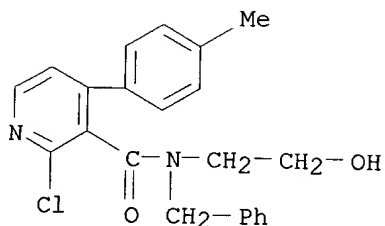
Absolute stereochemistry.



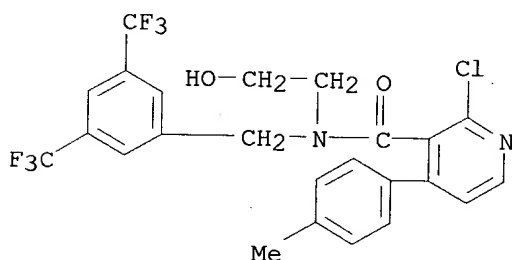
RN 183551-47-5 CAPLUS  
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09/922,066

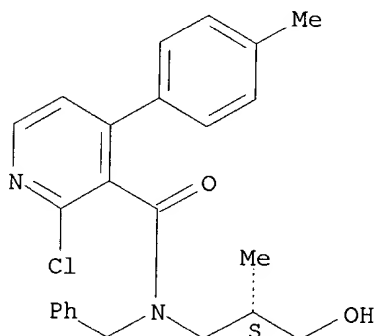


RN 183551-48-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 183551-49-7 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

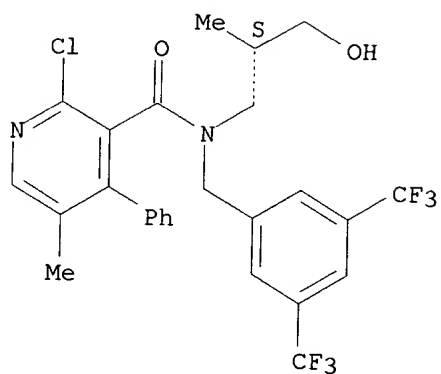
Absolute stereochemistry.



RN 183551-56-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

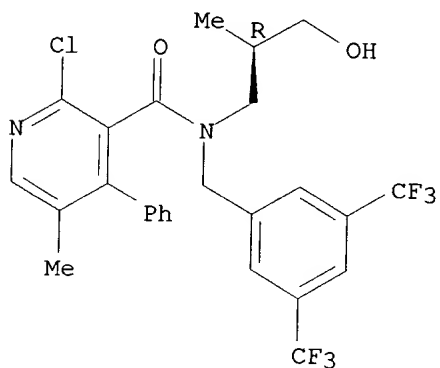
Absolute stereochemistry.

09/922,066



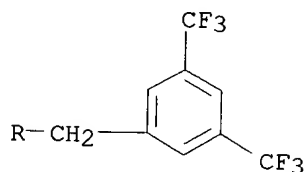
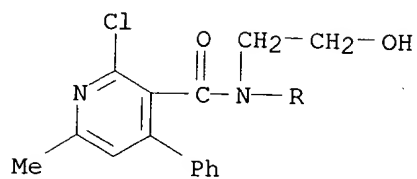
RN 183551-58-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2R)-3-hydroxy-2-methylpropyl]-5-methyl-4-phenyl- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

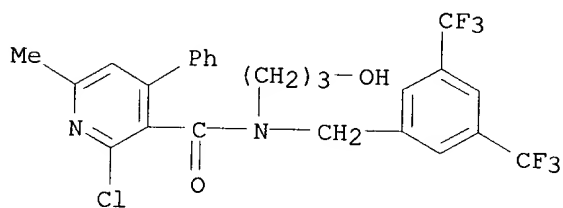


RN 183551-59-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)

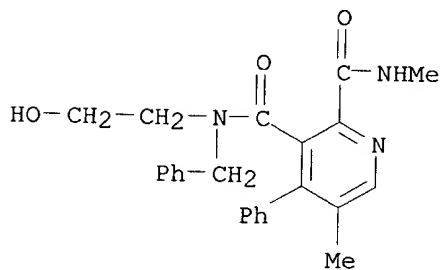
09/922,066



RN 183551-61-3 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
 N-(3-hydroxypropyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)

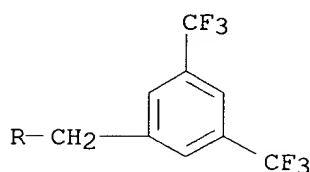
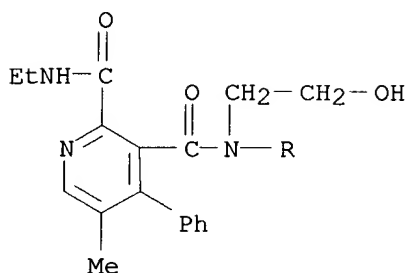


RN 183551-67-9 CAPLUS  
 CN 2,3-Pyridinedicarboxamide, N3-(2-hydroxyethyl)-N2,5-dimethyl-4-phenyl-N3-(phenylmethyl)- (9CI) (CA INDEX NAME)

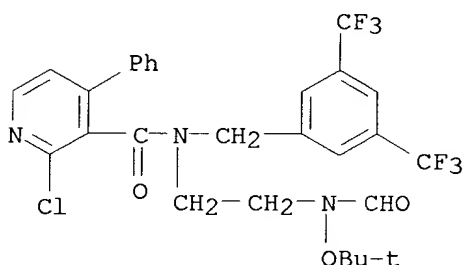


RN 183551-68-0 CAPLUS  
 CN 2,3-Pyridinedicarboxamide,  
 N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N2-  
 ethyl-N3-(2-hydroxyethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

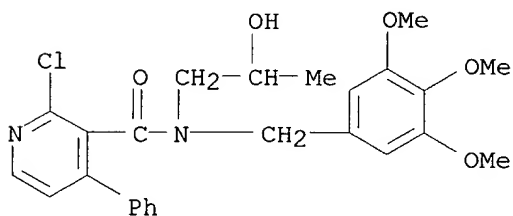
09/922,066



RN 272436-92-7 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[2-[(1,1-dimethylethoxy)formylamino]ethyl]-4-phenyl- (9CI) (CA INDEX  
NAME)



RN 272436-94-9 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxypropyl)-4-phenyl-N-(3,4,5-  
trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2002 ACS

AN 1999:613656 CAPLUS

DN 131:228734

TI Preparation of diazocinonaphthyridines, diazepinonaphthyridines, and related compounds having tachykinin receptor antagonistic activity for preventing or treating depression, anxiety, manic-depressive illness or psychopathy.

IN Natsugari, Hideaki; Doi, Takayuki; Ikeura, Yoshinori

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 207 pp.

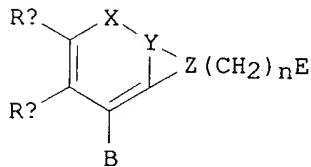
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 9947132	A2	19990923	WO 1999-JP1358	19990318
	WO 9947132	A3	19991111		
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TM		RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	CA 2321155	AA	19990923	CA 1999-2321155	19990318
	AU 9928532	A1	19991011	AU 1999-28532	19990318
	AU 751114	B2	20020808		
	JP 11322748	A2	19991124	JP 1999-72954	19990318
	BR 9908895	A	20001205	BR 1999-8895	19990318
	EP 1061926	A2	20001227	EP 1999-909233	19990318
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	EP 1184036	A2	20020306	EP 2001-127194	19990318
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	NO 200004144	A	20001010	NO 2000-4144	20000818
	US 2002132817	A1	20020919	US 2002-97791	20020313
PRAI	JP 1998-69999	A	19980319		
	EP 1999-909233	A3	19990318		
	WO 1999-JP1358	W	19990318		
	US 1999-308311	A1	19990518		
OS	MARPAT 131:228734				
GI					



AB Pharmaceutical compns. for preventing or treating depression, anxiety,

09/922,066

manic-depression, or psychopathy [I; XY = N:C, CON, CSN; Ra, Rb = H, substituent; RaRb = atoms to form a (substituted) (heterocyclic) ring; B, E = (substituted) homocyclic or heterocyclic ring, Z = (substituted) N-contg. heterocyclic ring; n = 1-6; with provisos], are claimed. Thus,

(9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]-diazocino[2,1-g][1,7]naphthyridine (II) (prepn. described) antagonized substance P with IC50 = 0.43 nM. A

II

tablet formulation is given.

IT 183550-95-0P 183551-05-5P 183551-09-9P  
183551-11-3P 183551-12-4P 183551-16-8P  
183551-21-5P 183551-50-0P 183551-56-6P  
183551-59-9P 183551-61-3P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

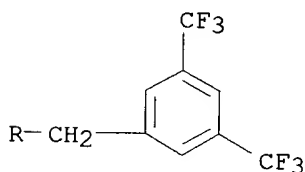
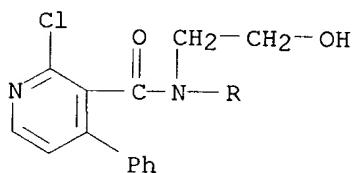
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diazocinonaphthyridines, diazepinonaphthyridines, and related compds. having tachykinin receptor antagonistic activity)

RN 183550-95-0 CAPLUS

CN 3-Pyridinecarboxamide,

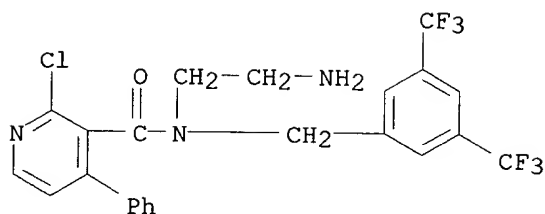
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



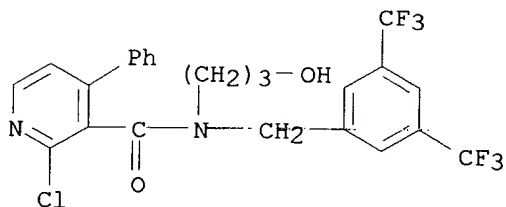
RN 183551-05-5 CAPLUS

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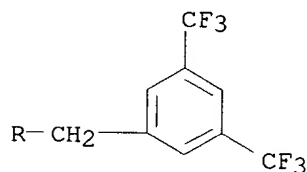
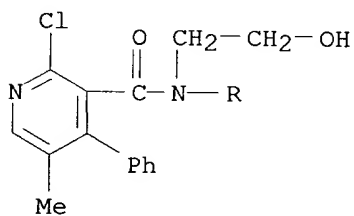
09/922,066



RN 183551-09-9 CAPLUS  
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N-(3-hydroxypropyl)-4-phenyl- (9CI) (CA INDEX NAME)

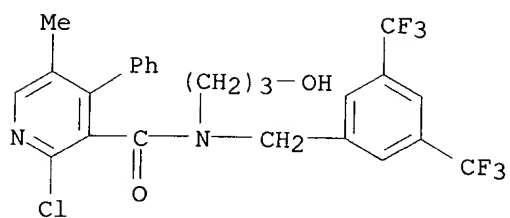


RN 183551-11-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
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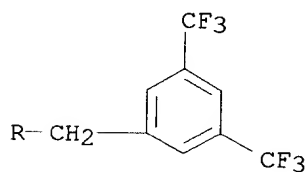
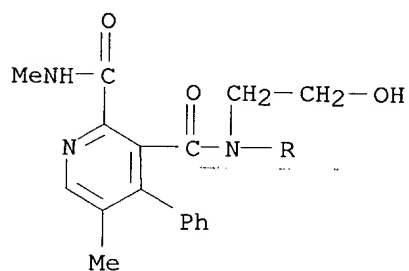


RN 183551-12-4 CAPLUS  
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N-(3-hydroxypropyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066



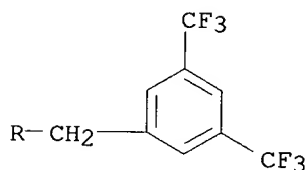
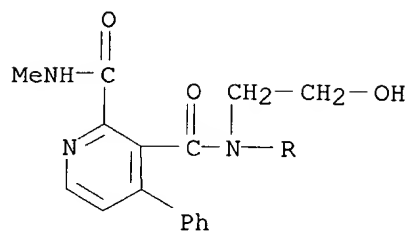
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CN 2,3-Pyridinedicarboxamide,  
N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-  
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RN 183551-21-5 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
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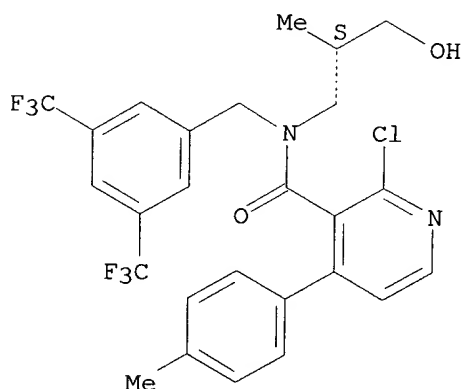


09/922,066



RN 183551-50-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
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N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)- (9CI) (CA INDEX  
NAME)

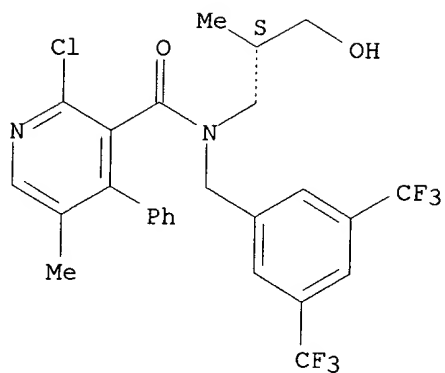
Absolute stereochemistry.



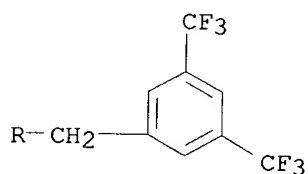
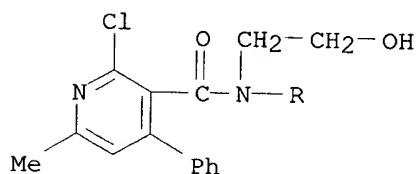
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CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-5-methyl-4-phenyl- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

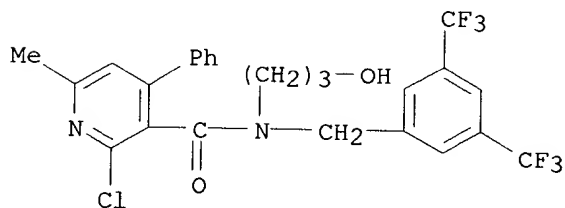
09/922,066



RN 183551-59-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)



RN 183551-61-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
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N-(3-hydroxypropyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)



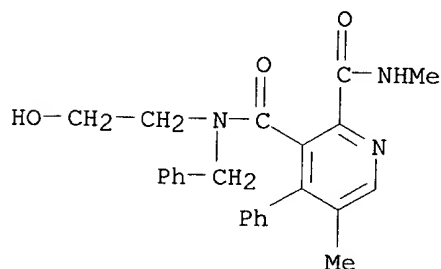
IT 183551-67-9 183551-68-0  
RL: RCT (Reactant); RACT (Reactant or reagent)

09/922,066

(prepn. of diazocinonaphthyridines, diazepinonaphthyridines, and related compds. having tachykinin receptor antagonistic activity)

RN 183551-67-9 CAPLUS

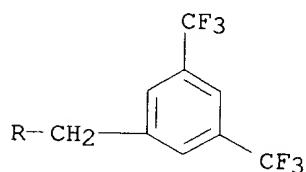
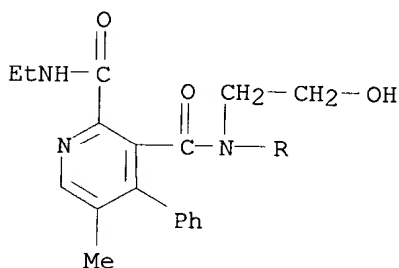
CN 2,3-Pyridinedicarboxamide, N3-(2-hydroxyethyl)-N2,5-dimethyl-4-phenyl-N3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-68-0 CAPLUS

CN 2,3-Pyridinedicarboxamide,

N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N2-ethyl-N3-(2-hydroxyethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)



IT 183551-08-8P 183551-20-4P 183551-25-9P  
183551-26-0P 183551-27-1P 183551-28-2P  
183551-29-3P 183551-30-6P 183551-31-7P  
183551-32-8P 183551-33-9P 183551-34-0P  
183551-35-1P 183551-36-2P 183551-37-3P  
183551-47-5P 183551-48-6P 183551-49-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

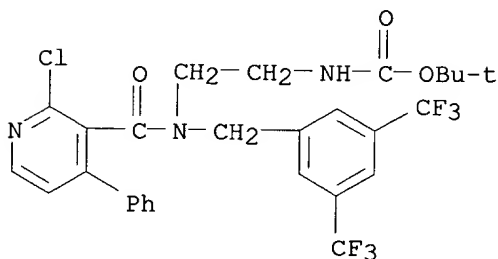
(prepn. of diazocinonaphthyridines, diazepinonaphthyridines, and related compds. having tachykinin receptor antagonistic activity)

RN 183551-08-8 CAPLUS

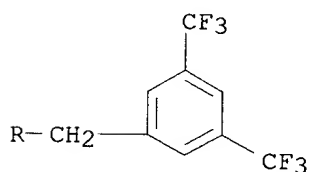
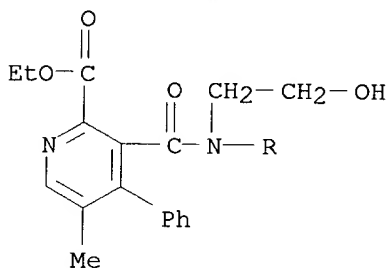
CN Carbamic acid, [2-[[[3,5-bis(trifluoromethyl)phenyl]methyl]](2-chloro-4-

09/922,066

phenyl-3-pyridinyl)carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



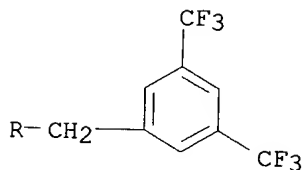
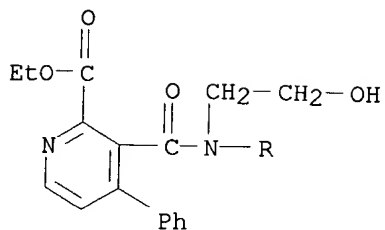
RN 183551-20-4 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl](2-  
hydroxyethyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA  
INDEX NAME)



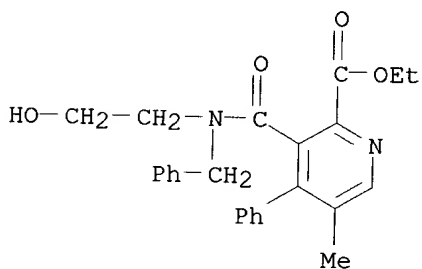
RN 183551-25-9 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl](2-  
hydroxyethyl)amino]carbonyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX  
NAME)

09/922,066

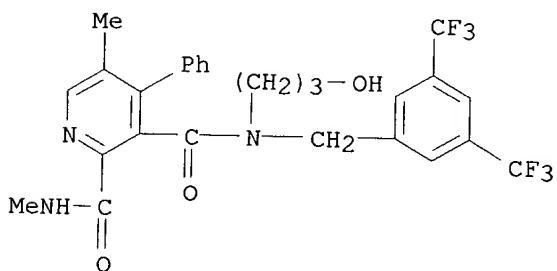
201



RN 183551-26-0 CAPLUS  
 CN 2-Pyridinecarboxylic acid,  
 3-[[ (2-hydroxyethyl) (phenylmethyl) amino] carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



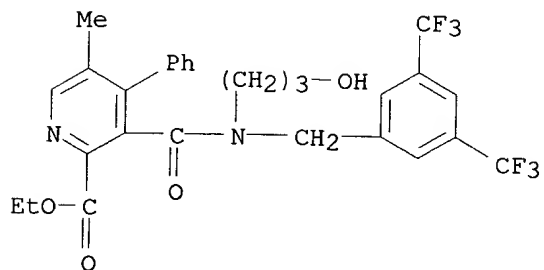
RN 183551-27-1 CAPLUS  
 CN 2,3-Pyridinedicarboxamide,  
 N3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-(3-hydroxypropyl)-N2,5-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)



RN 183551-28-2 CAPLUS  
 CN 2-Pyridinecarboxylic acid,  
 3-[[[ [3,5-bis(trifluoromethyl)phenyl]methyl] (3-

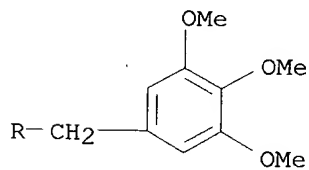
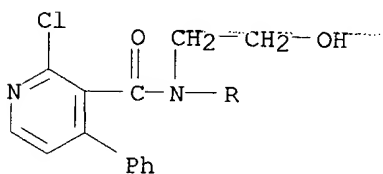
09/922,066

hydroxypropyl) amino] carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



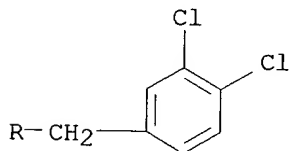
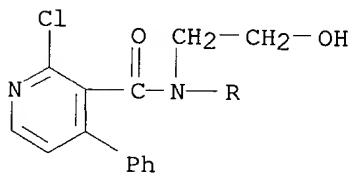
RN 183551-29-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 183551-30-6 CAPLUS

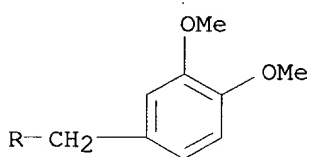
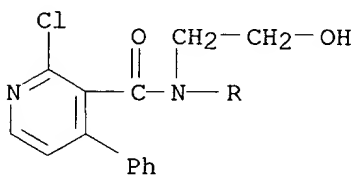
CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dichlorophenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



09/922,066

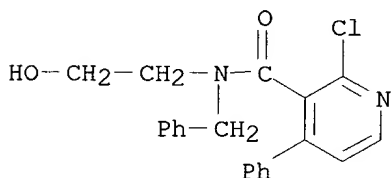
RN 183551-31-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dimethoxyphenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



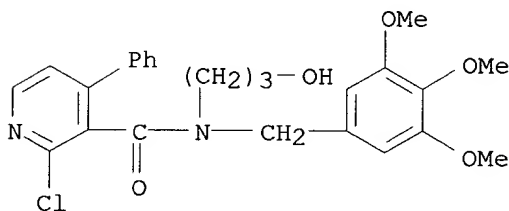
RN 183551-32-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-33-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(3-hydroxypropyl)-4-phenyl-N-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

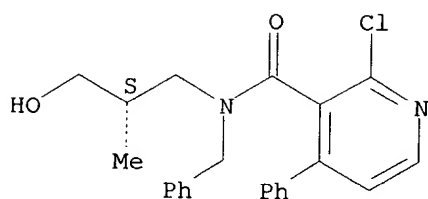


RN 183551-34-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

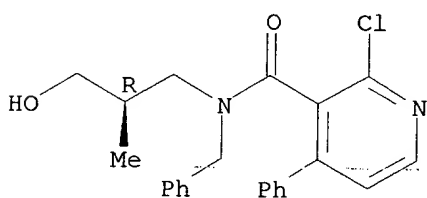
Absolute stereochemistry.

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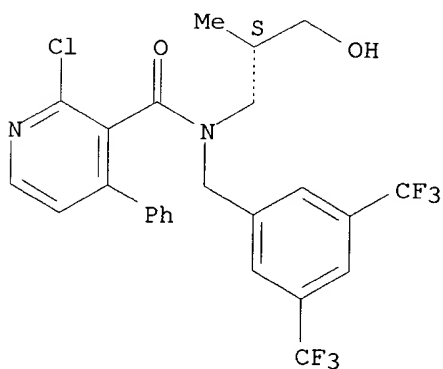
RN 183551-35-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
2-chloro-N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl-  
N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183551-36-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

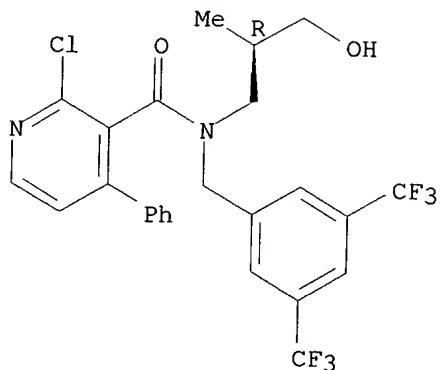


RN 183551-37-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

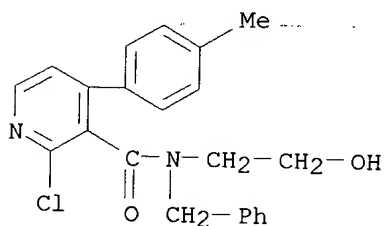


09/922,066



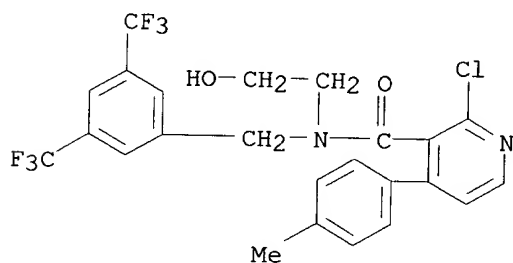
RN 183551-47-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-48-6 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

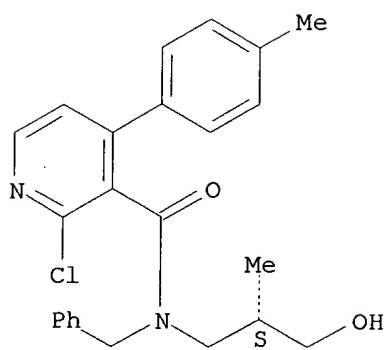


RN 183551-49-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

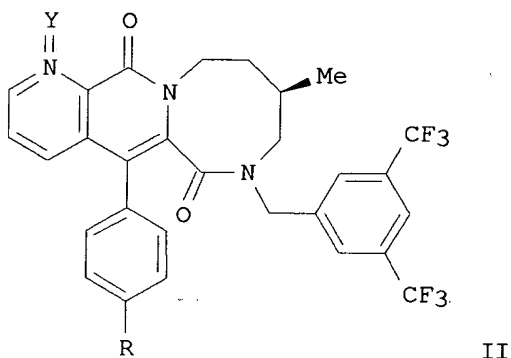
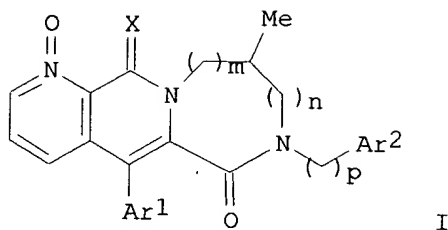
09/922,066



09/922,066

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 1998:427772 CAPLUS  
DN 129:95515  
TI Preparation of medium-ring polycyclic heterocycles as tachykinin receptor antagonists  
IN Natsugari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Ikeura, Yoshinori; Kimura, Chiharu; Tarui, Naoki  
PA Takeda Chemical Industries, Ltd., Japan  
SO U.S., 66 pp., Cont.-in-part of U.S. Ser. No. 621,360.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 5770590	A	19980623	US 1996-717801	19960923
	JP 09263585	A2	19971007	JP 1996-66337	19960322
	JP 2976097	B2	19991110		
	JP 09263587	A2	19971007	JP 1997-20386	19960322
	CN 1140172	A	19970115	CN 1996-106081	19960323
	US 5786352	A	19980728	US 1996-621360	19960325
	SG 69968	A1	20000125	SG 1996-6546	19960325
	US 6147071	A	20001114	US 1998-87894	19980601
PRAI	JP 1995-91436	A	19950324		
	JP 1995-207553	A	19950720		
	JP 1995-264727	A	19950918		
	JP 1996-30033	A	19960123		
	JP 1996-66337	A	19960322		
	US 1996-621360	A2	19960325		
	JP 1996-214698	A	19960814		
OS	MARPAT 129:95515				
GI					



AB A variety of polycyclic heterocycles are disclosed, and in particular the compds. I and salts are claimed [wherein X = O, S; Ar1, Ar2 = certain (un)substituted Ph; m, n = 0 to 4; (m+n) = 2 to 4; p = 1 to 6]. The compds. show an excellent tachykinin receptor antagonistic effect. For instance,

(9R)-7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9,10,11-hexahydro-9-methyl-5-(4-methylphenyl)-6,13-dioxo-13H-[1,4]diazocino[2,1-g][1,7]naphthyridine, i.e., II [Y = absent, R = Me] (prepn. given) underwent hydroxylation by *Streptomyces subgriseus* IFO 13388 to give II

[Y = absent, R = CH<sub>2</sub>OH] (III). The latter underwent acetylation with Ac<sub>2</sub>O and pyridine, N-oxidn. with m-ClC<sub>6</sub>H<sub>4</sub>C(O)OOH, and hydrolytic deacetylation,

to give title compd. II [Y = O, R = CH<sub>2</sub>OH]. III had an ID<sub>50</sub> of 2.5 .mu.g/kg i.v. for inhibiting capsaicin-induced tracheal plasma extravasation in anesthetized guinea pigs. I also showed substance P receptor antagonistic and NK2 receptor inhibitory activities.

IT 183550-95-0P 183551-05-5P 183551-08-8P  
 183551-09-9P 183551-11-3P 183551-12-4P  
 183551-16-8P 183551-20-4P 183551-21-5P  
 183551-25-9P 183551-26-0P 183551-27-1P  
 183551-28-2P 183551-29-3P 183551-30-6P  
 183551-31-7P 183551-32-8P 183551-33-9P  
 183551-34-0P 183551-35-1P 183551-36-2P  
 183551-37-3P 183551-47-5P 183551-48-6P  
 183551-49-7P 183551-50-0P 183551-56-6P  
 183551-58-8P 183551-59-9P 183551-61-3P  
 183551-67-9P 183551-68-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

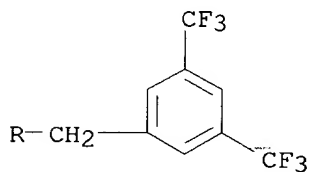
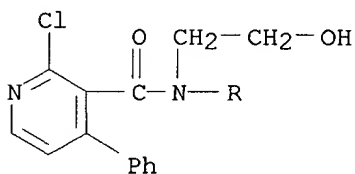
09/922,066

(prepn. of medium-ring polycyclic heterocycles as tachykinin receptor antagonists)

RN 183550-95-0 CAPLUS

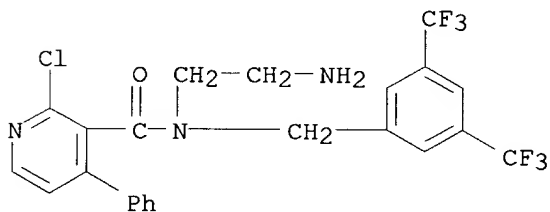
CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



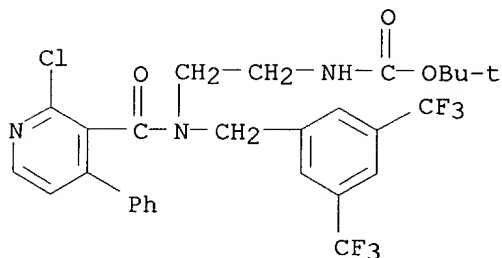
RN 183551-05-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-aminoethyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-4-phenyl- (9CI) (CA INDEX NAME)



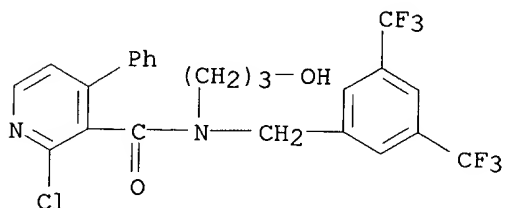
RN 183551-08-8 CAPLUS

CN Carbamic acid, [2-[[[3,5-bis(trifluoromethyl)phenyl]methyl][(2-chloro-4-phenyl-3-pyridinyl)carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

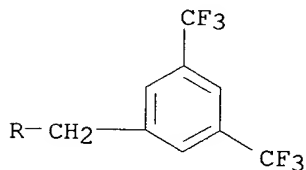
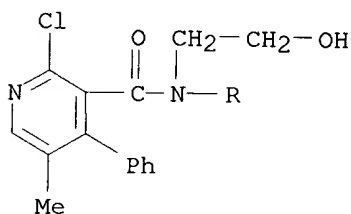


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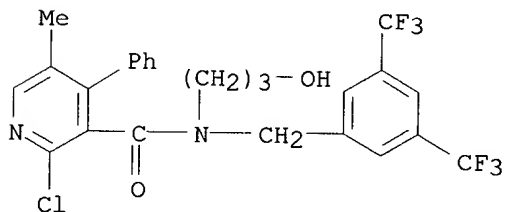
RN 183551-09-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(3-hydroxypropyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 183551-11-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)



RN 183551-12-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(3-hydroxypropyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

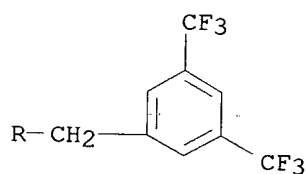
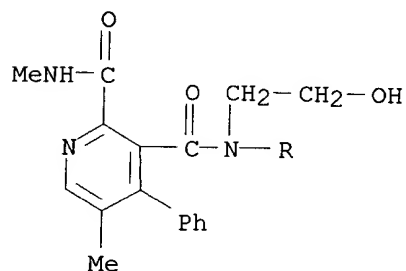


09/922,066

RN 183551-16-8 CAPLUS

CN 2,3-Pyridinedicarboxamide,

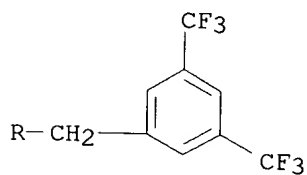
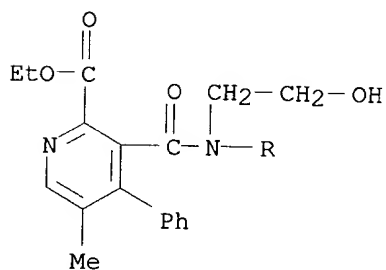
N3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-(2-hydroxyethyl)-N2,5-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)



RN 183551-20-4 CAPLUS

CN 2-Pyridinecarboxylic acid,

3-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (2-hydroxyethyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

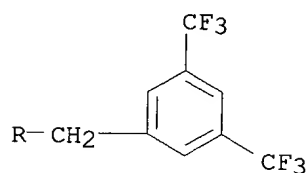
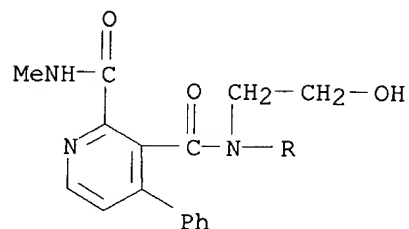


RN 183551-21-5 CAPLUS

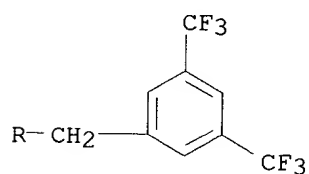
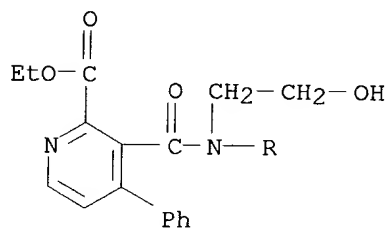
CN 2,3-Pyridinedicarboxamide,

N3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-(2-hydroxyethyl)-N2-methyl-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066



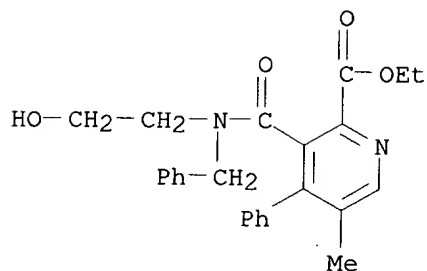
RN 183551-25-9 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl](2-hydroxyethyl)amino]carbonyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



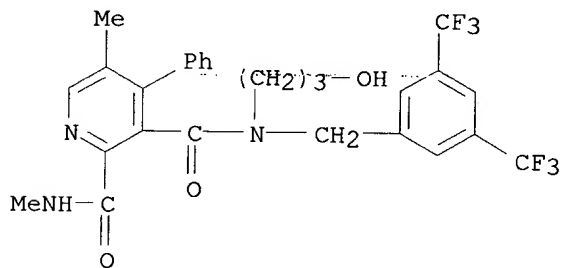
RN 183551-26-0 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[2-(2-hydroxyethyl)(phenylmethyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



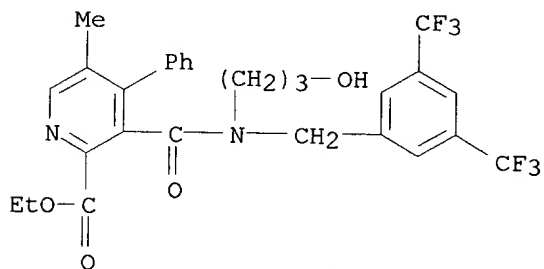
09/922,066



RN 183551-27-1 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-  
(3-hydroxypropyl)-N2,5-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)

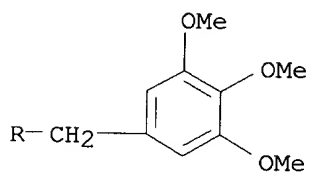
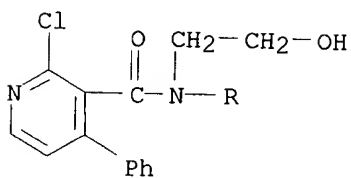


RN 183551-28-2 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (3-  
hydroxypropyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA  
INDEX NAME)

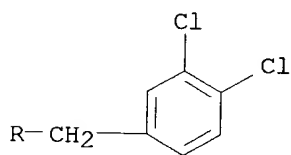
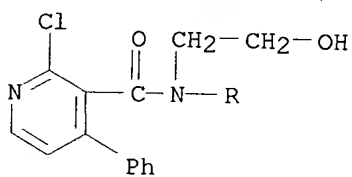


RN 183551-29-3 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-[(3,4,5-  
trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

09/922,066

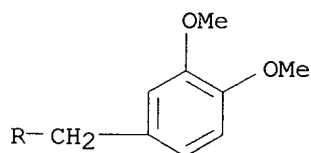
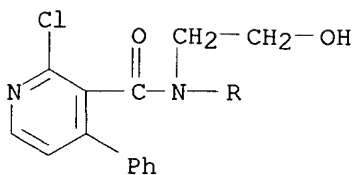


RN 183551-30-6 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dichlorophenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



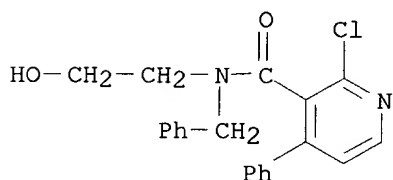
RN 183551-31-7 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dimethoxyphenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066



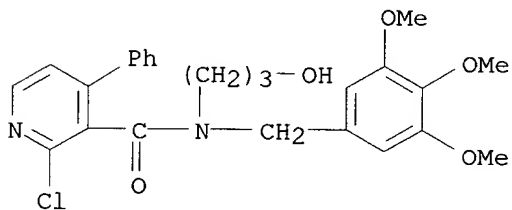
RN 183551-32-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-33-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(3-hydroxypropyl)-4-phenyl-N-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

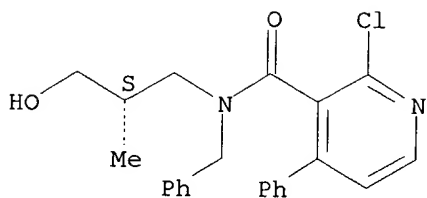


RN 183551-34-0 CAPLUS

CN 3-Pyridinecarboxamide,  
2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl-  
N-(phenylmethyl)- (9CI) (CA INDEX NAME)

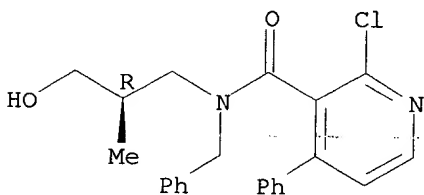
Absolute stereochemistry.

09/922,066



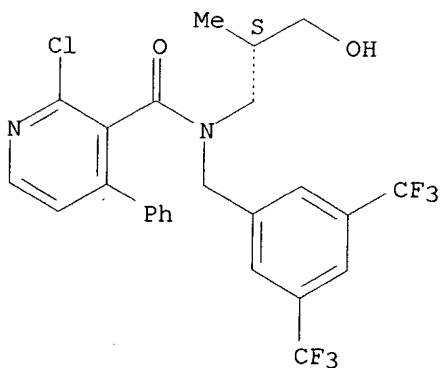
RN 183551-35-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
2-chloro-N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl-  
N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183551-36-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

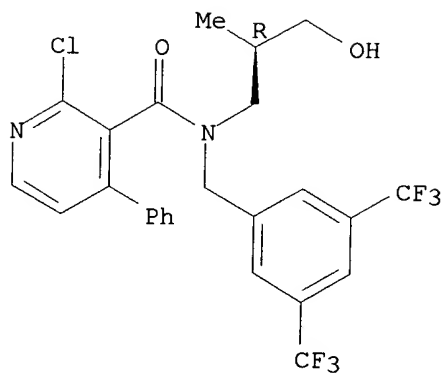
Absolute stereochemistry.



RN 183551-37-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

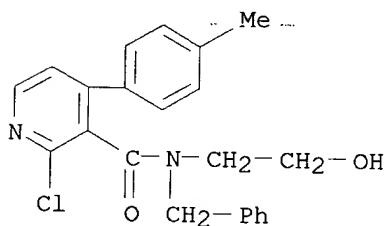
Absolute stereochemistry.

09/922,066



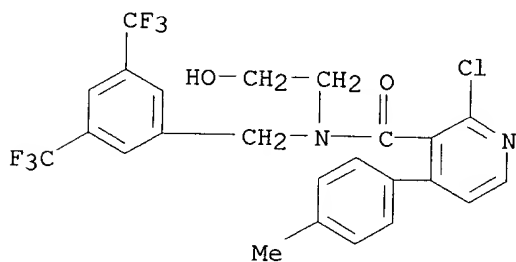
RN 183551-47-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-48-6 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

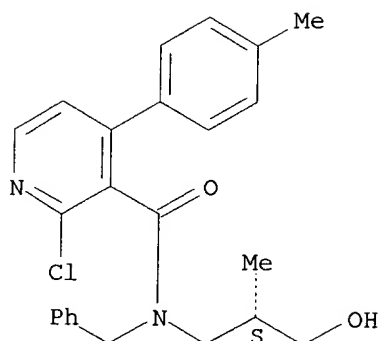


RN 183551-49-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

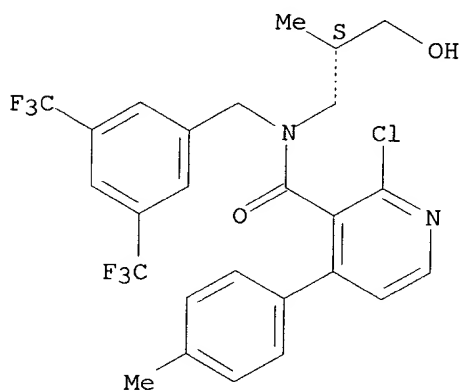
Absolute stereochemistry.

09/922,066



RN 183551-50-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
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N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)- (9CI) (CA INDEX  
NAME)

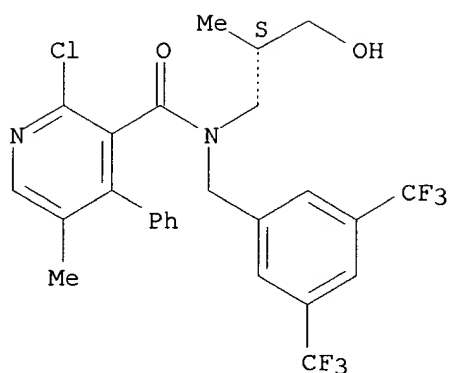
Absolute stereochemistry.



RN 183551-56-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-5-methyl-4-phenyl- (9CI) (CA INDEX  
NAME)

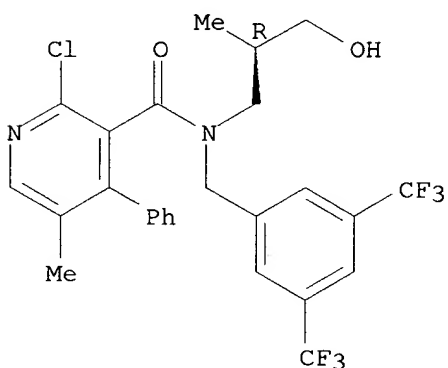
Absolute stereochemistry.

09/922,066



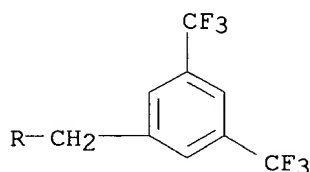
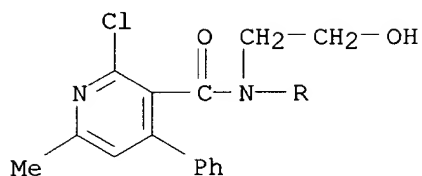
RN 183551-58-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2R)-3-hydroxy-2-methylpropyl]-5-methyl-4-phenyl- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

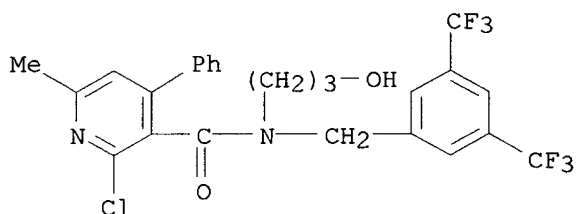


RN 183551-59-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)

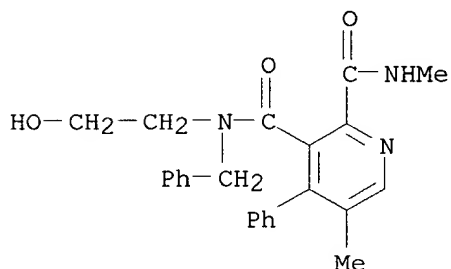
09/922,066



RN 183551-61-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(3-hydroxypropyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)



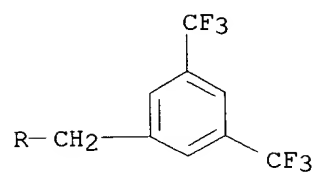
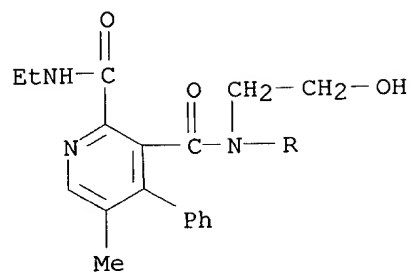
RN 183551-67-9 CAPLUS  
CN 2,3-Pyridinedicarboxamide, N3-(2-hydroxyethyl)-N2,5-dimethyl-4-phenyl-N3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-68-0 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N2-  
ethyl-N3-(2-hydroxyethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)



09/922,066

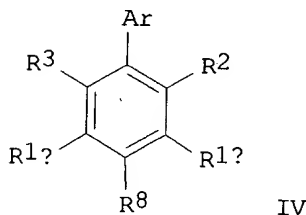
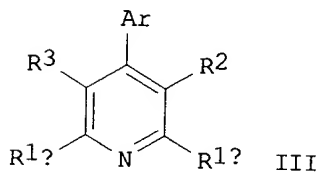
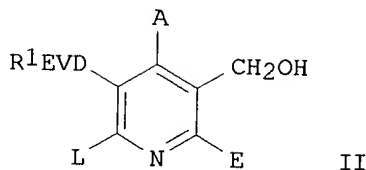
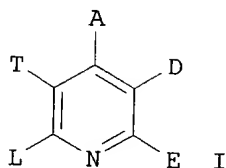


RE.CNT 17      THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/922,066

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 1998:105938 CAPLUS  
DN 128:167354  
TI Preparation of substituted pyridines and biphenyls as anti-  
hypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic  
agents  
IN Schmidt, Gunter; Angerbauer, Rolf; Brandes, Arndt; Muller-Gliemann,  
Matthias; Bischoff, Hilmar; Schmidt, Delf; Wohlfeil, Stefan; Schoen,  
William R.; Ladouceur, Gaetan H.; Cook, James H., II; Lease, Timothy G.;  
Wolanin, Donald J.; Kramss, Richard H.; Hertzog, Donald L.; Osterhout,  
Martin H.  
PA Bayer Corporation, USA; Bayer Aktiengesellschaft  
SO PCT Int. Appl., 431 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9804528	A2	19980205	WO 1997-US13248	19970729
	WO 9804528	A3	19991111		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9738971	A1	19980220	AU 1997-38971	19970729
	ZA 9706730	A	19990729	ZA 1997-6730	19970729
	EP 934274	A1	19990811	EP 1997-936259	19970729
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	CN 1239474	A	19991222	CN 1997-198258	19970729
	BR 9710637	A	20001031	BR 1997-10637	19970729
	JP 2001512416	T2	20010821	JP 1998-509068	19970729
	NO 9900399	A	19990329	NO 1999-399	19990128
	KR 2000029723	A	20000525	KR 1999-700826	19990130
PRAI	US 1996-690111	A	19960731		
	WO 1997-US13248	W	19970729		
OS	MARPAT 128:167354				
GI					



AB The title compds. [I (A = (un)substituted C6-10 aryl; D = up to 8 carbon atoms alkyl which is substituted by hydroxy; E, L = (un)substituted up to 8 carbon atoms alkyl; L = (un)substituted C6-10 aryl; T = R7X, R8C(R9)(R10); R7, R8 = cycloalkyl, aryl, etc.; R9, R10 = H, halo, N3, etc.), II (R1 = cycloalkyl, aryl, etc.; E, D = alkyl (up to 8 carbon atoms); E = a bond; V = O, S, NH, etc.), III (R1a, R1b = CF3, C1-10

alkyl, C1-10 alkenyl, etc.; R2 = C1-10 alkyl, C1-10 alkenyl, etc.; R3 = OH, CF3, C1-6 alkanoyl, etc.; Ar = (un)substituted heteroaryl, aryl), IV], useful for the inhibition of cholesterol ester transfer proteins (CETP) (I), for the treatment of hyperlipoproteinemia (II), and for inhibition of the glucagon receptor, leading to treatment of glucagon-mediated conditions such as diabetes (III-IV), were prepd. Thus, redn. of Et 2,6-diisopropyl-4-(4-fluorophenyl)-3-[(4-fluorophenyl)-chloromethyl]pyridine-5-carboxylate (prepn. described) with LiAlH4 in THF afforded 69% I [A = 4-FC6H4; D = CH2OH; E = L = iPr; T = 4-FC6H4CH2].

For

example, compd. I [A = 4-FC6H4; D = CH2OH; E = L = iPr; T = 4-FC6H4CH(NH2)] showed IC50 of 0.6 .mu.M against CETP.

IT **202850-67-7P 202850-68-8P**

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of substituted pyridines and biphenyls as anti-hypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic agents)

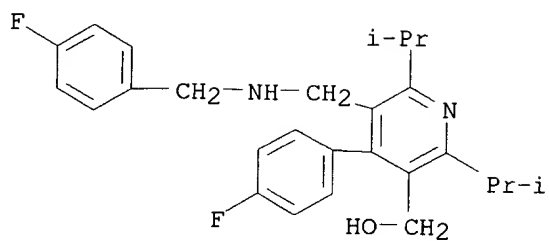
RN 202850-67-7 CAPLUS

CN 3-Pyridinemethanol, 4-(4-fluorophenyl)-5-[[[(4-fluorophenyl)methyl]amino]methyl]-2,6-bis(1-methylethyl)- (9CI) (CA

INDEX

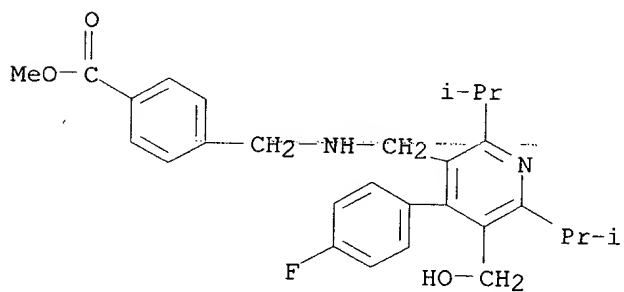
NAME)

09/922,066



RN 202850-68-8 CAPLUS

CN Benzoic acid, 4-[[[4-(4-fluorophenyl)-5-(hydroxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]methyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



09/922,066

L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 1996:728630 CAPLUS  
DN 126:8145  
TI Preparation of polycyclic heterocycles as tachykinin receptor antagonists  
IN Natsugari, Hideaki; Ishimaru, Takenori; Doi, Takayuki; Ikeura, Yoshinori;  
Kimura, Chiharu  
PA Takeda Chemical Industries, Ltd., Japan  
SO Eur. Pat. Appl., 94 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 733632	A1	19960925	EP 1996-104500	19960321
SE	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,				

	NO 9601160	A	19960925	NO 1996-1160	19960321
	TW 394773	B	20000621	TW 1996-85103427	19960321
	CA 2172421	AA	19960925	CA 1996-2172421	19960322
	AU 9648261	A1	19961003	AU 1996-48261	19960322
	AU 699611	B2	19981210		
	CN 1140172	A	19970115	CN 1996-106081	19960323
	IL 117631	A1	20001121	IL 1996-117631	19960324
	BR 9601125	A	19980106	BR 1996-1125	19960325
	SG 69968	A1	20000125	SG 1996-6546	19960325
PRAI	JP 1995-91436	A	19950324		
	JP 1995-207553	A	19950720		
	JP 1995-264727	A	19950918		
	JP 1996-30033	A	19960123		

OS MARPAT 126:8145

GI For diagram(s), see printed CA Issue.

AB Title compds. [I; R = (CH<sub>2</sub>)<sub>n</sub>R<sub>4</sub>; R<sub>1</sub>, R<sub>2</sub> = H or a substituent; R<sub>1</sub>R<sub>2</sub> = atoms to complete a (hetero)cyclic ring; ring B = heterocyclic ring; R<sub>3</sub>, R<sub>4</sub> = (hetero)cyclic ring; X-Y = N:C, C(O)N, C(S)N; n = 1-6] were prep'd. Thus, 4-BrC<sub>6</sub>H<sub>4</sub>Me was condensed with 2,3-pyridinedicarboxylic acid and the product amidated by HN(CH<sub>2</sub>CN)<sub>2</sub> to give, after cyclization in 5 addnl. steps, 7-[3,5-bis(trifluoromethyl)benzyl]-6,7,8,9-tetrahydro-5-(4-methylphenyl)-6,11-dioxo-11H-pyrazino[2,1-g][1,7]naphthyridine. Data for in vitro biol. activity of selected I were given.

IT 183550-95-0P 183551-05-5P 183551-08-8P  
183551-09-9P 183551-11-3P 183551-12-4P  
183551-16-8P 183551-20-4P 183551-21-5P  
183551-25-9P 183551-26-0P 183551-27-1P  
183551-28-2P 183551-29-3P 183551-30-6P  
183551-31-7P 183551-32-8P 183551-33-9P  
183551-34-0P 183551-35-1P 183551-36-2P  
183551-37-3P 183551-47-5P 183551-48-6P  
183551-49-7P 183551-50-0P 183551-56-6P  
183551-58-8P 183551-59-9P 183551-61-3P  
183551-67-9P 183551-68-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of polycyclic heterocycles as tachykinin receptor antagonists)

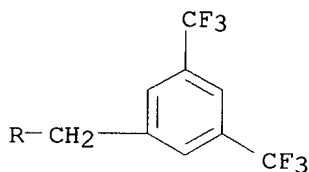
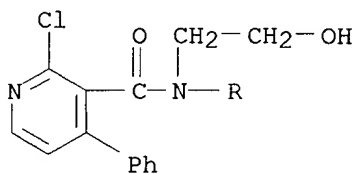
RN 183550-95-0 CAPLUS

CN 3-Pyridinecarboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-

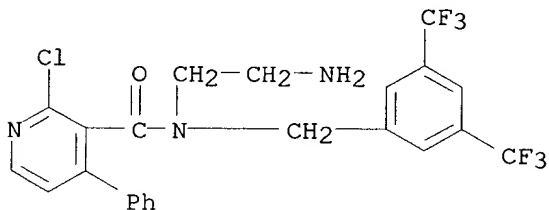
09/922,066

N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



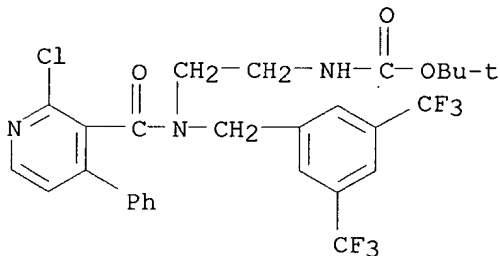
RN 183551-05-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-aminoethyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-4-phenyl- (9CI) (CA INDEX NAME)



RN 183551-08-8 CAPLUS

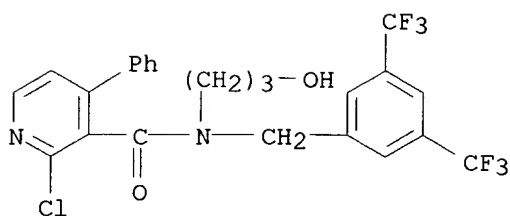
CN Carbamic acid, [2-[[[3,5-bis(trifluoromethyl)phenyl]methyl][(2-chloro-4-phenyl-3-pyridinyl)carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



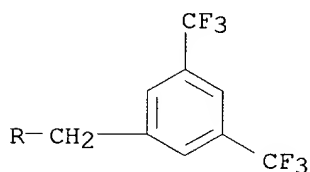
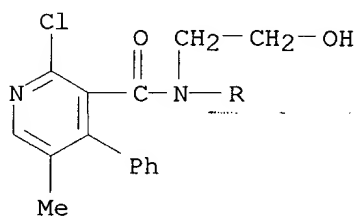
RN 183551-09-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-N-(3-hydroxypropyl)-4-phenyl- (9CI) (CA INDEX NAME)

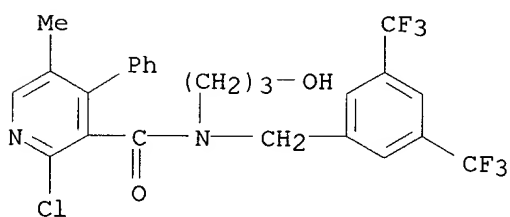
09/922,066



RN 183551-11-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

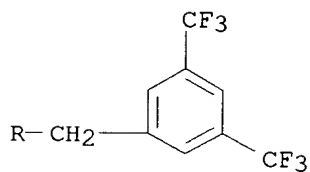
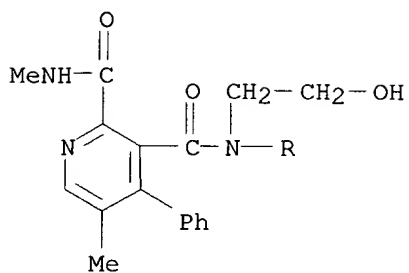


RN 183551-12-4 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(3-hydroxypropyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

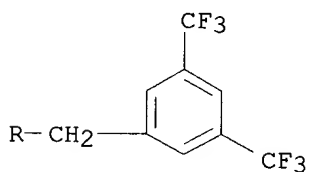
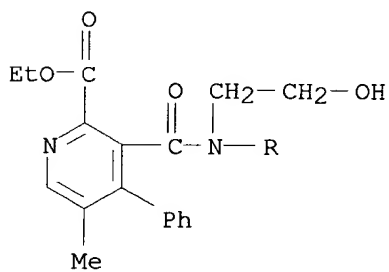


RN 183551-16-8 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-  
(2-hydroxyethyl)-N2,5-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)

09/922,066



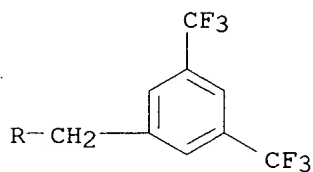
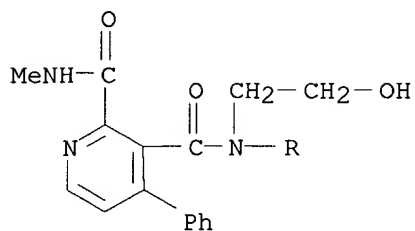
RN 183551-20-4 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (2-  
hydroxyethyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA  
INDEX NAME)



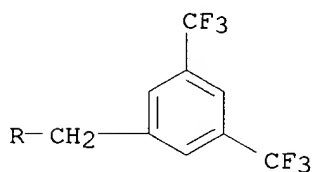
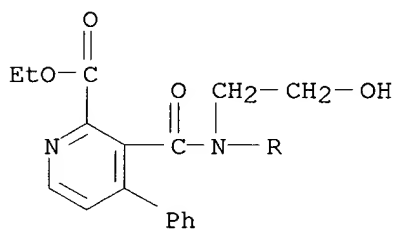
RN 183551-21-5 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-  
(2-hydroxyethyl)-N2-methyl-4-phenyl- (9CI) (CA INDEX NAME)



09/922,066

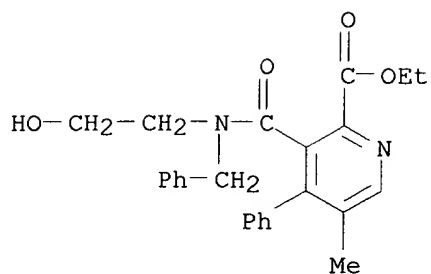


RN 183551-25-9 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (2-  
hydroxyethyl)amino]carbonyl]-4-phenyl-, ethyl ester (9CI) (CA INDEX  
NAME)

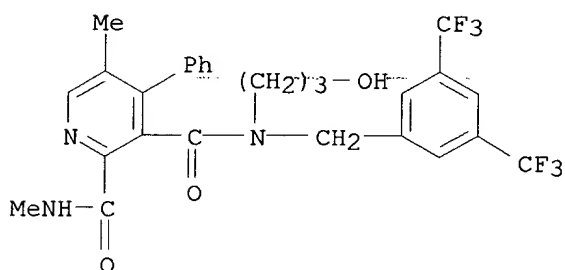


RN 183551-26-0 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[ (2-hydroxyethyl) (phenylmethyl) amino] carbonyl]-  
5-methyl-4-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

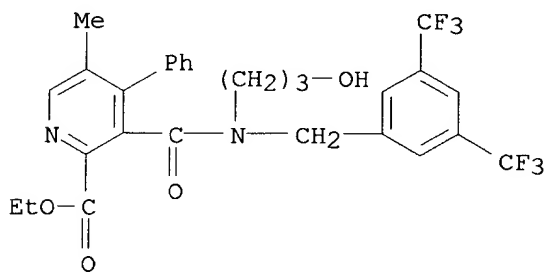
09/922,066



RN 183551-27-1 CAPLUS  
CN 2,3-Pyridinedicarboxamide,  
N3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]-N3-  
(3-hydroxypropyl)-N2,5-dimethyl-4-phenyl- (9CI) (CA INDEX NAME)

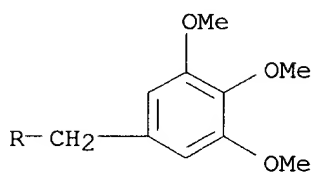
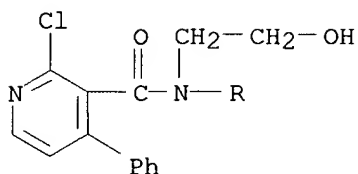


RN 183551-28-2 CAPLUS  
CN 2-Pyridinecarboxylic acid,  
3-[[[3,5-bis(trifluoromethyl)phenyl]methyl] (3-  
hydroxypropyl)amino]carbonyl]-5-methyl-4-phenyl-, ethyl ester (9CI) (CA  
INDEX NAME)



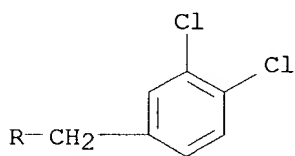
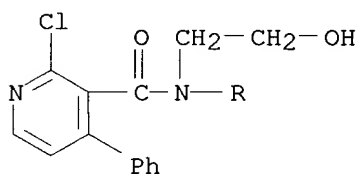
RN 183551-29-3 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-[(3,4,5-  
trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

09/922,066



RN 183551-30-6 CAPLUS

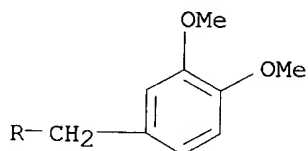
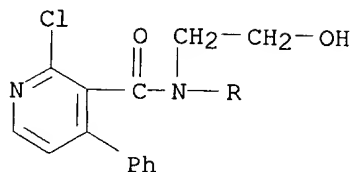
CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dichlorophenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)



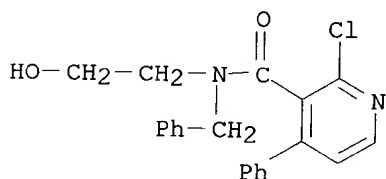
RN 183551-31-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dimethoxyphenyl)methyl]-N-(2-hydroxyethyl)-4-phenyl- (9CI) (CA INDEX NAME)

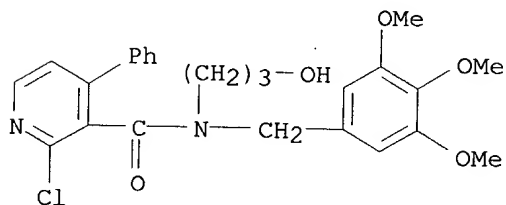
09/922,066



RN 183551-32-8 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



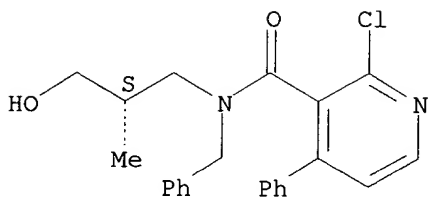
RN 183551-33-9 CAPLUS  
CN 3-Pyridinecarboxamide, 2-chloro-N-(3-hydroxypropyl)-4-phenyl-N-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 183551-34-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl-  
N-(phenylmethyl)- (9CI) (CA INDEX NAME)

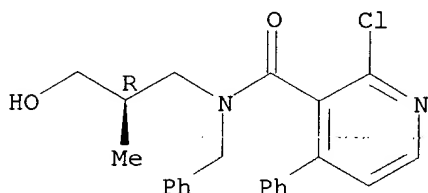
Absolute stereochemistry.

09/922,066



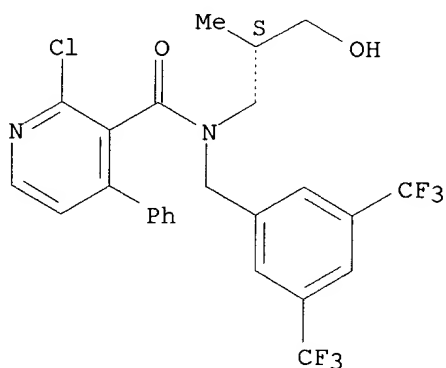
RN 183551-35-1 CAPLUS  
CN 3-Pyridinecarboxamide,  
2-chloro-N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl-  
N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183551-36-2 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

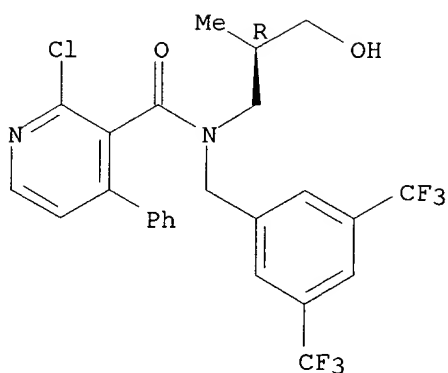
Absolute stereochemistry.



RN 183551-37-3 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2R)-3-hydroxy-2-methylpropyl]-4-phenyl- (9CI) (CA INDEX NAME)

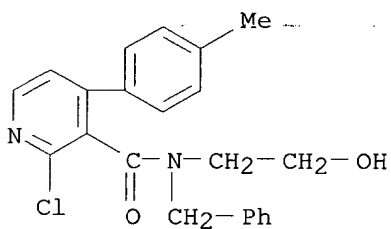
Absolute stereochemistry.

09/922,066



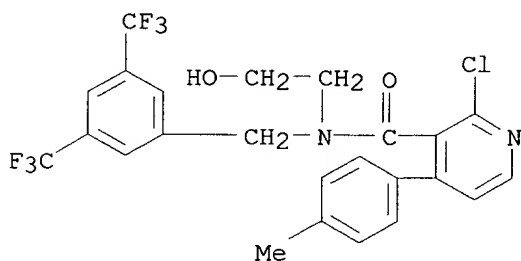
RN 183551-47-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-(2-hydroxyethyl)-4-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-48-6 CAPLUS

CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

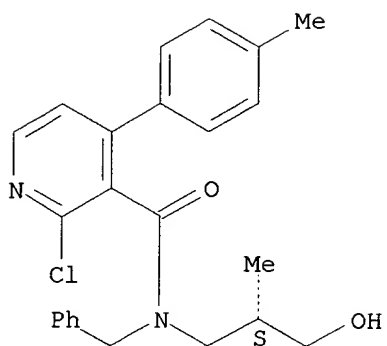


RN 183551-49-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

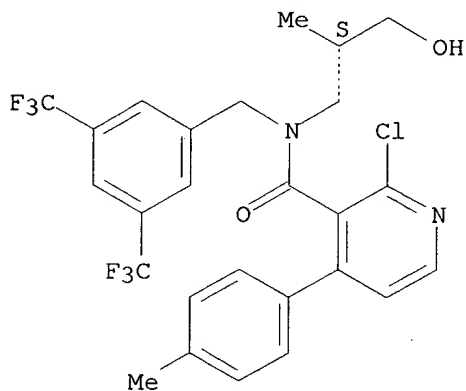
Absolute stereochemistry.

09/922,066



RN 183551-50-0 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-4-(4-methylphenyl)- (9CI) (CA INDEX  
NAME)

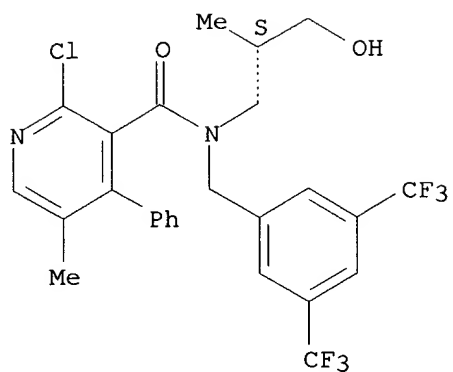
Absolute stereochemistry.



RN 183551-56-6 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2S)-3-hydroxy-2-methylpropyl]-5-methyl-4-phenyl- (9CI) (CA INDEX  
NAME)

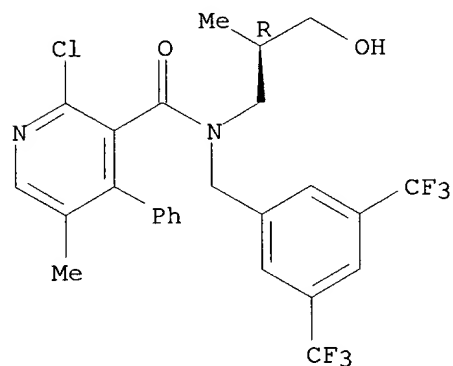
Absolute stereochemistry.

09/922,066



RN 183551-58-8 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-[(2R)-3-hydroxy-2-methylpropyl]-5-methyl-4-phenyl- (9CI) (CA INDEX  
NAME)

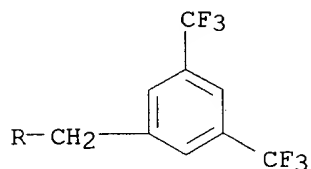
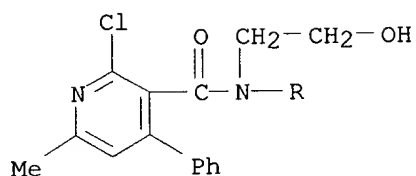
Absolute stereochemistry.



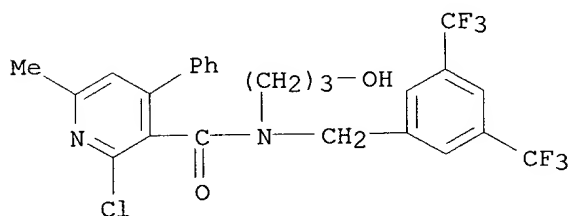
RN 183551-59-9 CAPLUS  
CN 3-Pyridinecarboxamide,  
N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
N-(2-hydroxyethyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)



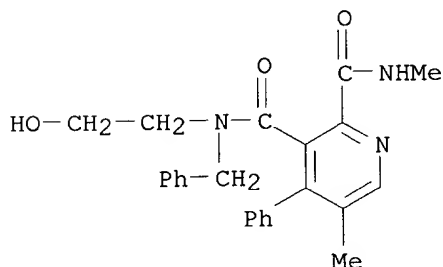
09/922,066



RN 183551-61-3 CAPLUS  
 CN 3-Pyridinecarboxamide,  
 N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-chloro-  
 N-(3-hydroxypropyl)-6-methyl-4-phenyl- (9CI) (CA INDEX NAME)

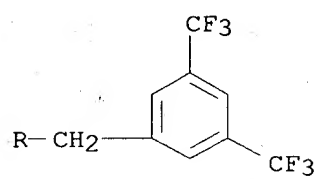
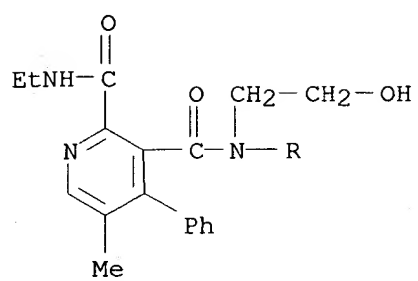


RN 183551-67-9 CAPLUS  
 CN 2,3-Pyridinedicarboxamide, N3-(2-hydroxyethyl)-N2,5-dimethyl-4-phenyl-N3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 183551-68-0 CAPLUS  
 CN 2,3-Pyridinedicarboxamide,  
 N3-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N2-  
 ethyl-N3-(2-hydroxyethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2002 ACS

AN 1994:298580 CAPLUS

DN 120:298580

TI Reactions of

5-(p-anisyl)-2-methyl-7-(p-tolyl)-4H-pyrido[2,3-d][1,3]oxazin-4-one

AU Madkour, Hassan M. F.; Salem, Mounir A. I.; Abdel-Rahman, Taha M.; Azab, Mohamed E.

CS Fac. Sci., Ain Shams Univ., Abbassia, Egypt

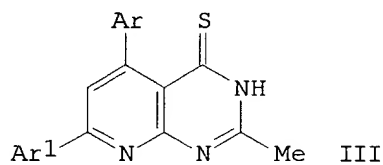
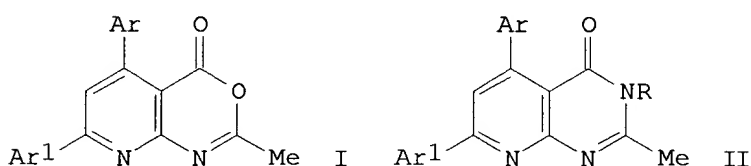
SO Heterocycles (1994), 38(1), 57-69

CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

GI



AB 5-(P-Anisyl)-2-methyl-7-(p-tolyl)-4H-pyrido[2,3-d][1,3]oxazin-4-one (I, Ar

= 4-MeOC<sub>6</sub>H<sub>4</sub>, Ar<sub>1</sub> = 4-MeC<sub>6</sub>H<sub>4</sub>, throughout) was prepd. The reactivity of I towards nucleophilic reagents was investigated. 5-(P-Anisyl)-2-methyl-7-(p-tolyl)-4H-pyrido[2,3-d]pyrimidin-4-one (II, R = H) was synthesized

from

I by the action of ammonium acetate and zinc chloride. The structure of II was chem. confirmed by reactions with acetic anhydride, benzoyl chloride, chloroacetic acid, Me iodide, di-Me sulfate and Et

bromoacetate.

II reacted with secondary and primary amines under Mannich conditions to afford 5-(p-anisyl)-2-methyl-3-methylene substituted

amino-7-(p-tolyl)-4H-

pyrido[2,3-d]pyrimidin-4-ones II (R = CH<sub>2</sub>R<sub>1</sub>, R<sub>1</sub> = piperidino, morpholino) and II (R = CH<sub>2</sub>NR<sub>2</sub>CH<sub>2</sub>OH, R<sub>2</sub> = Me, CH<sub>2</sub>Ph, Ph), resp. Sulfuration of II (R = H) gave the thione 5-(p-anisyl)-2-methyl-7-(p-tolyl)-4H-pyrido[2,3-d]pyrimidin-4-thione III.IT **154778-16-2P**

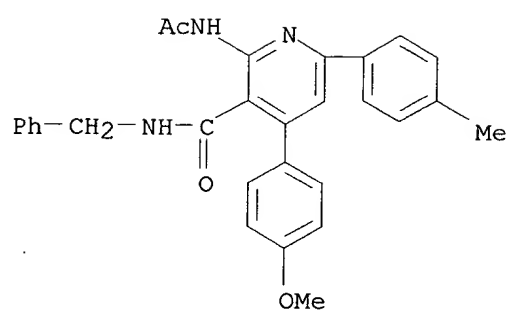
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and intramol. cyclocondensation of)

RN 154778-16-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-(acetylamino)-4-(4-methoxyphenyl)-6-(4-methylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

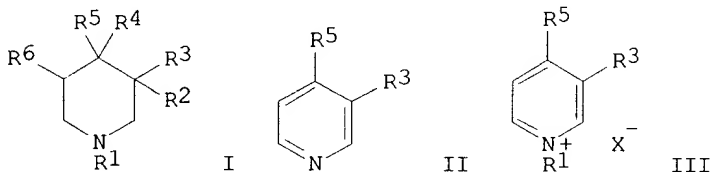
09/922,066



09/922,066

L4 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2002 ACS  
AN 1988:186578 CAPLUS  
DN 108:186578  
TI Preparation of tetrahydropyridine-3-carboxylic acids, esters, and amides  
as therapeutic agents for amnesia and senile dementia  
PA Warner-Lambert Co., USA  
SO Jpn. Kokai Tokkyo Koho, 32 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62192358	A2	19870822	JP 1987-32590	19870217
	JP 08032682	B4	19960329		
	US 4745123	A	19880517	US 1986-830035	19860218
	CA 1285939	A1	19910709	CA 1987-528411	19870128
	EP 235663	A1	19870909	EP 1987-102216	19870217
	EP 235663	B1	19900725		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 54910	E	19900815	AT 1987-102216	19870217
	ES 2029801	T3	19921001	ES 1987-102216	19870217
PRAI	US 1986-830035		19860218		
	EP 1987-102216		19870217		
OS	CASREACT 108:186578				
GI					



AB The title compds. [I; R1 = H, C1-6 alkyl, C1-6 alkenyl, C2-5 alkoxy carbonyl, C4-8 cycloalkyl, (halo, OH, C1-6 alkyl, or C1-4 alkyloxy substituted) PhC1-6alkyl; when R2 = H, R4R6 = bond or when R6 = H, R2R4 = bond; R3 = CO2R7, CONR8R9; R5 = C1-6 alkyl, C1-6 alkenyl, C3-8 cycloalkyl, 2- or 3-thienyl, Ph, halo, NO2, amino, etc.; R7 = C1-6 alkyl, C1-6 alkenyl, C3-8 cycloalkyl, Ph etc.; R8, R9 = H, C1-4 alkyl, or when R8 = H, R9 = C3-8 cycloalkyl, PhCH2O, (substituted) Ph alkyl, or R8R9N = pyrrolidinyl, piperidinyl, morpholino, etc.], useful for treatment of senile dementia and as cholinergic agents, are prepd. from II (R3, R5 = same as I), via III (R1, R3, R5 = same as I; X = halo). II (R3 = CO2H; R5 = Ph) in CH2Cl2 was treated with SO2Cl2 in the presence of Et3N, followed by addn. of Me2NH to give II (R3 = CONMe2; R5 = Ph) which was treated with EtI to afford III (R1 = Et; R3 = CONMe2; R5 = Ph; X = iodo) and redn. of the latter compd. with NaBH4 in EtOH in the presence of 1M HCl gave I (R1 = Et; R2R4 = bond; R3 = CONMe2; R5 = Ph; R6 = H) (IV). IV was converted

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to its oxalate which showed IC50 of 9 .mu.M at muscarinic receptors, vs.  
1.1 .mu.M for arecoline.

IT **114120-64-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and conversion of, to pyridinium salt)

RN 114120-64-8 CAPLUS

CN 3-Pyridinecarboxamide, 4-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

